=> fil reg

FILE 'REGISTRY' ENTERED AT 14:52:55 ON 12 JUL 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 JUL 2004 HIGHEST RN 708207-86-7
DICTIONARY FILE UPDATES: 11 JUL 2004 HIGHEST RN 708207-86-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> fil zcaplus

FILE 'ZCAPLUS' ENTERED AT 14:52:59 ON 12 JUL 2004
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FILE COVERS 1907 - 12 Jul 2004 VOL 141 ISS 3
FILE LAST UPDATED: 11 Jul 2004 (20040711/ED)

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=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:53:03 ON 12 JUL 2004
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FILE COVERS 1907 - 12 Jul 2004 VOL 141 ISS 3
FILE LAST UPDATED: 11 Jul 2004 (20040711/ED)

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=> fil wpix

FILE 'WPIX' ENTERED AT 14:53:09 ON 12 JUL 2004
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FILE LAST UPDATED: 9 JUL 2004 <20040709/UP>
MOST RECENT DERWENT UPDATE: 200443 <200443/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

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>>> NEW! FAST-ALERTING ACCESS TO NEWLY-PUBLISHED PATENT DOCUMENTATION NOW AVAILABLE IN DERWENT WORLD PATENTS INDEX FIRST VIEW - FILE WPIFV. FREE CONNECT HOUR UNTIL 1 MAY 2004. FOR FURTHER DETAILS: http://www.thomsonderwent.com/dwpifv <<<

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http://www.thomsonscientific.com/litalert <<<

>>> THE DISPLAY LAYOUT HAS BEEN CHANGED TO ACCOMODATE THE NEW FORMAT GERMAN PATENT APPLICATION AND PUBLICATION NUMBERS. SEE ALSO:
http://www.stn-international.de/archive/stnews/news0104.pdf <<<

=> FIL STNGUIDE

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```
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul  9, 2004 (20040709/UP).

=> d que l52
L25          204 SEA FILE=WPIX ABB=ON  PLU=ON  R15673/DCN OR R15674/DCN
L26            4 SEA FILE=WPIX ABB=ON  PLU=ON  (CITALOPRAM/SY OR "CITALOPRAM
                 ACETATE"/SY OR "CITALOPRAM HYDROBROMIDE"/SY OR "CITALOPRAM
                 HYDROCHLORIDE"/SY OR CITALOPRAM-ACETATE/SY OR CITALOPRAM-HYDROB
                 ROMIDE/SY OR CITALOPRAM-HYDROCHLORIDE/SY)
L27          210 SEA FILE=WPIX ABB=ON  PLU=ON  CITALOPRAM/BI
L28           81 SEA FILE=WPIX ABB=ON  PLU=ON  CITALOPRAM/ABEX
L29            0 SEA FILE=WPIX ABB=ON  PLU=ON  (?CITAL OPRAM? OR ?CITALO PRAM?
                 OR CI TALOPRAM?)/BIX
L30          264 SEA FILE=WPIX ABB=ON  PLU=ON  (L25 OR L26 OR L27 OR L28 OR
                 L29)
L31        22219 SEA FILE=WPIX ABB=ON  PLU=ON  (A61K009-00 OR A61K009-14 OR
                 A61K009-16 OR A61K009-20 OR A61K009-48)/IPC
L32           27 SEA FILE=WPIX ABB=ON  PLU=ON  L30 AND L31
L33         2415 SEA FILE=WPIX ABB=ON  PLU=ON  B01J002-00/IPC
L34            1 SEA FILE=WPIX ABB=ON  PLU=ON  L30 AND L33
L35           27 SEA FILE=WPIX ABB=ON  PLU=ON  L32 OR L34
L40       113997 SEA FILE=WPIX ABB=ON  PLU=ON  (R031 OR R032 OR R033 OR R034 OR
                 R037 OR R038)/M0,M1,M2,M3,M4,M5,M6
L41           25 SEA FILE=WPIX ABB=ON  PLU=ON  L30 AND L40
L42       441910 SEA FILE=WPIX ABB=ON  PLU=ON  M720/M0,M1,M2,M3,M4,M5,M6
L48            1 SEA FILE=WPIX ABB=ON  PLU=ON  L42 AND L35
L50           39 SEA FILE=WPIX ABB=ON  PLU=ON  L41 OR L35
L51           39 SEA FILE=WPIX ABB=ON  PLU=ON  L50 OR L48
L52           32 SEA FILE=WPIX ABB=ON  PLU=ON  L51 AND (AY<=2001 OR PY<=2001 OR
                 PRY<=2001)


=> d que l57
L1   (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  CITALOPRAM/CN
L2   (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  59729-33-8/RN
L3   (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  L2 AND L1
L4   (        12)SEA FILE=REGISTRY ABB=ON  PLU=ON  59729-33-8/CRN
L5            13 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L1 OR L2 OR L3 OR L4)
L6          1305 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L5
L7        169475 SEA FILE=HCAPLUS ABB=ON  PLU=ON  DRUG DELIVERY SYSTEMS+PFT,NT/C
                 T
L8        149984 SEA FILE=HCAPLUS ABB=ON  PLU=ON  PHARMACEUTICAL DOSAGE
                 FORMS+PFT,NT/CT
L9       3554257 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?TABLET? OR ?SOLID? OR
                 ?GRAN? OR ?PARTIC? OR ?PILL? OR ?PELLET?)
L10        40517 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L7 OR L8) (L) L9
L11         5131 SEA FILE=HCAPLUS ABB=ON  PLU=ON  TABLET?/CW
L12          700 SEA FILE=HCAPLUS ABB=ON  PLU=ON  PILL?/CW
L13        48096 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (PHARMACEUTICAL DOSAGE
                 FORM?)/CW
L14        10248 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L13 (L) L9
L15           47 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6 AND (L10 OR L11 OR L12 OR
                 L14)
L16       769626 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?POWDER? OR ?CAPSUL?)
L18           36 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6 AND L16
L19           53 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L18 OR L15
L20        69359 SEA FILE=HCAPLUS ABB=ON  PLU=ON  COMPACTION+RT/CT
L21        99170 SEA FILE=HCAPLUS ABB=ON  PLU=ON  PARTICLE SIZE DISTRIBUTION+RT/
                 CT
L22            6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L20 OR L21) AND L6
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L24            56 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 OR L19
L36           117 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6 (L) PROC+NT/RL
L37             8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND L36
L38            54 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND PATENT/DT
L39            48 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L38 AND (AY<=2001 OR PY<=2001
                  OR PRY<=2001)
L53             7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37 AND (AY<=2001 OR PY<=2001
                  OR PRY<=2001)
L56            32 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L39 AND (PARTICL? OR CRYSTAL?
                  OR FORM OR FORMS OR FORMUL? OR COMPOSIT? OR CONTROLLED-RELEAS?)
                  /OBI
L57            35 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L56 OR L53


=> dup rem l52 l57

FILE 'WPIX' ENTERED AT 14:53:45 ON 12 JUL 2004
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PROCESSING COMPLETED FOR L52
PROCESSING COMPLETED FOR L57
L82            54 DUP REM L52 L57 (13 DUPLICATES REMOVED)
                  ANSWERS '1-32' FROM FILE WPIX
                  ANSWERS '33-54' FROM FILE HCAPLUS

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:54:30 ON 12 JUL 2004
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FILE COVERS 1907 - 12 Jul 2004  VOL 141 ISS 3
FILE LAST UPDATED: 11 Jul 2004  (20040711/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l57 and l82
L83            22 S L82
L84            22 L57 AND L83

=> s l57 not l84
L85            13 L57 NOT L84
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=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 14:55:42 ON 12 JUL 2004
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul  9, 2004 (20040709/UP).

=> d l52 iall abeq tech abex ind
YOU HAVE REQUESTED DATA FROM FILE 'WPIX' - CONTINUE? (Y)/N:y


L52  ANSWER 1 OF 32  WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER:      2004-201272 [19]    WPIX
CROSS REFERENCE:       2003-764654 [72]
DOC. NO. CPI:          C2004-079553
TITLE:                 Controlled release pharmaceutical device useful for the
                       sustained or pulsatile delivery of pharmaceutical
                       substance (e.g. diltiazem, glipizide and buspirone)
                       comprises microbial polysaccharide and uncrosslinked
                       linear polymer.
DERWENT CLASS:         A96 B05 B07
INVENTOR(S):           ODIDI, A; ODIDI, I
PATENT ASSIGNEE(S):    (ODID-I) ODIDI A; (ODID-I) ODIDI I
COUNTRY COUNT:         1
PATENT INFORMATION:

     PATENT NO       KIND DATE     WEEK    LA   PG MAIN IPC
     ---------------------------------------------------------
     US 2004009219    A1 20040115 (200419)*       7 A61K031-715

APPLICATION DETAILS:

     PATENT NO       KIND                    APPLICATION          DATE
     ---------------------------------------------------------------------
     US 2004009219    A1 Provisional         US 1997-61501P       19971010   <--
                         Cont of             US 1998-169409       19981009   <--
                                             US 2003-438776       20030915

FILING DETAILS:

     PATENT NO       KIND                    PATENT NO
     ---------------------------------------------------
     US 2004009219    A1 Cont of             US 6607751

PRIORITY APPLN. INFO: US 1997-61501P
                      19971010; US
                      1998-169409       19981009;
                      US 2003-438776       20030915
INT. PATENT CLASSIF.:
           MAIN:      A61K031-715
      SECONDARY:      A61K009-22; A61K031-198; A61K031-4439; A61K031-455;
                      A61K031-485; A61K031-519; A61K031-522; A61K031-55;
                      A61K031-551; A61K031-554
BASIC ABSTRACT:
     US2004009219 A UPAB: 20040318
```

NOVELTY - A controlled release pharmaceutical device for the sustained or pulsatile delivery of pharmaceutical substance for a predetermined period of time comprises microbial polysaccharide (1 - 60 weight%), uncrosslinked linear polymer (1 - 60 weight%), and additionally comprises a pharmaceutical active compound (1 - 50 weight%).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) a composition comprising microbial polysaccharide (1 - 60%), uncrosslinked linear polymer (1 - 60%), and pharmaceutical agent (1 - 80%); and

(2) preparation of a controlled release formulation of pharmaceutical agent involving:

(a) blending pharmaceutical agent (1 - 80 weight%) with microbial polysaccharide (1 - 60 weight%) and uncrosslinked linear polymer (1 - 60 weight%) to form a homogeneous blend; granulating the homogeneous blend and kneading to form wet granules;

(b) drying the wet granules to a loss on drying of greater than 5%;

(c) size reducing the dried granules to provide a granule size of less than 1400 microns;

(d) blending the dried granules with lubricant (0.5 - 10%); and

(e) compressing the lubricated granules into tablets.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - For the sustained or pulsatile delivery of pharmaceutical agent (e.g. diltiazem and glipizide) for a predetermined period of time (claimed).

ADVANTAGE - The device is made by a cost efficient manner and provides for sustained or pulsatile delivery of the pharmaceutical agent.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: A12-V01; B01-B03; B04-A04; B04-B03A; B04-C02A2; B04-C02F; B04-C03; B04-L05A; B05-A01A; B05-A01B; B05-A03A; B05-B02C; B05-C04; B05-C05; B05-C07; B06-A02; B06-D04; B06-D06; B06-D07; B06-D09; B06-D12; B06-D13; B06-E01; B06-E05; B06-F03; B07-A02B; B07-D03; B07-D04D; B07-D05; B07-D09; B07-D10; B07-D11; B07-D12; B07-D13; B07-E03; B10-A15; B10-A19; B10-B01A; B10-B02A; B10-B02E; B10-B03B; B10-B04B; B10-C03; B10-C04A; B10-C04B; B10-C04C; B10-C04E; B10-D03; B10-F02; B12-M10A

TECH UPTX: 20040318

TECHNOLOGY FOCUS - POLYMERS - Preferred Device: The device additionally comprises at least of the agent selected from crosslinked polymer (1 - 50 wt.%), lipophillic polymer (1 - 50 wt.%) and/or saturated polyglycolyzed glyceride (1 - 50 wt.%) and lubricant (0.5 - 10 wt.%); and granulating or tabletting aids (1 - 65 wt.%) selected from microcrystalline cellulose or silicified microcrystalline cellulose. The device is formulated as a tablet having a hardness of greater than 5 strong Cobb units and a friability of greater than 1%. The device is fabricated as a unit dose for pulsatile delivery of the pharmaceutical agent or as a uniform matrix tablet for a sustained release of the pharmaceutical agent.

Preferred Components: The microbial polysaccharide is xanthum gum. The uncrosslinked linear polymer is a cellulose ether (preferably hydroxypropylmethyl cellulose). The agent selected from crosslinked polymer (1 - 50 wt.%), lipophillic polymer (1 - 50 wt.%) and/or saturated polyglycolyzed glyceride (1 - 50 wt.%) is added to blend with the microbial polysaccharide and uncrosslinked linear polymer. The crosslinked polymer is Carbopol 971P (RTM). The lipophillic polymer is glyceryl palmitostearate, glyceryl stearate or glyceryl behenate. The saturated

polyglycolyzed glyceride is gelucire 44/14.

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Components: The pharmaceutical agent is diltiazem, glipizide, buspirone, tramadol, gabatpentin, verapamil etodolac, naproxen, diclofenac, COX2 inhibitor, budesonide, venlafaxine, metoprolol, carbidopa, levodopa, carbamazepine, ibuprofen, morphine, pseudoephedrine, paracetamol, cisapride, pilocarpine, methylphenidine, nifedipine, nicardipine, felodipine, captopril, terfenadine, pentoxifylline, fenofibrate, aciclovir, zidovudine, moclobemide, potassium chloride, lamotrigine, **citalopram**, cladribine, loratadine, pancrelipase, lithium carbonate, orphenadrine, ketoprofen, procainamide, ferrous sulfate risperidone, clonazepam, nefazodone, lovastatin, simvastatin, pravachol, ketorolac, hydromorphone, ticlopidine, seligiline, alprazolam, divalproex or phenytoin.

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Components: The lubricant comprises magnesium stearate or talc. The granulating or tabletting aid is sodium laurel sulfate.

TECHNOLOGY FOCUS - INORGANIC CHEMISTRY - Preferred Components: The granulating or tabletting aid is silicone dioxide, calcium phosphate or calcium sulfate.

ABEX UPTX: 20040318

ADMINISTRATION - The device is administered orally in the form of tablet (claimed). No dosage given.

EXAMPLE - Glipizide (4 %) was blended with silicone dioxide (1 %), microcrystalline cellulose (20 %), xanthan gum (40 %) and K4M CR (RTM; hydroypropylmethyl cellulose) (33 %) until a homogeneous mixture was obtained. The mixture was granulated with isopropyl alcohol and dried. The dried granules were milled. The milled granules were blended with talc (1 %) and magnesium stearate (1 %) for 5 minutes and then pressed into tablets.

AN 2004-201272 [19] WPIX

DC A96 B05 B07

IC ICM A61K031-715
ICS A61K009-22; A61K031-198; A61K031-4439; A61K031-455; A61K031-485; A61K031-519; A61K031-522; A61K031-55; A61K031-551; A61K031-554

MC CPI: A12-V01; B01-B03; B04-A04; B04-B03A; B04-C02A2; B04-C02F; B04-C03; B04-L05A; B05-A01A; B05-A01B; B05-A03A; B05-B02C; B05-C04; B05-C05; B05-C07; B06-A02; B06-D04; B06-D06; B06-D07; B06-D09; B06-D12; B06-D13; B06-E01; B06-E05; B06-F03; B07-A02B; B07-D03; B07-D04D; B07-D05; B07-D09; B07-D10; B07-D11; B07-D12; B07-D13; B07-E03; B10-A15; B10-A19; B10-B01A; B10-B02A; B10-B02E; B10-B03B; B10-B04B; B10-C03; B10-C04A; B10-C04B; B10-C04C; B10-C04E; B10-D03; B10-F02; B12-M10A

DRN 0040-U; 0127-U; 0129-U; 0192-U; 0593-U; 0758-U; 1203-U; 1205-U; 1366-U; 1541-U; 1678-U; 1729-U; 1987-U

=> d l52 iall abeq tech abex ind 2-
YOU HAVE REQUESTED DATA FROM FILE 'WPIX' - CONTINUE? (Y)/N:y

YOU HAVE REQUESTED DATA FROM 31 ANSWERS - CONTINUE? Y/(N):y

L52 ANSWER 2 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2004-100911 [11] WPIX
CROSS REFERENCE: 1998-170801 [16]
DOC. NO. CPI: C2004-041695

TITLE: Method for treating psychosis, acute mania, mild anxiety states or depression in combination with psychotic episodes comprises administration of an atypical antipsychotic agent and a serotonin reuptake inhibitor.
DERWENT CLASS: B05
INVENTOR(S): BYMASTER, F P; PERRY, K W; TOLLEFSON, G D
PATENT ASSIGNEE(S): (ELIL) LILLY & CO ELI
COUNTRY COUNT: 22
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
EP 1256345	A1	20021113	(200411)*	EN	17	A61K031-551

R: AL AT BE CH DE DK ES FI FR GB GR IE IT LI LT LU LV NL PT RO SE SI

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 1256345	A1 Div ex	EP 1997-307375	19970922	<--
		EP 2002-16238	19970922	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1256345	A1 Div ex	EP 830864

PRIORITY APPLN. INFO: **US 1996-26884P 19960923**
INT. PATENT CLASSIF.:
MAIN: A61K031-551
SECONDARY: A61K031-135; A61K031-381; A61K031-415; A61K031-4525; A61K031-496; A61K031-519; A61P025-18; A61P025-22; A61P025-24
INDEX: A61K031-551, A61K031:138; A61K031-551, A61K031:4525; A61K031-519, A61K031:381; A61K031-415, A61K031:381; A61K031-496, A61K031:381

BASIC ABSTRACT:

EP 1256345 A UPAB: 20040213

NOVELTY - Method for treating a patient suffering from or susceptible to psychosis, acute mania, mild anxiety states or depression in combination with psychotic episodes comprises administration of an atypical antipsychotic agent in combination with a serotonin reuptake inhibitor.

ACTIVITY - Neuroleptic; Antidepressant; Antimanic; Tranquilizer; Gynecological; Eating-Disorders-Gen.

MECHANISM OF ACTION - Serotonin Reuptake Inhibitor.

No biological data given.

USE - For treating a patient suffering from or susceptible to psychosis, acute mania, mild anxiety states or depression, especially schizophrenia or schizoaffective disorders (claimed). Also useful for treating premenstrual syndrome (PMS) and anorexia nervosa.

ADVANTAGE - The method treats psychotic conditions without the side effect of weight gain typically observed with such treatments.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-A02; B06-A03; B06-D01; B06-D16; B06-E01; B06-F01; B06-F03; B07-B01; B10-A18; B10-B03B; B10-B04B; B12-M11C; B14-J01B3; B14-J03

TECH UPTX: 20040213
TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Agents: The atypical antipsychotic agent is (Form II) olanzapine (preferred), clozapine, risperidone, sertindole, quetiapine or ziprasidone. The serotonin reuptake inhibitor is fluoxetine (preferred), venlafaxine, **citalopram**, fluvoxamine, paroxetine, sertraline, milnacipram or duloxetine.
ABEX UPTX: 20040213
ADMINISTRATION - Administration of olanzapine is 0.25-50, preferably 1-25 mg/dose. Administration of Fluoxetine is 10-40 or 20-80 mg/dose. The composition is adapted for oral administration (claimed).

EXAMPLE - Hard gelatin capsules (210 mg) were prepared from olanzipine (25 mg/capsule), fluoxetine hydrochloride (racemic) (20 mg/capsule), dried starch (150 mg/capsule) and magnesium stearate (10 mg/capsule).
AN 2004-100911 [11] WPIX
DC B05
IC ICM A61K031-551
ICS A61K031-135; A61K031-381; A61K031-415; A61K031-4525; A61K031-496; A61K031-519; A61P025-18; A61P025-22; A61P025-24
ICI A61K031-551, A61K031:138; A61K031-551, A61K031:4525; A61K031-519, A61K031:381; A61K031-415, A61K031:381; A61K031-496, A61K031:381
MC CPI: B06-A02; B06-A03; B06-D01; B06-D16; B06-E01; B06-F01; B06-F03; B07-B01; B10-A18; B10-B03B; B10-B04B; B12-M11C; B14-J01B3; B14-J03

L52 ANSWER 3 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2004-021485 [02] WPIX
CROSS REFERENCE: 2003-067940 [06]; 2003-067941 [06]; 2003-067942 [06]; 2003-067943 [06]; 2003-067944 [06]; 2003-067945 [06]; 2003-067946 [06]; 2003-067947 [06]; 2003-067948 [06]; 2003-067949 [06]; 2003-067950 [06]; 2003-067951 [06]; 2003-067952 [06]; 2003-067953 [06]; 2003-067954 [06]; 2003-067955 [06]; 2003-067956 [06]; 2003-067957 [06]; 2003-120749 [11]; 2003-120750 [11]; 2003-129366 [12]; 2003-229126 [22]; 2003-229127 [22]; 2003-229128 [22]; 2003-276862 [27]; 2003-341272 [32]; 2003-341273 [32]; 2003-341548 [32]; 2003-353191 [33]; 2003-353306 [33]; 2003-353307 [33]; 2003-353308 [33]; 2003-353309 [33]; 2003-353465 [33]; 2003-371875 [35]; 2003-391988 [37]; 2003-392021 [37]; 2003-416686 [39]; 2003-439105 [41]; 2003-447418 [42]; 2003-521547 [49]; 2003-765291 [72]
DOC. NO. NON-CPI: N2004-016509
DOC. NO. CPI: C2004-006862
TITLE: Aerosol for inhalation therapy of antidepressants e.g. bupropion, nefazodone, perphenazine comprises particles containing antidepressant.
DERWENT CLASS: B05 P34
INVENTOR(S): RABINOWITZ, J D; ZAFFARONI, A C
PATENT ASSIGNEE(S): (RABI-I) RABINOWITZ J D; (ZAFF-I) ZAFFARONI A C
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 2003206869	A1	20031106	(200402)*		17	A61L009-04

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE

US 2003206869	A1	Provisional	US 2001-294203P	20010524 <--
		Provisional	US 2001-317479P	20010905 <--
			US 2002-151626	20020516

PRIORITY APPLN. INFO: US 2002-151626 20020516;
US 2001-294203P 20010524; US 2001-317479P 20010905

INT. PATENT CLASSIF.:
MAIN: A61L009-04
SECONDARY: **A61K009-14**; A61K031-137; A61K031-19; A61K031-495; A61K031-496; A61K031-551

BASIC ABSTRACT:

US2003206869 A UPAB: 20040107

NOVELTY - An aerosol comprises particles containing (at least 10, preferably at least 90, especially at least 95) weight% of an antidepressant.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) delivering an antidepressant to a mammal involving: heating the composition comprising at least 5 weight% of the antidepressant to form a vapor; and cooling the vapor to form a condensation aerosol comprising particles which are inhaled by the mammal; and

(2) a kit for the delivering an antidepressant by inhalation to a mammal comprising: the composition comprising at least 5 weight% of the antidepressant and a device that forms aerosol from the composition for inhalation by the mammal. The device contains an element for heating the antidepressant composition to form a vapor, an element for cooling the vapor to form an aerosol and an element permit the mammal to inhale the aerosol.

ACTIVITY - Antidepressant.

MECHANISM OF ACTION - None given.

USE - In inhalation therapy for delivery of antidepressant (claimed).

ADVANTAGE - The delivery of the antidepressants by inhalation via aerosols results in a rapid peak plasma concentration of the antidepressant, such as in less than 1, preferably 0.005 hours. The aerosol particles of the antidepressants contain (less than 0.5) weight% of the degradation products of the antidepressants. The aerosol particles have a mass median aerodynamic diameter of less than 3 microns, with a geometric standard deviation around the mass median aerodynamic diameter of less than 2.5. The aerosols formed have particle density of greater than 1000000 particles/ml; and aerosol is formed at a rate greater than 0.75 mg/seconds.

Dwg.0/1

FILE SEGMENT: CPI GMPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-A02; B06-A03; B06-D08; B06-D12; B06-E05; B06-F04; B07-D11; B07-D13; B08-C01; B08-D01; B10-A18; B10-B03B; B10-B04B; B10-C04E; B14-N12

TECH UPTX: 20040107

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Components: The antidepressant is bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranycypromine, **citalopram**, fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valproic acid or protryptyline.

ABEX UPTX: 20040107

ADMINISTRATION - Administration is by inhalation (claimed). No dosage given.

EXAMPLE - A solution of paroxetine (22 mg) in dichloromethane (200 microliters) was spread out on a thin layer of an aluminum foil (3.5 x 7 cm) and dichloromethane was allowed to evaporate. The foil was wrapped around 300 watt halogen tube, which was then inserted into a T-shaped glass tube. Both the openings of the tube were sealed with parafilm. The parafilm was punctured with needles for flow. The third opening was connected to a 1 l 3-neck glass flask. The glass flask was further connected to a large piston capable of drawing 1.1 l of air through the flask. Alternating current was passed through the halogen bulb by application of 90 volts. Within 1 second, aerosol appeared and was drawn into 1 L flask by use of the piston and was terminated after 6 seconds. The aerosol particles were then analyzed by eight-stage Anderson non-viable cascade impactor. The aerosol particles had an average particle size of 0.55 microns and a particle density of 3400000 particles/seconds.

AN 2004-021485 [02] WPIX
DC B05 P34
IC ICM A61L009-04
ICS **A61K009-14**; A61K031-137; A61K031-19; A61K031-495; A61K031-496; A61K031-551
MC CPI: B06-A02; B06-A03; B06-D08; B06-D12; B06-E05; B06-F04; B07-D11; B07-D13; B08-C01; B08-D01; B10-A18; B10-B03B; B10-B04B; B10-C04E; B14-N12
DRN 0023-U; 0160-U; 0317-U; 1213-U; 1447-U

L52 ANSWER 4 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2003-764654 [72] WPIX
CROSS REFERENCE: 2004-201272 [19]
DOC. NO. CPI: C2003-209873
TITLE: Controlled release pharmaceutical device useful for unit dose pulsatile delivery of substances or as uniform matrix tablet of sustained release of substances, comprises microbial polysaccharide and cellulose ether.
DERWENT CLASS: A11 A96 B05 B07
INVENTOR(S): ODIDI, A; ODIDI, I
PATENT ASSIGNEE(S): (INTE-N) INTELLIPHARMACEUTICS CORP
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 6607751	B1	20030819	(200372)*		6	A61K009-22

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
US 6607751	B1 Provisional	US 1997-61501P	19971010	<--
		US 1998-169409	19981009	<--

PRIORITY APPLN. INFO: **US 1997-61501P 19971010; US 1998-169409 19981009**
INT. PATENT CLASSIF.:
MAIN: A61K009-22
SECONDARY: A61K009-10; **A61K009-16**; A61K009-24; A61K047-36
BASIC ABSTRACT:
US 6607751 B UPAB: 20040318

NOVELTY - A controlled release pharmaceutical device for delivery of substances, comprises 25-60 weight% microbial polysaccharide; and 15-60 weight% cellulose ether.

USE - The invention is used as unit dose for pulsatile delivery of substances or as uniform matrix tablet of sustained release of substances in mammal, especially human beings.

ADVANTAGE - The invention can be made in a cost efficient manner and provides sustained and pulsatile delivery of substances for a predetermined period of time. It is formulated as a tablet having a hardness of greater than 5 Strong Cobb units and friability of less than 1%.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: A03-A04A; A03-C02; A12-V01; B01-B03; B04-B01B; B04-C02; B04-C03; B04-L05A; B04-N04; B05-A01A; B05-A01B; B05-B02A3; B05-B02C; B05-C04; B05-C05; B05-C07; B06-A01; B06-D04; B06-D07; B06-D09; B06-D12; B06-D13; B06-D17; B06-E05; B06-F03; B07-A02; B07-D03; B07-D04C; B07-D05; B07-D09; B07-D10; B07-D12; B07-D13; B07-E03; B10-B02; B10-B03; B10-C03; B10-C04; B10-C04B; B10-C04E; B10-F02; B12-M11B

TECH UPTX: 20031107

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Composition: The device additionally comprises 1-80 wt.% naproxen, COX2 inhibitors, budesonide, venlafaxine, metoprolol, carbidopa, levodopa, carbamazepine, ibuprofen, morphine, pseudoephedrine, paracetamol, cisapride, pilocarpine, methylphenidine, nicardipine, felodipine, captopril, terfenadine, pentoxifylline, fenofibrate, aciclovir, zidovudine, moclobemide, potassium chloride, lamotrigine, **citalopram**, cladribine, loratadine, pancrelipase, lithium carbonate, orphenadrine, ketoprofen, procainamide, ferrous sulfate risperidone, clonazepam, lovastatin, simvastatin, pravachol, ketorolac, hydromorphone, ticlopidine, seligiline, alprazolam, divalproex or phenytoin. It may also comprise 0.5-10 wt.% lubricant, and 1-65 wt.% granulating or tabletting aids.
Preferred Component: The lubricant comprises magnesium stearate or talc.

TECHNOLOGY FOCUS - POLYMERS - Preferred Material: The microbial polysaccharide is xanthan gum. The cellulose ether is hydroxypropylmethyl cellulose. The granulating or tabletting aids are silicon dioxide, microcrystalline cellulose, calcium phosphate, calcium sulfate, sodium laurel sulfate or silicified microcrystalline cellulose.
Preferred Composition: The composition additionally comprises 1-50 wt.% crosslinked polymer, 1-50 wt.% lipophilic polymer and/or 1-50 wt.% saturated polyglycolized glyceride. The pharmaceutical composition comprises (wt.%) glipizide (4), microcrystalline cellulose (20), xanthan gum (40), hydroxypropyl cellulose (33), silicone dioxide (1), talc (1), magnesium stearate (1), naproxen sodium (55), or saturated polyglycolyzed glyceride (9).

ABEX UPTX: 20031107

ADMINISTRATION - For oral administration.
EXAMPLE - Glipizide (4 weight%) was blended with silicone dioxide (1 weight%), microcrystalline cellulose (20 weight%), xanthan gum (40 weight%), and hydroxypropylmethyl cellulose (33 weight%), in a high shear mixer until homogeneous mixture was obtained. The mixture was granulated with isopropyl alcohol and dried in fluid bed dryer to a loss on drying of less than 2%. The dried granules were passed through a sieve mesh. The milled granules were blended with talc (1 weight%) and magnesium stearate (1) for 5 minutes in a blender. Finally, the treated granules were pressed into

tablets using a rotary tablet press.
AN 2003-764654 [72] WPIX
DC A11 A96 B05 B07
IC ICM A61K009-22
ICS A61K009-10; **A61K009-16**; A61K009-24; A61K047-36
MC CPI: A03-A04A; A03-C02; A12-V01; B01-B03; B04-B01B; B04-C02; B04-C03; B04-L05A; B04-N04; B05-A01A; B05-A01B; B05-B02A3; B05-B02C; B05-C04; B05-C05; B05-C07; B06-A01; B06-D04; B06-D07; B06-D09; B06-D12; B06-D13; B06-D17; B06-E05; B06-F03; B07-A02; B07-D03; B07-D04C; B07-D05; B07-D09; B07-D10; B07-D12; B07-D13; B07-E03; B10-B02; B10-B03; B10-C03; B10-C04; B10-C04B; B10-C04E; B10-F02; B12-M11B
DRN 0040-U; 0127-U; 0129-U; 0192-U; 0593-U; 0758-U; 1203-U; 1205-U; 1366-U; 1541-U; 1678-U; 1694-U; 1767-U; 1852-U; 1987-U

L52 ANSWER 5 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2003-607932 [57] WPIX
DOC. NO. NON-CPI: N2003-484729
DOC. NO. CPI: C2003-165660
TITLE: Systemically delivering a selective serotonin reuptake inhibitor to a mammal involves intravaginally or rectally administering selective serotonin reuptake inhibitor.
DERWENT CLASS: B05 B07 P32
INVENTOR(S): GLAZER, B; KAY, M F; MAHASHABDE, A; ZHANG, J
PATENT ASSIGNEE(S): (GLAZ-I) GLAZER B; (KAYM-I) KAY M F; (MAHA-I) MAHASHABDE A; (ZHAN-I) ZHANG J; (ENHA-N) ENHANCE PHARM INC
COUNTRY COUNT: 100
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2003055424	A1	20030710	(200357)*	EN	15	A61F006-08
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SI SK SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SK SL TJ TM TN TR TT TZ UA UG UZ VN YU ZA ZM ZW						
US 2003133977	A1	20030717	(200360)			A61K031-495
AU 2002357352	A1	20030715	(200421)			A61F006-08

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2003055424	A1	WO 2002-US40808	20021220	
US 2003133977	A1 Provisional	US 2001-343254P	20011221	<--
		US 2002-95558	20020312	
AU 2002357352	A1	AU 2002-357352	20021220	

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002357352	A1 Based on	WO 2003055424

PRIORITY APPLN. INFO: US 2002-95558 20020312;
US 2001-343254P
20011221

INT. PATENT CLASSIF.:
MAIN: A61F006-08; A61K031-495
SECONDARY: A61F006-14; A61F006-144; A61F009-02; A61F009-022; A61F013-02; A61F013-022; A61K009-22; A61K031-137; A61K031-445

BASIC ABSTRACT:

WO2003055424 A UPAB: 20030906

NOVELTY - A method for systemically delivering a selective serotonin reuptake inhibitor (SSRI) to a mammal involves intravaginally or rectally administering (SSRI).

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a device for immediate delivery/delivering and controllably releasing (SSRI) intravaginally over an extended period of time in a single application to treat a disorder (e.g. treating depression, eating disorders, migraine headaches, pain, psychoactive substance use disorders, pre-menstrual dysphoric disorders (PMDD) or obsessive-compulsive disorders). The device is adapted to receive a pharmaceutical composition comprising (SSRI) (preferably fluoxetine (4 - 60 weight%)) and excipient (40 - 96 weight%) such that upon insertion of the device into the vaginal canal of a female, the (SSRI), is immediately/continuously released from the device over an extended period of time to treat the disorder.

ACTIVITY - Antidepressant; Eating-Disorder-Gen.; Antimigraine; Analgesic; Gynecological; Tranquilizer.

MECHANISM OF ACTION - None given.

USE - In pharmaceutical composition for treating depression, eating disorders, migraine headaches, pain, psychoactive substance use disorders, pre-menstrual dysphoric disorders (PMDD) or obsessive-compulsive disorders.

ADVANTAGE - The method increases the (SSRI) levels in a mammal or elicits an anti-depressant effect in a mammal. The method avoids the peaks in plasma concentration observed in oral delivery and results in consistent plasma levels of active agent that may be sustained over a long period of time. The method reduces side effect due to decreased serum concentration and reduced first pass metabolism, provides lower effective circulating concentration (systemic load); has the ability to control the rate of delivery of the agent with immediate release or longer duration of action based on controlled release from the vehicle and provides freedom from peaks in plasma concentration as generally observed in oral delivery compared to the conventional treatments with orally delivered active agent.

Dwg.0/11

FILE SEGMENT: CPI GMPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-A02; B10-A18; B10-B03B; B10-B04B; B12-M08; B12-M10A; B14-C01; B14-E11; B14-E12; B14-J01A1; B14-J01B4; B14-J03; B14-M01C; B14-N14

TECH UPTX: 20030906

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Components: The SSRI is fluoxetine, sertraline, paroxetine, fluvoxamine, **citalopram** or their salts (preferably fluoxetine or its salt).

ABEX UPTX: 20030906

ADMINISTRATION - (SSRI) is administered intravaginally or rectally (claimed) in a dosage of 0.001 - 1 g/kg of body weight. The fluoxetine is administered in a dosage of 5 - 80 mg/day for several days up to several weeks.

EXAMPLE - A study was designed to compare the pharmacokinetic profiles of oral vs. intravaginal administration of fluoxetine in white albino female New Zealand rabbits. Three rabbits (3 - 4 kg) received fluoxetine inserts intravaginally (7.5 mg/kg/day). The fluoxetine tablets were administered

orally for once on day 0 using an animal-pilling device. The fluoxetine inserts were administered by insertion into the vaginas of the rabbits for 2 - 4 hours for once on day 0, after which they were removed. Blood samples were obtained for the determination of plasma concentration of fluoxetine. Starting on day 0, blood samples were obtained for toxicokinetic determinations from all animals pretest and at 1, 3, 8, 12, 16, 24, 36, 48, 72, 96, 120, 144, 168, 240, 360, 480, 672 and 840 hours post-dose. Pre-dose samples were collected one week prior to dosing. approximately Whole blood (1.5 ml) was obtained from the medial auricular artery of the unanesthetized rabbits, unfasted and were preserved using EDTA. The samples were stored at -70degreesC or lower until plasma analysis could be performed. Plasma levels were obtained for fluoxetine and its metabolite, norfluoxetine. Analysis showed that the mean fluoxetine plasma levels were higher in rabbits receiving fluoxetine intravaginally compared to the rabbits receiving fluoxetine orally. Fluoxetine levels in both groups were almost undetectable after 72 hours. Analysis of the mean plasma levels for the fluoxetine metabolite showed the converse. The metabolite levels were observed to be much lower with intravaginal delivery compared to the oral delivery. The average plasma level of fluoxetine in the rabbit via intravaginal administration was over 80 g/mg after 1 hour as opposed to only about 10 ng/ml after 1 hour via tablet administration.

AN 2003-607932 [57] WPIX
DC B05 B07 P32
IC ICM A61F006-08; A61K031-495
ICS A61F006-14; A61F006-144; A61F009-02; A61F009-022; A61F013-02; A61F013-022; A61K009-22; A61K031-137; A61K031-445
MC CPI: B06-A02; B10-A18; B10-B03B; B10-B04B; B12-M08; B12-M10A; B14-C01; B14-E11; B14-E12; B14-J01A1; B14-J01B4; B14-J03; B14-M01C; B14-N14

L52 ANSWER 6 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2003-555206 [52] WPIX
DOC. NO. CPI: C2003-149911
TITLE: Controlled release delivery device for simultaneously delivering a variety of different pharmaceutically active agents, has more than one vehicle provided within housing and containing active agent, amino acid, buffer and polymer.
DERWENT CLASS: A18 A28 A96 B07 C07
INVENTOR(S): ODIDI, A; ODIDI, I
PATENT ASSIGNEE(S): (ODID-I) ODIDI A; (ODID-I) ODIDI I; (INTE-N) INTELLIPHARMACEUTICS CORP
COUNTRY COUNT: 101
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 2003050620	A1	20030313	(200352)*		9	A61K009-22
WO 2003022252	A2	20030320	(200352)	EN		A61K009-22

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
US 2003050620	A1	US 2001-947464	20010907	<--
WO 2003022252	A2	WO 2002-CA1360	20020905	

PRIORITY APPLN. INFO: **US 2001-947464**
20010907
INT. PATENT CLASSIF.:
MAIN: A61K009-22
SECONDARY: **A61K009-48**; A61K031-137; A61K031-403; A61K031-41; A61K031-4422; A61K031-55; A61P031-18; A61P035-00

BASIC ABSTRACT:

US2003050620 A UPAB: 20030813

NOVELTY - A controlled release delivery device comprises more than one vehicle containing up to 60 weight% active agent, up to 60 weight% amino acid, up to 60 weight% buffer, and up to 70 weight% polymer. The vehicle is provided within a housing.

USE - For simultaneously delivering a variety of different pharmaceutically active agents.

ADVANTAGE - The device represents a substantial improvement and advancement in controlled drug delivery technology. It is useful for simultaneously delivering more than one pharmaceutically active substance in an orally administrable manner. It is capable of pulsatile delivery of pharmaceutically active substances. It is useful for delivering pharmaceutically active substances that are typically incompatible with each other.

DESCRIPTION OF DRAWING(S) - The figure is a schematic drawing showing an assembly of six populations of tablets in a holding chamber.

Dwg.1/2

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: A12-V01; B01-A02; B01-B02; B01-D02; B02-Z; B04-C02B1; B04-C02C; B04-C02D; B04-C03; B04-N02; B04-N04; B05-B01G; B05-B02A3; B06-H; B07-H; B10-A08; B10-A12C; B10-B03B; B10-B04; B10-B04A; B10-B04B; B10-C02; B10-C04D; B10-C04E; B10-D03; B10-E04A; B10-E04C; B10-G02; B12-M10A; C01-A02; C01-B02; C01-D02; C02-Z; C04-C02D; C04-C03; C04-N02; C04-N04; C05-B01G; C05-B02A3; C06-H; C07-H; C10-A08; C10-A12C; C10-B03B; C10-B04; C10-B04B; C10-C02; C10-C04D; C10-C04E; C10-D03; C10-E04A; C10-G02; C12-M10A

TECH UPTX: 20030813

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Component: The vehicle is provided as granules, beads, pellets or tablets, which are irregular in shapes; It additionally comprises an agent from cryoprotectant, lyoprotectant or surfactant. The device additionally comprises activated or super activated charcoal, and provides for the controlled release delivery of more than one pharmaceutically active substance that is incompatible. Two or more vehicles are provided, where one vehicle provides a zero order release and the other vehicle provides a first order release of pharmaceutically active substance. The vehicle(s) provides a zero order release of pharmaceutically active substance, a first order release of pharmaceutically active substance, or a pseudo first order release of pharmaceutically active substance.

Preferred Agent: The active agent is one to treat HIV or AIDS. It is a pharmaceutical active, protein, peptide, algicide, fungicide, germicide,

herbicide, insecticide, and/or pesticide; Abacavir, amprenavir, stavudine, zalcitabine, didanosine, delavirdine, efavirenz Hydroxyurea, indinavir lamivudine, lopinavir, nelfinavir, nevirapine, ritonavir Saquinavir, stavudine or zidovudine; or an active or inactive metabolite or their salts, of a pharmaceutical agent.
Preferred Component: The pharmaceutical active is Acetaminophen/Codeine, Albuterol, Alendronate, Allopurinol, Alprazolam, Amitripryline, Amlodipine/Benazepril, Amoxicillin, Amoxicillin/Clavulanate, Amphetamine Mixed Calsts, acarbose, Atelolol, Atorvastatin, Azithromycin, Beclomethasone, Benazepril, Bisoprolol/HCTZ, Brimonidine, Calcitonin Salmon, Carbamazepine, Carisoprodol, Carvedilol, cefprozil, Cefuroxime, Clecoxib, Cephalexin, Cetinzine, Ciprofloxacin, Cisapride, **Citalopram**, Clarithromycin, Clonazepam, Clonidine, Clopidogrel, Clotrimazole/Betamethasone, Cyclobenzaprine, Diazepam, Misoprostol, Digoxin, Divalproex, Donepezil, Doxazosin, Enalapril, Erythromycin, Estradiol, Ethinyl Estradiol/Norethindrone, Famotidine, Felodipine, Fexofenadine, Fexofenadine/Pseudoephedrine, Fluoxetine, Fluticasone, Propionate, Fluvastatin, Fluvoxamine maleate, Fosinopril, Furosemide, Gemfibrozil, Glimepiride, Glyburide, Guaifenesin/Phenylpropanolamine, Granisetron hydrochloric acid (HCl), Hydrochlorothiazide, Hydrocodone w/APAP, Ibufropen, Ipratropium, Ipratropium/Albuterol, Isbesartan, Isosorbide Mononitrate, Lansoprazole, Latanoprost, Levofloxacin, Levonorgestrel/Ethinyl Estradiol, Levothyroxine, Lisinopril, Lisinopril/HCTZ, Loratadine, Loratidine/Pseudoephedrine, Lorazepam, Losartan, Losartan/HCTZ, Lovastatin, Methylprednisolone, Methylphenidate, Metoprolol, miglitol Mometasone, Montelukast, Mupirocin, Naproxen, Nitrofurantoin, Nizatidine, Olanzapine, Oxaprozin, Oxycodone, Oxycodone/APAP, Paroxetine, Penicillin VK, Phenytoin, Potassium, Chloride, Pramipexole HCl, Pravastatin, Predinisone, Promethazine, Propoxyphene N/APAP, Propranolol, Quinapril, Raloxifene, Ramipril, Ranitidine, repaglinide, Risperidone, Rofecoxib, Salmeterol, Sertraline, Sildenafil Citrate, Simvastatin, Sumatriptan, Tamoxifen, Tamsulosin, Tamazepam, Terazosin, Terbinafine, Tobramycin/Dexamethasone, Tolterodine, Tranylcypromine sulfite, Trazodone, Triamterene/HCTZ, Troglitazone, Valsartin, Venlafaxin, Warfarin, Zafirlukast or Zolpidem; hormones or prostaglandins; or anticancer agent.
Preferred Properties: The granules, beads, pellets or tablets have a diameter and thickness of less than 40, preferably 13 mm.

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Component: The amino acid is nonpolar, polar neutral, polar basic, or polar/acid amino acids. The buffer is organic or inorganic buffers. It is preferably phosphate, citrate, HEPES, succinate, histidine, maleate, lactate, and/or acetate buffers.

TECHNOLOGY FOCUS - POLYMERS - Preferred Component: The polymer is cellulose esters, cellulose ethers, polyethylene oxide, carbomer, cyclodextrins, polyethylene glycol, dextran, polyvinylpyrrolidone, lactide/glycolide copolymers, poly(ortho esters), polyanhydrides, polyvinyl alcohol, alginates, polysaccharides, polyamides, polyvinyl chloride, polyethylene vinyl acetate, polyvinyl pyrrolidone, polyurethanes, hydrogels, silicone polymers, polyacrylates, polymethacrylates, poly amino carbonates, deacetylated chitin, collagen, polyisobutylenes, gelucire, and/or glyceryl behenate.
Preferred Material: The housing is made of gelatin, hydroxypropyl methylcellulose, non-toxic metal, metal alloy, and/or non-toxic plastic.

AN 2003-555206 [52] WPIX
DC A18 A28 A96 B07 C07
IC ICM A61K009-22
ICS **A61K009-48**; A61K031-137; A61K031-403; A61K031-41;

A61K031-4422; A61K031-55; A61P031-18; A61P035-00
MC CPI: A12-V01; B01-A02; B01-B02; B01-D02; B02-Z; B04-C02B1; B04-C02C; B04-C02D; B04-C03; B04-N02; B04-N04; B05-B01G; B05-B02A3; B06-H; B07-H; B10-A08; B10-A12C; B10-B03B; B10-B04; B10-B04A; B10-B04B; B10-C02; B10-C04D; B10-C04E; B10-D03; B10-E04A; B10-E04C; B10-G02; B12-M10A; C01-A02; C01-B02; C01-D02; C02-Z; C04-C02D; C04-C03; C04-N02; C04-N04; C05-B01G; C05-B02A3; C06-H; C07-H; C10-A08; C10-A12C; C10-B03B; C10-B04; C10-B04B; C10-C02; C10-C04D; C10-C04E; C10-D03; C10-E04A; C10-G02; C12-M10A
DRN 0002-U; 0009-U; 0014-U; 0129-U; 0141-U; 0215-U; 0247-U; 0419-U; 0487-U; 0758-U; 0900-U; 0901-U; 0960-U; 1203-U; 1206-U; 1218-U; 1245-U; 1255-U; 1324-U; 1627-U; 1629-U; 1636-U; 1857-U; 1986-U; 2007-U; 2018-U; 2044-U; 2055-U; 2067-U

L52 ANSWER 7 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2003-468366 [44] WPIX
DOC. NO. CPI: C2003-124936
TITLE: Use of a granule material based on pyrogenically produced silicon dioxide in a pharmaceutical composition or adsorbate.
DERWENT CLASS: B05 B07
INVENTOR(S): HASENZAHL, S; HEYM, J; MEYER, J
PATENT ASSIGNEE(S): (DEGS) DEGUSSA AG; (HASE-I) HASENZAHL S; (HEYM-I) HEYM J; (MEYE-I) MEYER J
COUNTRY COUNT: 100
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2003037379	A1	20030508	(200344)*	EN	24	A61K047-02
RW:	AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW					
W:	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG UZ VN YU ZA ZM ZW					
DE 10153078	A1	20030522	(200344)			A61K009-16<--
US 2004022844	A1	20040205	(200411)			A61K009-48<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2003037379	A1	WO 2002-EP7588	20020706	
DE 10153078	A1	DE 2001-10153078	20011030	<--
US 2004022844	A1 Provisional	US 2001-331533P	20011119	<--
		US 2002-281223	20021028	

PRIORITY APPLN. INFO: **DE 2001-10153078**
20011030
INT. PATENT CLASSIF.:
MAIN: **A61K009-16**; **A61K009-48**; A61K047-02
SECONDARY: **A61K009-20**; A61K031-00; A61K031-165; A61K031-355; A61K031-60; A61K033-00; A61P029-00; A61P039-06; A61P043-00
BASIC ABSTRACT:
WO2003037379 A UPAB: 20030710

NOVELTY - Use of granule material based on pyrogenically produced silicon dioxide in a pharmaceutical composition.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) A pharmaceutical composition comprising the granular material based on pyrogenically produced silicon dioxide and at least one pharmaceutical active constituent;

(2) An adsorbate of the granule material and at least one pharmaceutical active constituent or auxiliary substance; and

(3) Preparation of the adsorbate, involving:

(a) melting the substance(s) (preferably active constituent or auxiliary substance, or their distribution in the solvent) to be adsorbed;

(b) mixing the granular material with the resulting mixture; and

(c) optionally removing the solvent.

USE - The granular material is used in pharmaceutical composition or adsorbate (claimed).

The material is also used as carriers of pharmaceutical active constituents and/or an auxiliary substance.

ADVANTAGE - The granular material has higher bulk density and tamped density, improved flowability, narrower grain size distribution, and dust-free processing. The tablet form has higher mechanical stability and an improved disintegration behavior.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B01-B02; B02-A; B02-C; B04-A04; B04-B03A; B04-G21; B04-L01; B04-N02; B04-N02A; B05-A03B; B05-B01G; B05-B01P; B05-B02C; B06-H; B07-H; B10-A10; B10-A13D; B10-A19; B10-B01A; B10-B02D; B10-B02F; B10-B03B; B10-B04B; B10-C02; B10-C03; B10-D03; B10-E04A; B10-E04B; B10-F02; B10-J02; B11-C09; B12-M05; B14-A01; B14-A02; B14-A04; B14-C01; B14-C03; B14-C06; B14-C08; B14-E07; B14-E08; B14-F01; B14-F02B; B14-F02F2; B14-F04; B14-F07; B14-F08; B14-G01; B14-H01; B14-J01; B14-J02; B14-J07; B14-K01; B14-L09; B14-N11; B14-N17C; B14-S04; B14-S09

TECH UPTX: 20030710

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The composition further comprises at least one pharmaceutical auxiliary substance.

The composition is in the form of a suspension, emulsion, aerosol, ointment, cream, gel, paste, suppository, stick, powder, topical powder, granular material, tablet, pastille, sugar-coated pill, film-coated tablet, hard gelatin capsule, soft gelatin capsule, extrudate, microcapsule or microsphere. Preferred Components: The granular material has a mean diameter of 10 - 120 mum and a BET surface of 40-400 m2/g (determination according to DIN 66 131 using N).

The pharmaceutical active constituent is, e.g. alpha-proteinase inhibitor, abacavir, abciximab, acarbose, acetylsalicylic acid, acyclovir, adenosine, albuterol, aldesleukin, alendronate, alfuzosin, alosetrone, alprazolam, alteplase, ambroxol, amifostine, amiodarone, amisulprid, amlodipine, or ancrod.

The pharmaceutical auxiliary substance is an antioxidant, binder, emulsifier, coloring agent, film-forming agent, filler, gel-forming agent, odoriferous substance, flavoring substance, preservative, solvent, oil, powder base, ointment base, acid and salt for the formation, replenishment and production of pharmaceutical composition, lubricant, release agent, suppository base, suspension stabilizer, sweetening agent, effervescent gas, emollient or sugar substitute.

ABEX UPTX: 20030710

ADMINISTRATION - The granular material can be administered orally or topically. No dosage given.

EXAMPLE - Pyrogenically produced silicon dioxide AEROSIL 300 (RTM) (10 kg) (A) was dispersed in fully deionized water (100 kg). The suspensions that were formed were spray dried at 380 degrees C. The deposition of the finished product was carried out using a filter. The heat treatment of the spray-dried granular materials was carried out at 105 degrees C to produce a granular material based on pyrogenically produced silicon dioxide. The granular material obtained (30 g) was added to a solution of acetylsalicylic acid (60 g) in acetone (500 ml) and the resultant mixture was stirred for 2 hours at room temperature. The acetone was distilled off and the resultant solid was dried for 2 hours at 45 degrees C and then allowed to stand overnight. The product was screened through screen. Hard gelatin capsules were filled with the product. For a comparison, AEROSIL 300 (RTM) was used instead of (A). The test/comparative capsule had a bulk density (g/l) of 347/323, tamped density (g/l) of 454/410 and mean capsule weight (mg) of 232/224.

AN 2003-468366 [44] WPIX
DC B05 B07
IC ICM **A61K009-16**; **A61K009-48**; A61K047-02
ICS **A61K009-20**; A61K031-00; A61K031-165; A61K031-355; A61K031-60; A61K033-00; A61P029-00; A61P039-06; A61P043-00
MC CPI: B01-B02; B02-A; B02-C; B04-A04; B04-B03A; B04-G21; B04-L01; B04-N02; B04-N02A; B05-A03B; B05-B01G; B05-B01P; B05-B02C; B06-H; B07-H; B10-A10; B10-A13D; B10-A19; B10-B01A; B10-B02D; B10-B02F; B10-B03B; B10-B04B; B10-C02; B10-C03; B10-D03; B10-E04A; B10-E04B; B10-F02; B10-J02; B11-C09; B12-M05; B14-A01; B14-A02; B14-A04; B14-C01; B14-C03; B14-C06; B14-C08; B14-E07; B14-E08; B14-F01; B14-F02B; B14-F02F2; B14-F04; B14-F07; B14-F08; B14-G01; B14-H01; B14-J01; B14-J02; B14-J07; B14-K01; B14-L09; B14-N11; B14-N17C; B14-S04; B14-S09
DRN 0034-U; 0052-U; 0112-U; 0166-U; 0289-U; 0401-U; 1187-U; 1203-U; 1206-U; 1213-U; 1242-U; 1627-U; 1629-U; 1694-U; 1874-U; 1986-U; 2007-U; 2048-U; 2055-U; 2063-U

L52 ANSWER 8 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2003-449402 [42] WPIX
CROSS REFERENCE: 2003-449391 [42]
DOC. NO. CPI: C2003-119375
TITLE: Osmotic device for independent, controlled release of two active agents, e.g. oxybutynin and tolterodine, comprises core of active agent layers enclosed in membrane having release hole.
DERWENT CLASS: B05 B07
INVENTOR(S): RICCI, M A; VERGEZ, J A
PATENT ASSIGNEE(S): (OSMO-N) OSMOTICA COSTA RICA SA
COUNTRY COUNT: 101
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2003039519	A2	20030515	(200342)*	ES	77	A61K009-24

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT

RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA
ZM ZW

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003039519	A2	WO 2002-CR6	20021106

PRIORITY APPLN. INFO: **US 2001-992488**
20011106
INT. PATENT CLASSIF.:
MAIN: A61K009-24
BASIC ABSTRACT:
WO2003039519 A UPAB: 20030703
NOVELTY - Osmotic device (I) comprises core comprising first and second compositions (C1) and (C2) containing active ingredients in layered form and membrane surrounding core having at least one pre-formed passage in contact with (C1), providing controlled release of the compositions when (I) is in an aqueous environment.

DETAILED DESCRIPTION - Osmotic device (I) comprises:

(1) core containing first and second compositions (C1) and (C2) containing first and second active agents (A1) and (A2) respectively (plus excipient(s)), (C1) and (C2) being in contact with each other and in 'stacked' (layered) form; and

(2) membrane surrounding the core and having at least one pre-formed passage in contact with (C1), providing controlled release of (C1) and (C2) when (I) is placed in an aqueous environment.

USE - (I) are used as tablets to provide independent, controlled release of active agents in aqueous environments.

ADVANTAGE - The devices provide independent, controlled release profiles of (A1) and (A2); specifically (A1) and (A2) are released sequentially or simultaneously and the release profiles are pseudo-first order, first order, pseudo-zero order, zero-order and/or retarded release (all claimed). Therapeutically effective levels of both (A1) and (A2) (having a wide range of solubilities) can be provided for a prolonged period (e.g. 24 hours).

Dwg.0/8
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-H; B07-H; B08-C01; B08-D01; B09-D02; B10-A08; B10-A12C; B10-A13D; B10-A17; B10-A18; B10-B02G; B10-B03B; B10-B04B; B10-C04A; B11-C03; B12-M10; B12-M11B
TECH UPTX: 20030703

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Active Agents: (A1) and (A2) are selected from antibiotic, antihistaminic, decongestant, antiinflammatory, antiparasitic, antiviral, local anesthetic, antifungal, antiamoebic, trichomonocidal, analgesic, antiarthritic, antiasthmatic, anticoagulant, anticonvulsant, anti-Alzheimer's disease, antidepressant, antidiabetic, antineoplastic, antipsychotic, neuroleptic, antihypertensive, hypnotic, sedative, anxiolytic, antiparkinsonian, muscle relaxant, antimalarial, hormonal, contraceptive, sympathomimetic, hypoglycemic, antilipemic, ophthalmological, electrolytic, diagnostic, prokinetic, gastric acid secretion inhibiting, antiulcer, antiflatulence, anti-incontinence and cardiovascular agents.
Preferred (A1)/(A2) combinations are prokinetic/gastric acid secretion inhibitor, decongestant/antihistamine, anti-incontinence/different anti-incontinence, antihypertensive/different antihypertensive,

antidepressant/antipsychotic, antiinflammatory or analgesic/different antiinflammatory or analgesic, antiviral/antihistamine, muscle relaxant/antiinflammatory or analgesic, antidiabetic/different antidiabetic, antidepressant/anti-Alzheimer's disease, anticonvulsant/antipsychotic and pyridinol/selective cyclooxygenase (COX)-II inhibitor.
In particular the analgesics or antiinflammatories are non-steroidal or steroidal antiinflammatories, opioid receptor agonists or selective or specific COX-II inhibitors; the antihypertensives are calcium channel blockers, angiotensin converting enzyme inhibitors, diuretics or beta-adrenergic antagonists; the antidiabetic agents are tolbutamide, chlorpropamide, tolazamide, acetohexamide, glibenclamide, gliclazide, 1-butyl-3-metanilylurea, carbutamide, glibonuride, glyburide, gliquidone, glisoxepid, glybuthiazole, glybuzole, glyhexamide, glymide, glypinamide, fenbutamide, tolcyclamide, rosiglitazone, pioglitazone, troglitazone, metformin, nateglinide or repaglinide; the anti-Alzheimer's disease agents are memantine, domepecil, galanthamine, rivastigmine or tacrine; the antidepressants are venlafaxine, amitriptyline, **citalopram**, bupropion, clomipramine, desipramine, nefazodone, fluoxetine, doxepin, fluvoxamine, maprotiline, imipramine, mirtazapine, nortriptyline, paroxetine, fenalzine, tranylcypromine, protriptyline, sertraline, trazodone, trimipramine or amoxapine; the anticonvulsants are carbamazepine, lamotrigine, levetiracetam, oxcarbazepine, topiramate or zonisamide; and the antipsychotic agents are chlorpromazine, clozapine, fluphenazine, haloperidol, loxapine, mesoridazine, molindone, olanzapine, quetiapine, ziprasidone, risperidone, perphenazine, pimozide, prochlorperazine, thioridazine, tiotixene or trifluoperazine. In particular (A1) is oxybutynin and (A2) is darifenacin, duloxetine or tolterodine (all claimed) which are useful in the treatment of urinary incontinence.
Preferred Device: The membrane is semipermeable. A coating is optionally provided outside the membrane and/or between the core and the membrane, the coatings specifically being soluble or erodible in water, inert and microporous, permeable, semipermeable or impermeable. A second pre-formed passage in contact with (C2) is optionally included.

ABEX UPTX: 20030703

EXAMPLE - An osmotic drug delivery device in tablet form comprised: (i) a core layer containing 5.15 mg oxybutynin hydrochloride (corresponding to 5 mg oxybutynin), 69.00 mg mannitol, 30.00 mg anhydrous dextran, 6.35 mg povidone, 1.15 mg polyethylene glycol (PEG) 400, 4.00 mg PEG 6000, 2.00 mg tartaric acid, 1.35 mg magnesium stearate and 1.00 mg colloidal silica; (ii) a core layer containing 1.46 mg tolterodine tartrate (corresponding to 1 mg tolterodine), 50.00 mg sodium chloride, 78.54 mg microcrystalline cellulose, 9.00 mg povidone, 5.00 mg PEG 400, 2.00 mg PEG 6000, 1.00 mg red iron oxide, 2.00 mg magnesium stearate and 1.00 mg colloidal silica; (iii) a first coating containing 19.05 mg cellulose acetate and 0.95 mg PEG 400; and (iv) a second coating containing 3.70 mg hydroxypropyl methyl cellulose 2910, 3.00 mg copovidone, 1.05 mg PEG 6000 and 2.25 mg titanium dioxide. Production involved forming the layer (i); applying the layer (ii) to form a laminated bilayer nucleus; applying the coating (iii); applying the layer (iv); and boring a 0.50 mm diameter hole through the coatings. In release tests in water at 37 degreesC under stirring, the amount of oxybutynin released was 0-10% in 1 hour, 5-25% in 3 hours, 17-36% in 5 hours, 20-50% in 7 hours, 40-70% in 11 hours, 58-84% in 15 hours, 70-89% in 19 hours and 76-100% in 24 hours and the amount of tolterodine released was 0-12% in 1 hour, 3-25% in 3 hours, 17-36% in 5 hours, 31-50% in 7 hours, 49-60% in 9 hours, 61-76% in 11 hours, 74-90% in 15 hours and 76-100% in 24 hours.

AN 2003-449402 [42] WPIX

DC B05 B07

IC ICM A61K009-24
MC CPI: B06-H; B07-H; B08-C01; B08-D01; B09-D02; B10-A08; B10-A12C; B10-A13D; B10-A17; B10-A18; B10-B02G; B10-B03B; B10-B04B; B10-C04A; B11-C03; B12-M10; B12-M11B
DRN 0021-U; 0022-U; 0023-U; 0025-U; 0026-U; 0066-U; 0160-U; 0250-U; 0288-U; 0317-U; 0608-U; 0983-U; 1203-U; 1213-U; 1447-U; 1585-U

L52 ANSWER 9 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2003-111841 [10] WPIX
DOC. NO. CPI: C2003-028544
TITLE: Composition useful in treatment of various diseases e.g. depression comprises escitalopram comprising R-**citalopram.**
DERWENT CLASS: B02
INVENTOR(S): LYNG JENSEN, J; MORK, A; SANCHEZ, C; JENSEN, J L; LYNGJENSEN, J; LYNG, J J
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H
COUNTRY COUNT: 101
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2002087566	A1	20021107	(200310)*	EN	14	A61K031-343
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW						
NO 2003004538	A	20031009	(200380)			A61K031-343
EP 1385503	A1	20040204	(200410)	EN		A61K031-343
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
BR 2002008283	A	20040309	(200420)			A61K031-343
SK 2003001461	A3	20040406	(200427)			A61K031-343
AU 2002254870	A1	20021111	(200433)			A61K031-343
HU 2004000054	A2	20040428	(200435)			A61K031-343
CZ 2003003267	A3	20040616	(200441)			A61K031-343

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002087566	A1	WO 2002-DK281	20020501
NO 2003004538	A	WO 2002-DK281	20020501
		NO 2003-4538	20031009
EP 1385503	A1	EP 2002-724141	20020501
		WO 2002-DK281	20020501
BR 2002008283	A	BR 2002-8283	20020501
		WO 2002-DK281	20020501
SK 2003001461	A3	WO 2002-DK281	20020501
		SK 2003-1461	20020501
AU 2002254870	A1	AU 2002-254870	20020501
HU 2004000054	A2	WO 2002-DK281	20020501
		HU 2004-54	20020501
CZ 2003003267	A3	WO 2002-DK281	20020501
		CZ 2003-3267	20020501

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1385503	A1 Based on	WO 2002087566
BR 2002008283	A Based on	WO 2002087566
SK 2003001461	A3 Based on	WO 2002087566
AU 2002254870	A1 Based on	WO 2002087566
HU 2004000054	A2 Based on	WO 2002087566
CZ 2003003267	A3 Based on	WO 2002087566

PRIORITY APPLN. INFO: **DK 2001-684**
20010501

INT. PATENT CLASSIF.:
MAIN: A61K031-343
SECONDARY: A61P025-00; A61P025-22; A61P025-24

BASIC ABSTRACT:

WO 200287566 A UPAB: 20030211

NOVELTY - A composition comprises escitalopram comprising R-**citalopram** (less than 3 w/w.%).

ACTIVITY - Antidepressant; Tranquilizer; Anorectic; Vasotropic; Nootropic.

MECHANISM OF ACTION - 5-HT release inhibitor.

155 Patients were treated with escitalopram, 159 patients were treated with **citalopram** and 154 patients were treated with placebo. The ratio was 3:1 of women to men in each treatment group having a mean age of 43 years. Escitalopram was significantly superior to placebo both on the CGI improvement and severity subscale from week 1 (p greater than 0.05) while **citalopram** was not statistically different from placebo during the 4-week period. At week 4 escitalopram was statistically significantly superior to placebo while there was no statistical significant difference between **citalopram** versus placebo.

USE - For preparation of a pharmaceutical composition in treatment of depression, neurotic disorders, acute stress disorder, eating disorder (such as bulimia, anorexia and obesity), phobias, dysthymia, pre-menstrual syndrome, cognitive disorder, impulsive control disorder, attention deficit hyperactivity disorder and drug abuse (claimed).

ADVANTAGE - The composition is useful in treatment of patients who have failed to respond to initial treatment with conventional selective serotonin reuptake inhibitor (SSRI). Escitalopram gives a faster response than **citalopram**-racemate and is twice as potent as the racemate. Escitalopram is effective in lower doses having less side effects due to reduced amount of serotonin reuptake inhibitor reducing the risk of SSRI-induced sexual dysfunction and sleep disturbances.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-A02; B12-M11B; B14-E11; B14-E12; B14-J01A1; B14-J01A4; B14-J01B4; B14-J04; B14-M01C; B14-N14

TECH UPTX: 20030211

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The escitalopram is used as an oxalate salt (preferably crystalline oxalate salt). The composition comprises escitalopram (at most 1 w/w.%) having R-**citalopram** (at most 2 w/w.%).

ABEX UPTX: 20030211

ADMINISTRATION - The composition is administered in a dosage of (2.5 - 20, preferably at most 10, especially at most 7.5, particularly 5) mg. The composition for treatment of major depression is administered daily in a dosage of (at most 10, preferably at most 7.5, especially 5) mg. The

composition is administered orally (preferably in to form of tablet) (all claimed).

EXAMPLE - None given.

AN 2003-111841 [10] WPIX
DC B02
IC ICM A61K031-343
ICS A61P025-00; A61P025-22; A61P025-24
MC CPI: B06-A02; B12-M11B; B14-E11; B14-E12; B14-J01A1; B14-J01A4; B14-J01B4; B14-J04; B14-M01C; B14-N14

L52 ANSWER 10 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2003-067945 [06] WPIX
CROSS REFERENCE: 2003-067940 [06]; 2003-067941 [06]; 2003-067942 [06]; 2003-067943 [06]; 2003-067944 [06]; 2003-067946 [06]; 2003-067947 [06]; 2003-067948 [06]; 2003-067949 [06]; 2003-067950 [06]; 2003-067951 [06]; 2003-067952 [06]; 2003-067953 [06]; 2003-067954 [06]; 2003-067955 [06]; 2003-067956 [06]; 2003-067957 [06]; 2003-112259 [10]; 2003-120749 [11]; 2003-120750 [11]; 2003-129366 [12]; 2003-140547 [13]; 2003-156819 [15]; 2003-371875 [35]; 2003-457351 [43]; 2003-505170 [47]; 2003-569111 [53]; 2003-597221 [56]; 2003-598318 [56]; 2004-389125 [36]; 2004-399399 [37]
DOC. NO. CPI: C2003-017878
TITLE: Method of delivering an antidepressant drug to a mammal by inhalation, comprises heating a composition comprising the drug to a vapor, and allowing the vapor to cool and condense.
DERWENT CLASS: B05 P34
INVENTOR(S): RABINOWITZ, J D; ZAFFARONI, A C
PATENT ASSIGNEE(S): (ALEX-N) ALEXZA MOLECULAR DELIVERY CORP; (RABI-I) RABINOWITZ J D; (ZAFF-I) ZAFFARONI A C
COUNTRY COUNT: 101
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2002094232	A1	20021128 (200306)*		EN	48	A61K009-72
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG UZ VN YU ZA ZM ZW						
US 2003206869	A1	20031106 (200402)			17	A61L009-04
EP 1389095	A1	20040218 (200413)		EN		A61K009-72
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
US 2004126326	A1	20040701 (200443)				A61L009-04

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 2002094232	A1		WO 2002-US15765	20020516	
US 2003206869	A1	Provisional	US 2001-294203P	20010524	<--
		Provisional	US 2001-317479P	20010905	<--

			US 2002-151626	20020516	
EP 1389095	A1		EP 2002-729255	20020516	
			WO 2002-US15765	20020516	
US 2004126326	A1	Provisional	US 2001-294203P	20010524	<--
		Provisional	US 2001-317479P	20010905	<--
		Cont of	US 2002-151626	20020516	
			US 2003-734902	20031212	

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1389095	A1 Based on	WO 2002094232

PRIORITY APPLN. INFO: **US 2001-317479P 20010905; US 2001-294203P 20010524;** US 2002-151626 20020516; US 2003-734902 20031212

INT. PATENT CLASSIF.:
MAIN: A61K009-72; A61L009-04
SECONDARY: **A61K009-14**; A61K031-137; A61K031-19; A61K031-4525; A61K031-495; A61K031-496; A61K031-55; A61K031-551; B29B009-00

BASIC ABSTRACT:

WO 200294232 A UPAB: 20040709

NOVELTY - A method of delivering an antidepressant drug to a mammal by inhalation, comprising heating a composition comprising at least 5 weight% of the drug to a vapor, and allowing the vapor to cool and form a condensation aerosol, which is inhaled by the mammal.

DETAILED DESCRIPTION - A method of delivering bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranylcypromine, **citalopram**, fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valprioc acid or protryptyline to a mammal by inhalation, comprising heating a composition comprising at least 5 weight% of the drug to a vapor, and allowing the vapor to cool and form a condensation aerosol, which is inhaled by the mammal.

INDEPENDENT CLAIMS are also included for:

(1) an aerosol for inhalation therapy comprises particles comprising at least 10 weight% of bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranylcypromine, **citalopram**, fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valprioc acid or protryptyline; and

(2) a kit for delivering bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranylcypromine, **citalopram** , fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valprioc acid or protryptyline to a mammal by inhalation, comprising:

(a) a composition comprising at least 5 weight% bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranylcypromine, **citalopram**, fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valprioc acid or protryptyline; and

(b) a device to form bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranylcypromine, **citalopram**, fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valprioc acid or protryptyline into a vapor, where the device comprises an element

for heating the composition, an element to cool the vapor to form an aerosol, and an element permitting the mammal to inhale the aerosol.

ACTIVITY - Antidepressant.

No biological data given.

MECHANISM OF ACTION - None given.

USE - For delivering a composition comprising an antidepressant drug to a mammal by inhalation.

DESCRIPTION OF DRAWING(S) - The drawing shows a device for delivering the drug composition.

Delivery device 100

Proximal end 102

Distal end 104

Heating module 106

Power source 108

Mouthpiece 110

Surface of heating module 112

Dwg.1/1

FILE SEGMENT: CPI GMPI

FIELD AVAILABILITY: AB; GI; DCN

MANUAL CODES: CPI: B06-A02; B06-A03; B06-D12; B06-E05; B08-C01; B10-A18; B10-B03B; B10-B04B; B10-C04E; B11-C03; B12-M01A; B12-M01B; B14-J01A1

TECH UPTX: 20030124

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Method: The aerosol particles have a mass median aerodynamic diameter of less than 3, preferably less than 2 microns. Particles comprise less than 5 wt.% bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranylcypromine, **citalopram**, fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valprioc acid or protryptyline degradation products, and at least 70, preferably at least 95 wt.% bupropion, nefazodone, perphenazine, trazodone, trimipramine, venlafaxine, tranylcypromine, **citalopram**, fluoxetine, fluvoxamine, mirtazepine, paroxetine, sertraline, amoxapine, clomipramine, doxepin, imipramine, maprotiline, nortryptiline, valprioc acid or protryptyline.

Preferred Device: Administration device (100) comprises a proximal end (102) and distal end (104), a heating module (106), power source (108) and mouthpiece (110). The composition comprising the drug is deposited on a surface (112) of the heating module (106). On activation of the switch (114), power source (108) heats the heating module (106) to vaporize the drug, which condenses to an aerosol before reaching the mouthpiece (110) at the proximal end (102). Air travelling from the distal end (104) carries the aerosol to the mouthpiece (110), where it is inhaled by the mammal.

ABEX UPTX: 20030124

ADMINISTRATION - Administration is by inhalation.

EXAMPLE - A solution of drug (5.5 mg) in dichloromethane (DCM; 120 microliters) was coated on a 3.5 x 7.5 cm piece of aluminum foil (pre-cleaned with acetone). The DCM was allowed to evaporate, then the coated foil wrapped around a 300 W halogen tube (Feit Electric Company, Pico Rivera, Ca., USA) which was inserted into a glass tube sealed at one end by a rubber stopper. 90 V AC current for 3.5 s, afforded thermal vapor which collected on the glass walls. Reverse phase HPLC with detection by absorption of 225 nm light determined the purity of the aerosol.

AN 2003-067945 [06] WPIX

DC B05 P34

IC ICM A61K009-72; A61L009-04

ICS **A61K009-14**; A61K031-137; A61K031-19; A61K031-4525; A61K031-495; A61K031-496; A61K031-55; A61K031-551; B29B009-00

MC CPI: B06-A02; B06-A03; B06-D12; B06-E05; B08-C01; B10-A18; B10-B03B; B10-B04B; B10-C04E; B11-C03; B12-M01A; B12-M01B; B14-J01A1
DRN 0023-U; 0160-U; 1213-U; 1447-U

L52 ANSWER 11 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-666878 [71] WPIX
DOC. NO. CPI: C2002-187190
TITLE: Preparation of deformable syntactic foams useful as pharmaceutical carriers for the delivery of a compound or a chemical involves mixing a resin, binder and a stabilizer and reacting the mixture with an organic solvent.
DERWENT CLASS: A96 B05 B07
INVENTOR(S): ODIDI, A; ODIDI, I
PATENT ASSIGNEE(S): (ODID-I) ODIDI A; (ODID-I) ODIDI I
COUNTRY COUNT: 100
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2002056861	A2	20020725	(200271)*	EN	47	A61K009-00<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW						
AU 2002226223	A1	20020730	(200427)			A61K009-00<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002056861	A2	WO 2002-CA54	20020117
AU 2002226223	A1	AU 2002-226223	20020117

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002226223	A1 Based on	WO 2002056861

PRIORITY APPLN. INFO: **US 2001-765783 20010119**
INT. PATENT CLASSIF.:
MAIN: **A61K009-00**
BASIC ABSTRACT:
WO 200256861 A UPAB: 20021105
NOVELTY - Preparation of a deformable syntactic foam comprises (a) mixing together at least one homopolymer resin, at least one binder and at least one stabilizer to form a blended mixture having a LOD of 1 - 10%, and (b) reacting the blended mixture with at least one organic solvent under high shear conditions at 10 - 25 deg. C until a foam composition deformable to touch is formed.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:
(1) Manufacturing a pharmaceutical carrier comprising:

(a) mixing together at least one homopolymer resin, binder, microspheres and stabilizer to form a blended mixture having a LOD of 1 - 10%,

(b) reacting the blended mixture with at least one organic solvent under high shear conditions at 10 - 25 deg. C until a foam composition deformable to touch is formed;

(c) reducing the size of the deformable syntactic foam to reassemble into a shaped composite;

(2) A pharmaceutical composition comprising a pharmaceutical and a pharmaceutical carrier; and

(3) A syntactic foam of elongate threads comprising homopolymer resin, binder, microsphere and a stabilizer.

USE - As a pharmaceutical carrier for the delivery of a compound or a chemical (claimed) including pharmaceuticals. Also useful as carriers, coated or uncoated for chemicals, biological agents, nutraceuticals, growth factors, amino acids, bioactive materials and pharmaceutically active and inactive materials and have pharmaceutical, sanitary, veterinary, agricultural and medical applications.

ADVANTAGE - The foam is deformable and compressible. The foam permits the time release of pharmaceuticals in mammals particularly humans and reduces the frequency of taking a particular medicine. The foam is safe, stable and can be prepared by economical and versatile manufacturing processes.

Dwg.0/9

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: A12-V01; A12-W12; B01-A02; B01-D02; B02-A; B02-C03; B02-E; B04-C02A1; B04-C03B; B04-C03D; B05-A01B; B05-B01G; B05-B02C; B06-F03; B06-H; B07-A02B; B07-H; B08-D01; B10-A07; B10-A08; B10-A12C; B10-A13D; B10-A18; B10-A19; B10-B02F; B10-B03B; B10-B04; B10-C03; B10-C04B; B11-C01C

TECH UPTX: 20021105

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Method: The mixture in step (a) further comprises a substantially spherical particulate substance. The particulate substance comprises several microspheres. During the reaction in step (a), the LOD is checked intermittently until the LOD of the reacted mixture is 2 - 25%. The method further involves separating the syntactic foam into particles by milling the foam and drying at 25 - 60 degrees C. The syntactic foam is lyophilized or freeze dried before separating into particles. The particles have an approximate diameter of 1000 (preferably less than 1000) microm and are subsequently molded into a shaped composite of round, triangular, rectangular, polygonal, cylindrical, oval, oblong, capsule, tablet or caplet shapes. The syntactic foam is made rigid before separation by contacting with a cryogenic fluid (preferably liquid nitrogen or carbon dioxide). The foam is reduced in size by drying (LOD less than 5 %) and then milling. A coating agent is applied to the foam before step (1c).

Preferred Components: The stabilizer is silicic anhydride. The organic solvent is 2-propanol. The microspheres are silica, sucrose, glucose, lactose, dextrose, sorbitol, mannitol, xylitol or dextrates. The pharmaceutical active is acarbose, acetaminophen/codeine, albuterol, alendronate, allopurinol, alprazolam, amitriptyline, amiodipine, amlodipine/benazepril, amoxicillin, amoxicillin/clavulanate, amphetamine mixed salts, aspirin, atenolol, atorvastatin, azithromycin, beclomethasone, benazepril, bisoprolol/HCTZ, brimonidine, carbidopa-levodopa, calcitonin, carisoprodol, carvedilol, cefprozil, cefuroxime, celecoxib, cephalexin, cetirizine, ciprofloxacin, cisapride, **citalopram**, clarithromycin, clonazepam, clonidine, clopidogrel, clotrimazole/betamethasone, cyclobenzaprine, d-phenylalanine amino acid

derivative, diazepam, misoprostol, digoxin, divalproex, donepezil, doxazosin, enalapril, erythromycin, estradiol, ethinyl estradiol/norethindrone, famotidine, felodipine, fexofenadine, fexofenadine/pseudoephedrine, fluoxetine, fluticasone propionate, fluvastatin, fluvoxamine, fosinopril, furosemide, gemfibrozil, glimepiride, glyburide, granisetron, guaifenesin/phenylpropanolamine, hydrochlorothiazide, hydrocodone w/APAP, ibuprofen, ipratropium, ipratropium/albuterol, irbesartan, isosorbide mononitrate, lansoprazole, latanoprost, levofloxacin, levonorgestrel/ethinyl estradiol, levothyroxine, lisinopril, lisinopril/HCTZ, loratadine, loratidine/pseudoephedrine, lorazeparn, losartan, losartan/HCTZ, lovastatin, mateglinide, mesalamine, methylprednisolone, metoprolol, miglitol, mometasone, montelukast, morphine; mupirocin, naproxen, nisoldipine, nitrofurantoin, nizatidine, ofloxacin, olanzapine, ondansetron, oxaprozin, oxycodone, oxycodone/APAP, paroxetine, penicillin VK, phenytoin, potassium chloride, pramipexole, pravastatin, prednisone, promethazine, propoxyphene N/APAP, propranolol, quetiapine, quinapril, raloxifene, ramipril, ranitidine, repaglinide, risperidone, rofecoxib, salmeterol, sertraline, sildenafil, simvastatin, sotalol, sumatriptan, tamoxifen, tamsulosin, temazepam, terazosin, terbinafine, tobramycin/dexamethasone, tolterodine, tranylcypromine, trazodone, triamterene/HCTZ, troglitazone, valsartan, venlafaxine, warfarin, zafirlukast, zolpidem, abacavir, amprenavir, staviudine, zalcitabine, didanosine, delavivdine, efavirenz, hydroxyurea, indinavir, lamivudine, lopinavir, nelfinavir, nevirapine, ritonavir, saquinavir, zidovudine or cyclooxygenase inhibitor (preferably COX-2, especially celecoxib or rofecoxib).

TECHNOLOGY FOCUS - POLYMERS - Preferred Components: The homopolymer resin is a carboxyvinyl polymer. The microspheres are poly(lactic acid), poly(glycolic acid), poly(glycolicacid-co-lactic acid), poly(epsilon-caprolactone), poly(malic acid), cellulose or microcrystalline cellulose (preferably cellulose). The blended mixture further comprises a binder (preferably high molecular weight polysaccharide, xanthan gum, d-alpha-tocopherol polyethylene glycol 1000 succinate, starch NF, povidone, copolyvidone NF, polyvinyl alcohols, glyceryl behenate, polyethylene glycols, polyethylene oxides, cellulose binders, hydroxypropyl methylcellulose USP or hydroxyethyl cellulose NF). The high molecular weight polysaccharide is xanthan gum and the xanthan gum is d-alpha-tocopherol polyethylene glycol 1000 succinate.

TECHNOLOGY FOCUS - INORGANIC CHEMISTRY - Preferred Components: The microspheres are metal, glass or small beads.

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Components: The pharmaceutical is human or veterinary medicines. The pharmaceutical or the pharmaceutical active is in interstices between the microspheres, covalently or non-covalently bound to the microspheres or contained within the microspheres. The pharmaceutical is active or inactive metabolites of active pharmaceutical ingredients, salts of the metabolites of active pharmaceutical ingredients or a prodrug or precursor which after oral administration generates active or inactive metabolites. The pharmaceutical is prepared so as to become systemically available over a period of not less than two hours after administration to a human or other mammal. The pharmaceutical composition is a time-release composition and elicits pharmacological or therapeutic activity.

ABEX UPTX: 20021105

EXAMPLE - Carbopol 971P NF (RTM; polyacrylic acid) (100 g), hydroxyethyl cellulose (100 g), cellulose microspheres (150 g) and silicic anhydride (20 g) were added together and mixed in a high shear mixer at 1500 rpm for 3 minutes. The resulting mixture was reacted with 2-propanol (130 ml) at

20 degrees C while simultaneously subjecting the mixture to high shear forces (1500 rpm) in the high shear mixer. Reaction time and high shear agitation was for 45 seconds. This mixing created a uniform stable syntactic deformable and compressible dendritic solid foam which could be shaped before drying.

AN 2002-666878 [71] WPIX
DC A96 B05 B07
IC ICM **A61K009-00**
MC CPI: A12-V01; A12-W12; B01-A02; B01-D02; B02-A; B02-C03; B02-E; B04-C02A1; B04-C03B; B04-C03D; B05-A01B; B05-B01G; B05-B02C; B06-F03; B06-H; B07-A02B; B07-H; B08-D01; B10-A07; B10-A08; B10-A12C; B10-A13D; B10-A18; B10-A19; B10-B02F; B10-B03B; B10-B04; B10-C03; B10-C04B; B11-C01C
DRN 0022-U; 0032-U; 0034-U; 0038-U; 0082-U; 0135-U; 0241-U; 0290-U; 0487-U; 0545-U; 0960-U; 1205-U; 1206-U; 1218-U; 1255-U; 1627-U; 1629-U; 1694-U; 1852-U; 1859-U; 1863-U; 1874-U; 1986-U; 2007-U; 2018-U; 2044-U; 2055-U; 2063-U

L52 ANSWER 12 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-643255 [69] WPIX
CROSS REFERENCE: 2003-182737 [18]
DOC. NO. CPI: C2004-014130
TITLE: Formulation for the treatment of premature ejaculation in a male comprises an antidepressant drug.
DERWENT CLASS: B05 P32 P34
INVENTOR(S): GESUNDHEIT, N; TAM, P; WILSON, L F
PATENT ASSIGNEE(S): (VIVU-N) VIVUS INC
COUNTRY COUNT: 100
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2002041883	A2	20020530	(200269)*	EN	40	A61K031-00
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZM ZW						
AU 2002028643	A	20020603	(200269)			A61K031-00
US 6495154	B1	20021217	(200307)			A61F002-02
EP 1389115	A2	20040218	(200413)	EN		A61K031-55
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2002041883	A2	WO 2001-US44065	20011121	<--
AU 2002028643	A	AU 2002-28643	20011121	<--
US 6495154	B1	US 2000-721412	20001121	<--
EP 1389115	A2	EP 2001-989759	20011121	<--
		WO 2001-US44065	20011121	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO

AU 2002028643	A	Based on	WO 2002041883
EP 1389115	A2	Based on	WO 2002041883

PRIORITY APPLN. INFO: **US 2000-721412**
20001121
INT. PATENT CLASSIF.:
MAIN: A61F002-02; A61K031-00; A61K031-55
SECONDARY: A61F013-02; **A61K009-00**; **A61K009-14**;
A61K009-70; A61K045-06; A61L009-04; A61P015-00

BASIC ABSTRACT:

WO 200241883 A UPAB: 20040426

NOVELTY - A formulation comprises an antidepressant drug selected from tricyclic or tetracyclic antidepressant, azaspirone antidepressant, non-serotonin reuptake inhibitor (SRI) antidepressant, or monoamine oxidase inhibitor and a carrier.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a packaged kit for treatment of premature ejaculation comprising a container containing the formulation during storage prior to administration and instruction for carrying out the drug administration.

ACTIVITY - Antidepressant; Vasotropic.

MECHANISM OF ACTION - Monoamine oxidase inhibitor.

USE - For treatment of premature ejaculation in a male (claimed).

ADVANTAGE - The formulation also alleviates psychosexual counseling, which requires specialized therapists.

Dwg.0/0

FILE SEGMENT: CPI GMPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B04-A03; B04-H03; B05-A03A; B06-A01; B06-A03; B06-D01; B06-D11; B06-D12; B06-D13; B06-D18; B06-E05; B06-F01; B06-F05; B07-D04C; B07-D08; B07-D11; B07-D12; B07-E01; B07-E03; B08-C01; B10-A03; B10-A05; B10-A18; B10-A19; B10-B01A; B10-B03B; B10-B04B; B12-M01B; B12-M10C; B14-D05A; B14-D07A; B14-J01A1; B14-P02

TECH UPTX: 20040426

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred formulation: The formulation is a immediate release dosage form such as tablets, capsules, caplets, solutions, suspensions syrups granules, beads, powders or pellets (preferably tablet or capsule, more preferably a rapid disintegrating tablet, an effervescent tablet or open matrix tablet, especially gum). The formulation comprises a rectal suppository. The formulation additionally comprises a vasoactive agent (e.g. nitroglycerin, isosorbide dinitrate, erythrityl tetranitrate or amyl nitrate), phosphodiesterase inhibitor (preferably Type III, IV, V or non-specific phosphodiesterase inhibitor) or other active agents (e.g. cianopramine, **citalopram**, femoxetine or fluoxetine).

TECHNOLOGY FOCUS - POLYMERS - Preferred Carrier: The carrier is a hydrolyzable polymer.

ABEX UPTX: 20040426

SPECIFIC COMPOUNDS - 65 Compounds are specifically claimed as antidepressant drug e.g. clomipramine hydrochloride.

ADMINISTRATION - Administration of the formulation is 0.1-300 (preferably 1-50) mg orally, transmucosally, sublingually, buccally, intranasally, transurethrally, rectally, transdermally, parenterally or by inhalation 0.25-3.5, preferably 1-2.5 hours prior to sexual intercourse (claimed).

EXAMPLE - An effervesce tablet was prepared by mixing clomipramine

hydrochloride (300 mg), sodium bicarbonate (1985 mg), citric acid (1000 mg) and placing the mixture in a die followed by compression with punch using 3000-20000 pounds of force.

AN 2002-643255 [69] WPIX
DC B05 P32 P34
IC ICM A61F002-02; A61K031-00; A61K031-55
ICS A61F013-02; **A61K009-00**; **A61K009-14**; A61K009-70; A61K045-06; A61L009-04; A61P015-00
MC CPI: B04-A03; B04-H03; B05-A03A; B06-A01; B06-A03; B06-D01; B06-D11; B06-D12; B06-D13; B06-D18; B06-E05; B06-F01; B06-F05; B07-D04C; B07-D08; B07-D11; B07-D12; B07-E01; B07-E03; B08-C01; B10-A03; B10-A05; B10-A18; B10-A19; B10-B01A; B10-B03B; B10-B04B; B12-M01B; B12-M10C; B14-D05A; B14-D07A; B14-J01A1; B14-P02
DRN 0021-U; 0023-U; 0029-U; 0080-U; 0089-U; 0096-U; 0193-U; 0194-U; 0422-U; 0958-U; 1213-U; 1447-U; 1961-U; 2011-U

L52 ANSWER 13 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-642091 [69] WPIX
DOC. NO. CPI: C2004-014024
TITLE: Treating chemical dependency e.g. alcohol or drug addiction, comprises administering a delta opioid receptor ligand and a serotonin reuptake inhibitor.
DERWENT CLASS: B02 B03
INVENTOR(S): LIRAS, S; MCHARDY, S F; MCLEAN, S
PATENT ASSIGNEE(S): (LIRA-I) LIRAS S; (MCHA-I) MCHARDY S F; (MCLE-I) MCLEAN S
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 2002077323	A1	20020620	(200269)*		11	A61K031-5377

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
US 2002077323	A1 Provisional	US 2000-217548P	20000712	<--
		US 2001-901362	20010709	<--

PRIORITY APPLN. INFO: **US 2000-217548P 20000712; US 2001-901362 20010709**
INT. PATENT CLASSIF.:
MAIN: A61K031-5377
SECONDARY: A61K031-454; A61K031-4709; A61K031-498; A61K031-517; A61K031-522; A61K031-53
BASIC ABSTRACT:
US2002077323 A UPAB: 20040408
NOVELTY - Treating chemical dependency comprises administering a delta opioid receptor ligand and a serotonin reuptake inhibitor.
DETAILED DESCRIPTION - Treating chemical dependency comprises administering (a) a delta opioid receptor ligand of formula (I) or (II) or their salts and (b) a serotonin reuptake inhibitor.
X, Y = O, S or CH;
Q = O or CH2;
M = CH or N;
n = 0 or 1;

R1 = H, AlkOAlk (containing a total of up to 8C), Ar, AAr, Het, AHet, Het1, AHet1, Cyc or ACyc;
Alk = 0-8C alkyl optionally substituted by 1-7 F;
Ar = phenyl or naphthyl both optionally substituted by 1-3 J;
J = halo, A1, phenyl, benzyl, OH, acetyl, NH2, CN, NO2, OA1, NHA1 or N(A1)2;
A1 = 1-6C alkyl (optionally substituted by 1-7F);
Het = pyrazinyl, benzofuryl, quinolyl, isoquinolyl, benzothienyl, isobenzofuryl, pyrazolyl, indolyl, isoindolyl, benzimidazolyl, purinyl, carbazolyl, 1,2,5-thiadiazolyl, quinazolinyl, pyridazzinyl, pyrazinyl, cinnolinyl, phthalazinyl, quinoxalinyl, xanthinyl, hypoxanthinyl, pteridinyl, 5-azacytidinyl, 5-azauracilyl, triazolopyridinyl, imidazolopyridinyl, pyrolopyrimidinyl, oxazolyl, oxadiazolyl, isoxazolyl, thiazolyl, isothiazolyl, furanyl, pyrazolyl, pyrrolyl, tetrazolyl, triazolyl, thienyl, imidazolyl, pyridinyl or pyrimidinyl all optionally substituted by 1-3 J;
Het1 = saturated or nonsaturated nonaromatic 4-7 membered monocylic ring containing 1-3 N, O or S or 7-12 membered bicyclic ring containing 1-4 N, O or S;
Cyc = 3-7C cycloalkyl;
A = 1-8C alkyl (optionally substituted by 1-7 F);
R2 = H, Ar, halo, Het, Het1, SO2R4, COR4, CONR5R6, COOR4, C(OH)R5R6;
R4-R6 = a group R1; or
R5+R6 = 3-7 membered saturated ring containing 0-3 O, N or S;
R3 = OH, 1-6C hydroxyalkyl, OCOR7, OA1A1, NHSO2R7, C(OH)R7R8, halo, Het or CONHR7;
R7, R8 = H, A2 or OA2, A2OA2 (containing a total of up to 4C);
A2 = 1-4C alkyl optionally substituted by 1-7 F; and
Z1, Z2 = H, halo or 1-5C alkyl.
Provided that:
(1) the ring in (I) containing X and Y aromatic;
(2) X and Y are not both O or S; and
(3) there are no two adjacent O atoms and no ring O adjacent to N or S.

ACTIVITY - Antiaddictive; Antialcoholic; Antismoking.

MECHANISM OF ACTION - Serotonin-Reuptake-Inhibitor. Biological tests are described but no results are given.

USE - As delta opioid receptor ligands and serotonin reuptake inhibitors for treating a physical and/or psychological chemical dependency on e.g. alcohol, nicotine, heroin, phenobarbital or benzodiazepines.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-H; B07-H; B14-J04; B14-L06; B14-M01A; B14-M01B; B14-M01C

TECH UPTX: 20040408
TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred inhibitor: Serotonin reuptake inhibitor is fluvoxamine, sertraline, citralopram, fluoxetine, paroxetine, imipramine, zimelidine, vanlafaxine or nefazodone.

ABEX UPTX: 20040408
ADMINISTRATION - Dosage is 0.001-500 mg/kg/day orally, buccally, transdermally, intranasally, parenterally or rectally, preferably 0.001-50 mg/kg/day (I) or (II) orally or intravenously and 12.5-500 (especially 25-200) mg/kg/day of serotonin reuptake inhibitor.

AN 2002-642091 [69] WPIX
DC B02 B03
IC ICM A61K031-5377
ICS A61K031-454; A61K031-4709; A61K031-498; A61K031-517; A61K031-522; A61K031-53

MC CPI: B06-H; B07-H; B14-J04; B14-L06; B14-M01A; B14-M01B; B14-M01C
DRN 0023-U

L52 ANSWER 14 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-636077 [68] WPIX
CROSS REFERENCE: 2002-216202 [27]; 2002-499730 [53]; 2002-507196 [54]; 2002-526515 [56]; 2002-536954 [57]; 2004-419237 [39]
DOC. NO. CPI: C2004-013458
TITLE: Treatment of obesity in a patient not suffering from depression involves administering a combination of selective serotonin reuptake inhibitor and phentermine and additionally cysteine, 5-hydroxytryptophan and vitamins.
DERWENT CLASS: B05
INVENTOR(S): HINZ, M C
PATENT ASSIGNEE(S): (HINZ-I) HINZ M C
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 2002094969	A1	20020718	(200268)*		11	A61K031-714

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
US 2002094969	A1 CIP of	US 1999-412701	19991004	<--
		US 2001-947941	20010906	<--

PRIORITY APPLN. INFO: **US 2001-947941 20010906; US 1999-412701 19991004**
INT. PATENT CLASSIF.:
MAIN: A61K031-714
SECONDARY: A61K031-137; A61K031-198; A61K031-404
BASIC ABSTRACT:
US2002094969 A UPAB: 20040621
NOVELTY - Method to facilitate weight loss for a patient not suffering from depression involves administering selective serotonin reuptake inhibitor (SSRI), phentermine and additionally 5-hydroxytryptophan, cysteine, vitamin B6 and vitamin C.
ACTIVITY - Anorectic; Antidiabetic; Hypotensive; Antilipemic; Antidepressant; Tranquilizer; Antimigraine; Muscular; Hypnotic; Analeptic; Anticholesterol; Osteopathic; Anxiolytic; Analgesic; Gynecological.
MECHANISM OF ACTION - None given.
USE - For facilitating weight loss for a patient not suffering from depression (claimed) in the treatment of obesity; completely resolves diseases or illnesses caused by or associated with weight problems e.g. type II diabetes, hypertension, hypercholesterolemia, orthopedic problems, depression, anxiety, panic, attacks, migraine headaches, PMS, chronic pain states, fibromyalgia, insomnia, sleep apnea, impulsivity, obsessive compulsive disorder and myoclonus.
ADVANTAGE - The combination increases the concentration level of neurotransmitters. The combination of (A) and (B) minimizes the percent of individuals who do not initially respond to the medication treatment regime or who cease to continue to receive the beneficial effect of the

weight loss program following the initiation of the medication treatment due to nutritional deficiencies; enables individual to have a much higher expectation of weight loss to achieve a desired weight than the previous known treatments; enables individuals to lose weight optimally and safely; increases catecholamine levels for a patient prolonging the effectiveness of medication therapy; provides a comprehensive pharmacological therapy for treatment of obesity of relatively simple and inexpensive design which fulfills the intended purpose of appetite suppression to enable weight loss without fear of injury to persons, easy for patients to initiate and continue to effectuate weight loss, continues to function to enable patient weight loss following the initiation of therapy by an individual, promotes appetite suppression while simultaneously maintaining nutritional balance for an individual, minimizes risk of undesirable side effects for a patient, minimizes risk of medication intolerability for a patient, minimizes medication side effects and/or complications for a patient, assists in empowering a patient to achieve a desired goal weight through monitored, healthy, and controlled weight loss, is flexible to a patient's needs through the provision of an effective therapeutic range of weight loss medication, minimizes risk of nutritional deficiency for a patient. No irreversible side effects appears during the use of the combination. Use of cysteine reverses the undesirable effects, which may arise where the patient has a history of exposure to toxins both in and out of the work place; reverses undesirable effects which may occur due to leaching of fat-soluble toxins such as skin eruptions and depletion of the catecholamine system, where depletion of the catecholamine system may in turn cause tachyphylaxis; prevents a nutritional deficiency and maintains the optimal functioning of all of the patients biological systems when provided upon initiation of treatment; effectuates weight loss, or in any other setting when provided to patients who are not responding to treatment with catecholamine drugs, where the catecholamine system of the patient is not functioning properly; prevents and reverses tachyphylaxis caused from use of catecholamine drugs; maintains the proper functioning of the glutathione system for the patient; keeps the catecholamine system of the patient functioning properly when the patient has a history of exposure to toxins; helps the catecholamine system to function properly in combination with the serotonin system; insures that the body of the patient continues to produce optimal levels of Tyrosine Hydroxylase for proper function of the catecholamine system; alleviates undesirable symptoms encountered by patients, once a drug which causes increased levels of norepinephrine in the synapse is terminated; restores appetite suppression in patients in weight loss where the patient has experienced problems using the precursors and co-factors of Tyrosine and/or 5-Hydroxytryptophan.

Dwg.0/1

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B03-D; B03-F; B05-A01B; B05-A03B; B06-A02; B06-D01; B10-B01B; B10-B02C; B10-B02D; B10-B02E; B10-B04B; B14-C01; B14-D02A2; B14-E12; B14-F02B; B14-F02D; B14-J01A1; B14-J01A2; B14-J01B1; B14-J01B2; B14-J01B4; B14-J03; B14-J05; B14-J05A; B14-N01; B14-N14; B14-S04

TECH UPTX: 20040418

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Method: The method further involves administration of tyrosine (50 - 4000 mg); calcium (50 - 2000 mg) and lysine (50 - 2000 mg), selenium (50 - 1000 mg) each day. The method further involves administered with Tyrosine, multi-vitamin, calcium and Lysine. The administration of SSRI and phentermine is increased when the patient experiences low weight loss.

The low weight loss comprises:

(1) the patient weight at a previous visit plus the patient current weight first divided by 2 and then multiplied by 10, less the current patient weight, less the patient weight at the previous visit, multiplied by 3500, divided by the number of days between the date of the previous visit and the date of the current weight for the previous of a first sum (sic);
(2) calculating a second sum by multiplying a patient goal weight by 10 and then dividing by 0.8929; and
(3) comparing the first sum to the second sum where low weight loss occurs when the first sum is larger than the second sum.

ABEX UPTX: 20040418

WIDER DISCLOSURE - Method to facilitate weight loss for a patient by administering selective serotonin reuptake inhibitor and diethylpropane is also disclosed.

ADMINISTRATION - The daily dosage of SSRI is 10 mg followed by 10 - 80 mg for 6 days, phentermine is 15 mg for 6 days followed by a daily dosage of 15 - 60 mg of phentermine, and 5-hydroxytryptophan is 50 - 900 mg, vitamin B6 is 2 - 150 mg, cysteine is 500 - 5000 mg, vitamin C is 50 - 2000 mg until a target weight for the patient is obtained (claimed).

AN 2002-636077 [68] WPIX
DC B05
IC ICM A61K031-714
ICS A61K031-137; A61K031-198; A61K031-404
MC CPI: B03-D; B03-F; B05-A01B; B05-A03B; B06-A02; B06-D01; B10-B01B; B10-B02C; B10-B02D; B10-B02E; B10-B04B; B14-C01; B14-D02A2; B14-E12; B14-F02B; B14-F02D; B14-J01A1; B14-J01A2; B14-J01B1; B14-J01B2; B14-J01B4; B14-J03; B14-J05; B14-J05A; B14-N01; B14-N14; B14-S04
DRN 0035-U; 0252-U; 1372-U; 1628-U; 1655-U; 1780-U

L52 ANSWER 15 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-405934 [44] WPIX
DOC. NO. CPI: C2002-114059
TITLE: New solid dosage form useful as an antidepressant comprises **citalopram** prepared by roller compaction of **citalopram** base or its salt.
DERWENT CLASS: B02
INVENTOR(S): HOLM, P; LILJEGREN, K
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H; (LUND) LUNDBECK & CO AS H
COUNTRY COUNT: 101
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
CA 2358356	A1	20020120	(200244)*	EN	17	A61K031-343
WO 2002053133	A1	20020711	(200255)	EN		A61K009-16<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW						
NO 2003003073	A	20030704	(200357)			A61K009-16<--
EP 1351667	A1	20031015	(200368)	EN		A61K009-16<--
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
SK 2003000991	A3	20031201	(200404)			A61K009-16<--
HU 2003002531	A2	20031128	(200405)			A61K009-16<--

KR	2003070088	A	20030827	(200406)	A61K009-00<--
BR	2002006272	A	20031230	(200409)	A61K009-16<--
US	2004058989	A1	20040325	(200422)	A61K031-343
AU	2002216944	A1	20020716	(200427)	A61K009-16<--
CZ	2003002119	A3	20040317	(200430)	A61K009-16<--
CN	1484523	A	20040324	(200437)	A61K009-16<--
JP	2004517111	W	20040610	(200438) 26	A61K031-343

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
CA 2358356	A1	CA 2001-2358356	20011004	<--
WO 2002053133	A1	WO 2002-DK3	20020103	
NO 2003003073	A	WO 2002-DK3	20020103	
		NO 2003-3073	20030704	
EP 1351667	A1	EP 2002-726983	20020103	
		WO 2002-DK3	20020103	
SK 2003000991	A3	WO 2002-DK3	20020103	
		SK 2003-991	20020103	
HU 2003002531	A2	WO 2002-DK3	20020103	
		HU 2003-2531	20020103	
KR 2003070088	A	KR 2003-708953	20030702	
BR 2002006272	A	BR 2002-6272	20020103	
		WO 2002-DK3	20020103	
US 2004058989	A1 Cont of	WO 2002-DK3	20020103	
		US 2003-619743	20030701	
AU 2002216944	A1	AU 2002-216944	20020103	
CZ 2003002119	A3	WO 2002-DK3	20020103	
		CZ 2003-2119	20020103	
CN 1484523	A	CN 2002-803468	20020103	
JP 2004517111	W	JP 2002-554084	20020103	
		WO 2002-DK3	20020103	

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1351667	A1 Based on	WO 2002053133
SK 2003000991	A3 Based on	WO 2002053133
HU 2003002531	A2 Based on	WO 2002053133
BR 2002006272	A Based on	WO 2002053133
AU 2002216944	A1 Based on	WO 2002053133
CZ 2003002119	A3 Based on	WO 2002053133
JP 2004517111	W Based on	WO 2002053133

PRIORITY APPLN. INFO: **DK 2001-16**
20010105
INT. PATENT CLASSIF.:
MAIN: **A61K009-00**; **A61K009-16**; A61K031-343
SECONDARY: **A61K009-14**; **A61K009-20**;
A61K009-48; A61P025-24; **B01J002-00**;
C07D307-87
ADDITIONAL: A61K031-34
INDEX: A61K031:34; A61K031-34
BASIC ABSTRACT:
CA 2358356 A UPAB: 20020711
NOVELTY - A solid unit dosage form comprises 1-(3-(dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile (**citalopram**) prepared by roller compaction of **citalopram**

base or its salt and optionally an excipient.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a granulate comprising **citalopram** base or its salt. The granulate is formed by roller compaction of a powder containing the base or its salt.

ACTIVITY - Antidepressant.

MECHANISM OF ACTION - None given.

USE - In the treatment of depression.

ADVANTAGE - The solid unit dosage form is substantially free of lactose.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B04-C02A1; B04-C02B; B05-A01B; B05-B02A1; B06-A01; B07-A02B; B10-A07; B10-C04E; B14-J01A1

TECH UPTX: 20020711

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The solid unit dosage form is a tablet or hard gelatin capsule.

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Components: The solid unit dosage form comprises filler (F1) or a lubricant (L1). (F1) is lactose and/or sugar (preferably sorbitol, mannitol, dextrose and/or sucrose). (L1) is a metallic stearate, stearic acid or hydrogenated vegetable oil. The metallic stearate is magnesium stearate, calcium stearate or sodium stearate (preferably magnesium stearate and/or calcium stearate). The **citalopram** base is **citalopram** hydrobromide and **citalopram** hydrochloride (preferably **citalopram** hydrobromide).

Preferred Method: The **citalopram** base is mixed with all the excipients before the roller compacting step and is undiluted at the roller compacting step. In the granulate formation the **citalopram** base is mixed with all the excipients needed for a tableting-ready mixture at the roller compacting step.

Preferred Composition: The dosage form comprises (w/w.%) **citalopram** base (2-60, preferably 10-40, especially 15-25).

Preferred Size: The granulate after compaction has a median particle size of at least 40 (preferably 40-250, especially 45-200, particularly 50-180) micron and prior to compaction is in the form of a powder and has a median particle size of below 20 (preferably below 15) micron.

TECHNOLOGY FOCUS - INORGANIC CHEMISTRY - Preferred Components: (F1) is selected from calcium phosphate (preferably dibasic, tribasic, hydrous and/or anhydrous), calcium sulfate and/or calcium carbonate. (L1) is talc or colloidal silica.

TECHNOLOGY FOCUS - POLYMERS - (F1) is selected from (modified) starch and/or microcrystalline cellulose (preferably ProSolv SMCC90 (RTM), Avicel PH 101 (RTM) or Avicel PH 200 (RTM)). (L1) is wax.

ABEX UPTX: 20020711

EXAMPLE - **Citalopram** hydrochloride (8000 g) was mixed with Mg-stearate (80 g) by conventional mixing and roller compacted. The obtained compacted material (5800 g) was mixed with solidified microcrystalline cellulose as filler for 3 minutes at 7 revolutions per minute. Magnesium stearate (144 g) was added as extra glidant and mixing continued for 30 seconds to prepare a mixture (A). (A) (25 kg) was tableted at a speed of 50000-125000 tablets/hour.

AN 2002-405934 [44] WPIX
DC B02
IC ICM **A61K009-00**; **A61K009-16**; A61K031-343
ICS **A61K009-14**; **A61K009-20**; **A61K009-48**;

A61P025-24; **B01J002-00**; C07D307-87
ICA A61K031-34
ICI A61K031:34; A61K031-34
MC CPI: B04-C02A1; B04-C02B; B05-A01B; B05-B02A1; B06-A01; B07-A02B; B10-A07; B10-C04E; B14-J01A1
DRN 0032-U; 0038-U; 0122-U; 0135-U; 0290-U; 1278-U; 1456-U; 1563-U; 1757-U; 1767-U; 1852-U; 1863-U

L52 ANSWER 16 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-075043 [10] WPIX
DOC. NO. CPI: C2002-022287
TITLE: Pharmaceutical pellet useful for inducing or maintaining sleep comprises homogenous mixture of rapidly acting hypnotic agent salt and pellet forming carrier.
DERWENT CLASS: B02
INVENTOR(S): LEMMENS, J M; PLATTEEUW, J J; VAN DALEN, F; VAN DEN HEUVEL, D J M
PATENT ASSIGNEE(S): (SYNT-N) SYNTHON BV; (LEMM-I) LEMMENS J M; (PLAT-I) PLATTEEUW J J; (VDAL-I) VAN DALEN F; (VHEU-I) VAN DEN HEUVEL D J M
COUNTRY COUNT: 96
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2001078725	A2	20011025	(200210)*	EN	41	A61K031-4188<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW						
AU 2001050661	A	20011030	(200219)			<--
EP 1272181	A2	20030108	(200311)	EN		A61K031-4188
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
US 2003054041	A1	20030320	(200323)			A61K009-14<--
US 6638535	B2	20031028	(200372)			A61K009-20<--
US 2004047908	A1	20040311	(200419)			A61K009-26

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 2001078725	A2		WO 2001-NL299	20010412	<--
AU 2001050661	A		AU 2001-50661	20010412	<--
EP 1272181	A2		EP 2001-923989	20010412	<--
			WO 2001-NL299	20010412	<--
US 2003054041	A1	Provisional	US 2000-196939P	20000413	<--
			US 2001-833662	20010413	<--
US 6638535	B2	Provisional	US 2000-196939P	20000413	<--
			US 2001-833662	20010413	<--
US 2004047908	A1	Provisional Div ex	US 2000-196939P	20000413	<--
			US 2001-833662	20010413	<--
			US 2003-657075	20030909	

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2001050661	A Based on	WO 2001078725
EP 1272181	A2 Based on	WO 2001078725
US 2004047908	A1 Div ex	US 6638535

PRIORITY APPLN. INFO: **US 2000-196939P 20000413; US 2001-833662 20010413;** US 2003-657075 20030909

INT. PATENT CLASSIF.:

MAIN: **A61K009-14; A61K009-20;** A61K009-26; A61K031-4188

SECONDARY: **A61K009-16;** A61K031-44; A61K047-00

BASIC ABSTRACT:

WO 200178725 A UPAB: 20020213

NOVELTY - Pharmaceutical pellet comprises a homogenous mixture of rapidly acting hypnotic agent or its salt and pellet forming carrier. The pellet exhibits a specific dissolution profile under US Pharmacopoeia XXIII, Dissolution method I, in a basket apparatus at 37 deg. C in hydrochloric acid medium (0.01N) and at 100 r.p.m.

DETAILED DESCRIPTION - Pharmaceutical pellet comprises a homogenous mixture of rapidly acting hypnotic agent or its salt and pellet forming carrier. The pellet exhibits a dissolution profile under US Pharmacopoeia XXIII, Dissolution method I, in a basket apparatus at 37degreesC in hydrochloric acid medium (0.01N) and at 100 r.p.m that includes 60% of the hypnotic agent being released from the pellet not earlier than 5 minutes from the start of the test.

An INDEPENDENT CLAIM is included for production of spherical pellets which comprises:

(1) combining a solvent (preferably water), a pharmaceutically active agent and/or its salt, and at least one pellet forming carrier to form a wet mixture;

(2) stirring and/or chopping the wet mixture to form monolithic, spherical wet pellets, and

(3) drying the wet pellets to form the pellets.

1) 2) 3) The solvent is wet combined by spraying.

ACTIVITY - Antiparkinsonian; Hypnotic.

MECHANISM OF ACTION - None given in source material.

USE - In a pharmaceutical unit dosage form for inducing or maintaining sleep or treating sleep disorders e.g. Parkinson's disease, parkinsonian syndromes and other disorders treatable by zolpidem.

ADVANTAGE - The pellet exhibits a modified release profile. The composition moderates the rapid release occurring in the commercial tablets so that initial over concentration of active agent in body fluids is minimized and the hypnotic action is reasonably delayed to overcome a shortage of sleep. A single dose of the pellet contains a lower amount of the active substance in comparison with that in the commercially available immediate release dosage form due to the advantageous release rates and consequently due to the expected advantageous blood plasma concentration profile which maintains the necessary concentration of zolpidem more effectively. Potential side effects of the hypnotic agent is decreased.

Dwg.0/4

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B01-B03; B01-D02; B04-C02; B04-H03; B06-H; B07-H; B10-A08; B10-B02; B10-B03; B14-J01A3; B14-J01B1

TECH UPTX: 20020213

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Pellet: The dissolution profile includes 100 (preferably 80, especially 85, 70, 50 or 40) % of the

hypnotic agent being released from the pellet not earlier than 60 (preferably 10, especially 15) minutes, respectively from the start of the dissolution. The profile includes 100% of the hypnotic agent being released within 1-5 (preferably 2-4) hours from the start of the dissolution test.
The release profile is such that at 15 minutes from the start of the dissolution test 3 or 6 (preferably 5) mg or less of zolpidem is released and 8 mg of zolpidem is released 5 hours or less form the start of the dissolution test.
The pellet does not contain a release rate controlling excipient coating (preferably surface coating) or disintegrant. The pellet is spherical and monolithic. The pellet contains hypnotic agent (1-50 wt.%) and hypnotic agent together with carrier (at least 90 wt.%) of the pellet weight. The pellets have a particle size of 0.85-1.7 (preferably 1.4-1.7) mm.
Preferred Method: Step (1) involves dumping water on a homogenous dry blend of active agent and/or its salt and at least one pellet forming carrier to form the wet mixture. The dumping of water involves adding water at a rate of 1-1200 (preferably 20-120) seconds per liter. Additional water is dumped on the wet mixture during step (2) which involves a total of 1-60 (preferably 5-20) minutes of stirring and/or chopping. Step (3) is carried out by heating, applying microwave or infrared energy, applying vacuum or reduced pressure and/or passing an inert gas over the wet pellets or heating under reduced pressure while passing nitrogen gas over the wet pellets and applying microwave energy.

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Components: The hypnotic agent is zolpidem, zopiclon, zaleplon or benzodiazepines (preferably zolpidem (5 - 50 wt.%), zopiclon or zaleplon) and its salt is zolpidem hydrochloride, zolpidem hydrochloride monohydrate, zolpidem hydrochloride ethanolate, zolpidem methane sulfonate, zolpidem tosylate, zolpidem maleate, zolpidem hydrobromide, zolpidem fumarate, zolpidem sulfate, zolpidem tartrate or zolpidem hydrogen tartrate (preferably zolpidem free base or zolpidem hydrogen tartrate (8 mg)).
The active agent is a rapidly acting hypnotic and is acarbose, alprostadil, amlodipine, artemotil, atorvastatine, benzodiazepines, **citalopram**, cladribine, clopidrogel, candesartan, carvedilol, desogestrel, dexrazoxane, diltiazem, dofetilide, donepezil, eprosartan, etanercept, etidronate, exemestane, latanoprost, leflunomide, letrozole, lovastatin, mirtazepine, modafinil, nateglinide, nimesulide, nizatidine, olanzapine, olopatidine, orlistat, oxybutynin, pramipexol, paroxetine, pioglitazone, quetiapine, reboxetine, remoxepride, repaglinide, risperidon, rizatriptan, ropinirol, rosiglitazone, simvastatin, tamsulosin, telmisartan, tibolon, thalidomide, tolterodine, venlafaxine, zaleplon, ziprasidone, zolpidem, zonisamide, zopiclon or their salts.

TECHNOLOGY FOCUS - POLYMERS - Preferred Carrier: The pellet forming carrier is microcrystalline cellulose.

ABEX UPTX: 20020213

ADMINISTRATION - The pellet is administered orally in a unit dosage form e.g. capsule (preferably filled with the pellets) or tablet containing hypnotic agent, expressed in terms of free base of 1-50 (especially 4 or 18) mg.

EXAMPLE - Microcrystalline cellulose (1703 g) and zolpidem tartrate (189.2 g) were added into a mixer and the powder was blended under inert atmosphere. Water (1892 ml) was added to the mixture under stirring. The resulting mixture was stirred for 15 minutes and the water was removed. The resulting pellets were dried by enhanced temperature and vacuum for 4 hours. The produced pellets were fractionated by sieving.
The dissolution profile of the pellets were tested by the dissolution test

in US Pharmacopoeia XXIII methods, in a basket apparatus at 100 rpm at 37degreesC in 900 ml of 0.01N hydrochloric acid. The dissolved amount of zolpidem was determined. For comparison, commercial tablet containing zolpidem tartrate was prepared. The test pellet showed improved release rate compared to the control tablet.

AN 2002-075043 [10] WPIX
DC B02
IC ICM **A61K009-14**; **A61K009-20**; A61K009-26; A61K031-4188
ICS **A61K009-16**; A61K031-44; A61K047-00
MC CPI: B01-B03; B01-D02; B04-C02; B04-H03; B06-H; B07-H; B10-A08; B10-B02; B10-B03; B14-J01A3; B14-J01B1
DRN 1449-U; 1852-U

L52 ANSWER 17 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-026101 [03] WPIX
DOC. NO. CPI: C2002-007357
TITLE: A solid unit dosage form comprises **citalopram** prepared by direct compression, useful as a selective, centrally active serotonin reuptake inhibitor with antidepressant properties.
DERWENT CLASS: B02
INVENTOR(S): HOLM, P; LILJEGREN, K; NIELSEN, O; WAGNER, S
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H; (HOLM-I) HOLM P; (LILJ-I) LILJEGREN K; (NIEL-I) NIELSEN O; (WAGN-I) WAGNER S
COUNTRY COUNT: 97
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2001080619	A2	20011101	(200203)*	EN	18	A61K000-00<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW						
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AU 200			0219)			<--
FR 281			0220)			A61K009-48<--
CA 235			0221)	EN		C07D307-87
NO 200			0227)			A61K009-48<--
DE 101			0229)			A61K031-343
NL 1018741			00231)			C07D307-87
BE 1013559	A6	20020305	(200234)			A61K000-00
GB 2368014	A	20020424	(200235)			A61K009-20<--
HU 2001003071	A2	20020528	(200249)			A61K031-343
IE 82402	B3	20020807	(200262)			A61K009-00<--
ES 2172481	A1	20020916	(200270)			A61K009-48<--
GB 2376233	A	20021211	(200306)			C07D307-88
EP 1318805	A2	20030618	(200340)	EN		A61K031-343
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
US 2003109577	A1	20030612	(200340)			C07D307-78
BR 2001013250	A	20030624	(200343)			A61K031-34
KR 2003024833	A	20030326	(200346)			A61K009-48<--
CZ 2003000397	A3	20030618	(200347)			A61K009-20<--
CA 2353693	C	20030722	(200355)	EN		C07D307-87
GB 2376233	B	20030910	(200360)			C07D307-88

Applicants

SK 2003000284	A3	20030911	(200363)	A61K031-343
JP 2003531153	W	20031021	(200373)	22 A61K031-343
CN 1446089	A	20031001	(200382)	A61K031-343
US 2003232881	A1	20031218	(200401)	C07D307-87
MX 2003000837	A1	20030601	(200417)	A61K031-343
ZA 2003000561	A	20040331	(200426)	26 A61K000-00
GB 2368014	B	20040623	(200442)	A61K009-20<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2001080619	A2	WO 2001-DK520	20010730	<--
DE 20113195	U1	DE 2001-20113195	20010809	<--
AU 2001079591	A	AU 2001-79591	20010730	<--
FR 2812811	A1	FR 2001-10586	20010808	<--
CA 2353693	A1	CA 2001-2353693	20010724	<--
NO 2001003891	A	NO 2001-3891	20010809	<--
DE 10139115	A1	DE 2001-10139115	20010809	<--
NL 1018741	C6	NL 2001-1018741	20010810	<--
BE 1013559	A6	BE 2001-537	20010810	<--
GB 2368014	A	GB 2001-18579	20010731	<--
HU 2001003071	A2	HU 2001-3071	20010726	<--
IE 82402	B3	IE 2001-693	20010724	<--
ES 2172481	A1	ES 2001-1877	20010809	<--
GB 2376233	A Div ex	GB 2001-18579	20010731	<--
		GB 2002-19820	20020827	
EP 1318805	A2	EP 2001-957768	20010730	<--
		WO 2001-DK520	20010730	<--
US 2003109577	A1	US 2000-730380	20001205	<--
BR 2001013250	A	BR 2001-13250	20010730	<--
		WO 2001-DK520	20010730	<--
KR 2003024833	A	KR 2003-701683	20030205	
CZ 2003000397	A3	WO 2001-DK520	20010730	<--
		CZ 2003-397	20010730	<--
CA 2353693	C	CA 2001-2353693	20010724	<--
GB 2376233	B Div ex	GB 2001-18579	20010731	<--
		GB 2002-19820	20020827	
SK 2003000284	A3	WO 2001-DK520	20010730	<--
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JP 2003531153	W	JP 2001-577732	20010730	<--
		WO 2001-DK520	20010730	<--
CN 1446089	A	CN 2001-813752	20010730	<--
US 2003232881	A1 CIP of	US 2000-730380	20001205	<--
		US 2002-310621	20021205	
MX 2003000837	A1	WO 2001-DK520	20010730	<--
		MX 2003-837	20030128	
ZA 2003000561	A	ZA 2003-561	20030121	
GB 2368014	B	GB 2001-18579	20010731	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2001079591	A Based on	WO 2001080619
EP 1318805	A2 Based on	WO 2001080619
BR 2001013250	A Based on	WO 2001080619
CZ 2003000397	A3 Based on	WO 2001080619
SK 2003000284	A3 Based on	WO 2001080619
JP 2003531153	W Based on	WO 2001080619

MX 2003000837 A1 Based on WO 2001080619

PRIORITY APPLN. INFO: **DK 2000-1614 20001027; DK 2000-1202 20000810**

INT. PATENT CLASSIF.:

MAIN: A61K000-00; **A61K009-00**; **A61K009-20**; **A61K009-48**; A61K031-34; A61K031-343; C07D307-78; C07D307-87; C07D307-88

SECONDARY: A01N043-08; **A61K009-14**; A61K047-02; A61K047-04; A61K047-10; A61K047-12; A61K047-14; A61K047-26; A61K047-36; A61K047-38; A61P025-14; A61P025-24; C07D307-93; C12N000-00

ADDITIONAL: B01D009-02

INDEX: C07D307-87; C07D307-87

BASIC ABSTRACT:

WO 200180619 A UPAB: 20020114

NOVELTY - A solid unit dosage form comprises **Citalopram** (RTM: 1-(3-(dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile) and is prepared by direct compression of a mixture of **citalopram** base or a salt and excipients, or by filling the mixture in a hard gelatin capsule.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(a) crystals of a salt of **citalopram**; and

(b) manufacture of the crystals of a salt of **citalopram** comprising cooling a solution of the salt, seeding with crystals of **citalopram** salt, holding at this temperature and then controlled cooling to isolate the crystals conventionally.

ACTIVITY - Antidepressant.

MECHANISM OF ACTION - Serotonin reuptake inhibitor.

USE - The dosage is in the form of a tablet which acts as a selective, centrally active serotonin reuptake inhibitor with antidepressant properties.

ADVANTAGE - The dosage form has a large particle size and can be prepared by direct compression. The process does not need a granulation step and a drying step.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B04-B01C1; B04-C02A; B04-C02B; B05-A01B; B05-B02A3; B05-B02C; B05-C05; B06-A01; B07-A02; B10-A07; B10-C04E; B14-J01A1; B14-J04; B14-L06

TECH UPTX: 20020114

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Form: The form does not contain a binder. The dosage contains 2-60 (preferably 10-40, especially 15-25) wt.% active ingredient of **citalopram** base. It contains a filler selected from lactose, sugars, preferably sorbitol, mannitol, dextrose and/or sucrose, calcium phosphates, preferably dibasic, tribasic, hydrous and/or anhydrous, starch, modified starches, microcrystalline cellulose, calcium sulfate and/or calcium carbonate. Preferably the filler is a microcrystalline cellulose, such as Prosolv SMCC90 (RTM) or Avicel PH 200 (RTM). The form contains a lubricant selected from magnesium, calcium and sodium stearates, stearic acid, wax, hydrogenated vegetable oil, talc and colloidal silica, preferably magnesium stearate or calcium stearate. The dosage is free of lactose. The active ingredient is **citalopram** hydrobromide (especially) or **citalopram** hydrochloride and is preferably in crystal form with a median particle size below 20 microm or at least 40 (preferably 40-200, especially 45-150, more especially 50-100) microm. Preferred Manufacture: The solvent system comprises an alcohol(s)

and optionally water, preferably a mixture of methanol and water in a methanol:water weight ratio of 5:1-50:1 (preferably 10:1-30:1, especially 15:1-25:1). The initial temperature is in the range 50 degreesC to the refluxing temperature of the solvent system (preferably 60 degreesC to the refluxing temperature, especially 64 degreesC to the refluxing temperature). The solution is cooled to 20-40 (preferably 25-35) degreesC. The holding time is 30 minutes to 7 days (preferably 1 hour to 4 days, especially 12-36 hours). The crystals are isolated at 0-20 (preferably 5-15) degreesC, preferably by filtration. The controlled cooling is for 5 minutes to 6 hours (preferably 15 minutes to 4 hours, especially 30 minutes to 2 hours).

ABEX UPTX: 20020114

EXAMPLE - **Citalopram** hydrobromide (12.0 kg) was dissolved in a mixture of methanol (12.5 kg) and water (1.2 kg) at reflux. The solution was cooled to 30 degreesC, seeded with **citalopram** hydrobromide crystals (27 g) and kept at 30 degreesC for 16 hours before cooling to 10 degreesC over 1 hour. The crystals were isolated by filtration, washed with cold methanol and dried. The large **citalopram** hydrobromide crystals had a particle size distribution of 549.42 mu (95%). The large **citalopram** hydrobromide crystals (20 weight%), ProSolv SMCC90 (RTM) (79.5 weight%) and magnesium stearate (0.5 weight%) were compressed to give tablets of 125 mg weight which gave satisfactory results.

AN 2002-026101 [03] WPIX
DC B02
IC ICM A61K000-00; **A61K009-00**; **A61K009-20**; **A61K009-48**; A61K031-34; A61K031-343; C07D307-78; C07D307-87; C07D307-88
ICS A01N043-08; **A61K009-14**; A61K047-02; A61K047-04; A61K047-10; A61K047-12; A61K047-14; A61K047-26; A61K047-36; A61K047-38; A61P025-14; A61P025-24; C07D307-93; C12N000-00
ICA B01D009-02
ICI C07D307-87; C07D307-87
MC CPI: B04-B01C1; B04-C02A; B04-C02B; B05-A01B; B05-B02A3; B05-B02C; B05-C05; B06-A01; B07-A02; B10-A07; B10-C04E; B14-J01A1; B14-J04; B14-L06
DRN 0032-U; 0038-U; 0122-U; 0135-U; 0241-U; 0290-U; 1278-U; 1456-U; 1541-U; 1563-U; 1694-U; 1757-U; 1767-U; 1852-U; 1863-U

L52 ANSWER 18 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2002-012155 [02] WPIX
CROSS REFERENCE: 2000-559377 [52]
DOC. NO. CPI: C2002-003207
TITLE: Crystalline **citalopram** base and salts with high purity useful for treatment of depression.
DERWENT CLASS: B02
INVENTOR(S): BOGESO, K P; HOLM, P; PETERSEN, H; BOSEGO, K P; BOEGESOE, K P; PETERSON, H
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H
COUNTRY COUNT: 95
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 10108042	A1	20011018	(200202)*		10	C07D307-87<--
BE 1013210	A3	20011002	(200202)			C07D000-00<--
CH 691537	A5	20010815	(200202)			C07D307-87<--
FI 2001000225	A	20010914	(200202)			C07D307-87<--
NL 1017413	C6	20010913	(200202)			C07D307-87<--

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NO 2001000619    A  20010914 (200202)          C07D307-87<--
WO 2001068627    A1 20010920 (200202)  EN      C07D307-87<--
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       NL OA PT SD SE SL SZ TR TZ UG ZW
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       DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC
       LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE
       SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
SE 2001003046    A  20011114 (200214)          C07D307-87<--
CZ 2001000808    A3 20020116 (200215)
GB 2357762       B  20020130 (200216)          C07D307-88
DK 173903        B  20020211 (200219)          C07D307-87
HU 2001000531    A2 20020128 (200222)
IE 82110         B3 20020220 (200226)          C07D308-87
NO 312031        B1 20020304 (200227)          C07D307-87
NO 2002000356    A  20010914 (200231)          C07D000-00<--
SE 517136        C2 20020416 (200233)          C07D307-87
FI 109022        B1 20020515 (200236)          C07D307-87
AU 746664        B  20020502 (200238)          A61K031-00
ES 2159491       B1 20020501 (200240)          C07D307-87
DE 10164687      A1 20020613 (200246)          C07D307-87
DE 20121240      U1 20020704 (200252)          C07D307-87
EP 1227088       A1 20020731 (200257)  EN      C07D307-87
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       RO SE SI TR
EP 1169314       B1 20020904 (200266)  EN      C07D307-87
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       RO SE SI TR
DE 60100022      E  20021010 (200274)          C07D307-87
SE 2002000730    A  20020829 (200277)          C07D307-87
ES 2173054       T3 20021216 (200306)          C07D307-87
BR 2001009373    A  20021224 (200309)          C07D307-87
KR 2002080486    A  20021023 (200317)          C07D307-87
CA 2411732       A1 20010920 (200321)  EN      C07D307-87<--
ES 2180471       T1 20030216 (200321)          C07D307-87
US 2003078442    A1 20030424 (200330)          C07D307-87
ZA 2002007148    A  20030528 (200341)       25 C07D000-00
CZ 292077        B6 20030716 (200355)          C07D307-87
CA 2360287       C  20030909 (200361)  EN      C07D307-87
JP 2003527383    W  20030916 (200362)       28 C07D307-87
CN 1429220       A  20030709 (200363)          C07D307-87
EP 1227088       B1 20030917 (200369)  EN      C07D307-87
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NO 315851        B1 20031103 (200374)          C07D307-87
DE 60100786      E  20031023 (200377)          C07D307-87
IT 1319645       B  20031023 (200413)#         C07D307-00
MX 2002008793    A1 20030201 (200413)          C07D307-87
ES 2180471       T3 20040501 (200431)          C07D307-87
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APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
DE 10108042	A1	DE 2001-10108042	20010220	<--
BE 1013210	A3	BE 2001-136	20010228	<--
CH 691537	A5	CH 2001-580	20010222	<--
FI 2001000225	A	FI 2001-225	20010207	<--
NL 1017413	C6	NL 2001-1017413	20010221	<--
NO 2001000619	A	NO 2001-619	20010206	<--

WO 2001068627	A1		WO 2001-DK137	20010228 <--
SE 2001003046	A		WO 2001-DK137	20010228 <--
			SE 2001-3046	20010914 <--
CZ 2001000808	A3		CZ 2001-808	20010305 <--
GB 2357762	B		GB 2001-5982	20010312 <--
DK 173903	B		DK 2001-183	20010205 <--
HU 2001000531	A2		HU 2001-531	20010205 <--
IE 82110	B3		IE 2001-109	20010207 <--
NO 312031	B1		NO 2001-619	20010206 <--
NO 2002000356	A		NO 2002-356	20020123
SE 517136	C2		WO 2001-DK137	20010228 <--
			SE 2001-3046	20010914 <--
FI 109022	B1		FI 2001-225	20010207 <--
AU 746664	B		AU 2001-37252	20010228 <--
ES 2159491	B1		ES 2001-548	20010309 <--
DE 10164687	A1 Div ex		DE 2001-10108042	20010220 <--
			DE 2001-10164687	20010220 <--
DE 20121240	U1 Application no.		DE 2001-10108042	20010220 <--
			DE 2001-20121240	20010220 <--
EP 1227088	A1 Div ex		EP 2001-909568	20010228 <--
			EP 2002-9350	20010228 <--
EP 1169314	B1		EP 2001-909568	20010228 <--
			WO 2001-DK137	20010228 <--
	Related to		EP 2002-9350	20010228 <--
DE 60100022	E		DE 2001-00100022	20010228 <--
			EP 2001-909568	20010228 <--
			WO 2001-DK137	20010228 <--
SE 2002000730	A Div ex		SE 2001-3046	20010914 <--
			SE 2002-730	20020312
ES 2173054	T3		EP 2001-909568	20010228 <--
BR 2001009373	A		BR 2001-9373	20010228 <--
			WO 2001-DK137	20010228 <--
KR 2002080486	A		KR 2002-712048	20020913
CA 2411732	A1 Div ex		CA 2001-2360287	20010228 <--
			CA 2001-2411732	20010228 <--
ES 2180471	T1		EP 2002-9350	20010228 <--
US 2003078442	A1 Cont of		WO 2001-DK137	20010228 <--
			US 2002-245824	20020912
ZA 2002007148	A		ZA 2002-7148	20020905
CZ 292077	B6		CZ 2001-808	20010305 <--
CA 2360287	C		CA 2001-2360287	20010228 <--
			WO 2001-DK137	20010228 <--
JP 2003527383	W		JP 2001-567719	20010228 <--
			WO 2001-DK137	20010228 <--
CN 1429220	A		CN 2001-809341	20010228 <--
EP 1227088	B1 Div ex		EP 2001-909568	20010228 <--
			EP 2002-9350	20010228 <--
NO 315851	B1 Div ex		NO 2001-619	20010206 <--
			NO 2002-356	20020123
DE 60100786	E		DE 2001-00100786	20010228 <--
			EP 2002-9350	20010228 <--
IT 1319645	B		IT 2000-MI2425	20001109 <--
MX 2002008793	A1		WO 2001-DK137	20010228 <--
			MX 2002-8793	20020909
ES 2180471	T3		EP 2002-9350	20010228 <--

FILING DETAILS:

PATENT NO	KIND	PATENT NO

DK 173903	B	Previous Publ.	DK 2001000183
NO 312031	B1	Previous Publ.	NO 2001000619
FI 109022	B1	Previous Publ.	FI 2001000225
AU 746664	B	Previous Publ.	AU 2001037252
		Based on	WO 2001068627
DE 10164687	A1	Div ex	DE 10108042
EP 1227088	A1	Div ex	EP 1169314
EP 1169314	B1	Related to	EP 1227088
		Based on	WO 2001068627
DE 60100022	E	Based on	EP 1169314
		Based on	WO 2001068627
ES 2173054	T3	Based on	EP 1169314
BR 2001009373	A	Based on	WO 2001068627
ES 2180471	T1	Based on	EP 1227088
CZ 292077	B6	Previous Publ.	CZ 2001000808
CA 2360287	C	Based on	WO 2001068627
JP 2003527383	W	Based on	WO 2001068627
EP 1227088	B1	Div ex	EP 1169314
NO 315851	B1	Previous Publ.	NO 2002000356
DE 60100786	E	Based on	EP 1227088
MX 2002008793	A1	Based on	WO 2001068627
ES 2180471	T3	Based on	EP 1227088

PRIORITY APPLN. INFO: **DE 2000-10019609 20000420; DK 2000-402 20000313; WO 2000-DK183 20000413; IT 2000-MI2425 20001109**

INT. PATENT CLASSIF.:

MAIN: A61K031-00; C07D000-00; C07D307-00; C07D307-87; C07D307-88; C07D308-87

SECONDARY: **A61K009-20**; A61K031-34; A61K031-343; C07C209-86; C07C253-14

ADDITIONAL: A61P025-24

BASIC ABSTRACT:

DE 10108042 A UPAB: 20040514

NOVELTY - Crystalline **citalopram** base as well as **citalopram** hydrochloride and hydrobromide with a purity above 99.8% (weight/weight), especially above 99.9% (weight/weight) are new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for: (A) the production of a **citalopram** salt comprising: (a) liberation of **citalopram** base and precipitation of the liberated base in crystalline form; (b) optional recrystallisation of the crystalline base; and (c) conversion of the crystalline base into a salt; and (B) the production of **citalopram** base or a **citalopram** salt comprising (i) removal of one or more impurities of formula (I) from a crude **citalopram** mixture or a crude **citalopram** salt by precipitation of crystalline **citalopram** base; and (ii) optional recrystallisation and/or conversion into a salt.

Z = halo; -O-SO2-(CF2)n-CF3; -CHO; -NHR1; -COOR2; or -CONR2R3;

n = 0-8;

R1 = H or alkylcarbonyl;

R2 and R3 = H; alkyl; optionally substituted aryl; or aralkyl.

ACTIVITY - Antidepressant.

MECHANISM OF ACTION - Serotonin re-uptake inhibitor.

USE - **Citalopram** (known from DE 2657013 and US 4136193 , is useful for the treatment of depression.

ADVANTAGE - The base has higher quality than products produced by products obtained in prior art processes which require extensive purification procedures with loss of yield. Also, the base as well as the

hydrochloride and hydrochloride salts are simple to handle and formulate, especially to tablets by direct compression or compression of a wet or melt granulate.
Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-A02; B14-J01A1
TECH UPTX: 20020109
TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Process: The base is liberated in (A) (a) from a crude **citalopram** mixture or crude **citalopram** salt, especially the oxalate, phosphate or nitrate or particularly the hydrobromide, hydrochloride or sulfate. Step (B) (i) is carried out using a crude **citalopram** mixture obtained by a cyanide exchange reaction carried out on a compound (I), especially with Z = halo, particularly Cl or Br, using a cyanide source. This crude mixture is purified prior to the precipitation. When step (B) (i) is carried out using a crude **citalopram** salt, preferably a salt as used in (A) (a), this is formed from a crude **citalopram** base which is purified prior to the salt formation. The **citalopram** base is liberated from the crude **citalopram** mixture or crude **citalopram** salt by treatment with base and is optionally purified before the precipitation in step (B) (i). When a salt is formed in step (B) (ii), this is the hydrochloride or hydrobromide.
ABEX UPTX: 20020109
EXAMPLE - **Citalopram** HBr (101 g), prepared from (I) (z = Br), is suspended in H2) (0.5 l) and toluene (0.5 l) and 5N aqueous NaOH (60 ml) is added. The mixture is stirred for 0.25 hour and the phases are separated. The organic phase is extracted (H2O) and filtered. The volatiles are removed (vacuum) to give R,S-**citalopram** as an oil which is treated with n-heptane and heated to 70degreesC and then cooled. The crystals formed are filtered off and vacuum dried to give crystalline R,S-**citalopram** (75.4 g; 93% yield; above 99.8% purity); m.pt. 91.3-91.8degreesC (DSC, open capsule) and 92.8degreesC (DSC, closed capsule).
AN 2002-012155 [02] WPIX
DC B02
IC ICM A61K031-00; C07D000-00; C07D307-00; C07D307-87; C07D307-88; C07D308-87
ICS **A61K009-20**; A61K031-34; A61K031-343; C07C209-86; C07C253-14
ICA A61P025-24
MC CPI: B06-A02; B14-J01A1

L52 ANSWER 19 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2001-530536 [59] WPIX
DOC. NO. CPI: C2001-158325
TITLE: Selective delivery of drugs to the central nervous system, e.g. for treatment of stress or depression, by administration to the olfactory region in doses inducing central nervous system action.
DERWENT CLASS: B04
INVENTOR(S): LIEDTKE, R K
PATENT ASSIGNEE(S): (LIED-I) LIEDTKE R K; (PHAR-N) PHARMED HOLDING GMBH
COUNTRY COUNT: 25
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 10004547	A1	20010809	(200159)*		6	A61K038-11<--

EP 1129704 A1 20010905 (200159) GE A61K009-00<--
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
DE 10004547	A1	DE 2000-10004547	20000202	<--
EP 1129704	A1	EP 2000-104926	20000308	<--

PRIORITY APPLN. INFO: **DE 2000-10004547**
20000202
INT. PATENT CLASSIF.:
MAIN: **A61K009-00**; A61K038-11
SECONDARY: A61K009-72
BASIC ABSTRACT:
DE 10004547 A UPAB: 20011012
NOVELTY - Selective delivery of central nervous system (CNS) drugs (I) to the CNS involves incorporating at least one synthetic or natural (I) in a carrier-containing solid, liquid or mixed formulation, such that (I) is transported to the chemo-receptors of the olfactory region in doses which chemically induce action on the CNS.

USE - (I) is specifically one or more of the following, for use in human or veterinary medicine: neuroleptic agent, tranquilizer, thymoleptic, thymeretic, stimulant, antipsychotic or antiepileptic agent or central muscle relaxant; agent for treating mental stress, depression, affective disorders or sexual dysfunction (specifically associated with agents acting on the hypophyseal-adrenal axis (especially CRF, ATCH, CRH, cortisol, cortisone, adrenalin or noradrenaline) or with estrogens, gestagens, androgens, gonadotropins or prostaglandins); CNS-active analgesic; CNS-active cardiovascular drug (specifically antihypertensive); and/or monoamine oxidase inhibitor, cyclic antidepressant or serotonin- or noradrenaline reuptake inhibitor (all claimed).

ADVANTAGE - A wide range of natural or synthetic (I) can be administered effectively and safely to the CNS, utilizing chemically induced transduction of effects on the chemoreceptors in the olfactory region to neuronal mediated signals on specific structures of the CNS. The method is non-invasive, avoids blood-brain barrier problems, reduces side-effects, gives a rapid onset of action and allows reduction of doses. Tolerance of (I) administered by the method is good, and the pain and risk associated with intracerebral injection are avoided.

Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B04-C01; B05-A01B; B06-H; B07-D11; B07-D13; B07-E03; B08-D02; B10-A20; B10-B04; B10-J02; B14-J01; B14-S12
TECH UPTX: 20011012
TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Active Agents: (I) are selected from:
(1) phenothiazines, azaphenothiazines, Rauwolfia alkaloids, thioxanthenes, butyrophenones, glycols, diphenylmethanes, dibenzodiazepines, carbinols, dibenzobicyclooctadienes, dibenzazepines, iminodibenzylines, iminostilbenes, dibenzocycloheptadienes or -trienes, dihydroanthracenes, acridanes, dibenzoxepins, dibenzothiepins, indoles or phenylethylamines (or derivatives (including bi-, tri- or polycyclic derivatives), analogs or salts) or lithium salts, specifically fluoxetine, fluvoxamine, mirtazepine, nefazodone, paroxetine, melcobemide, reboxetine, sertraline, venlafaxine, bupropion or **citalopram**; or

(2) peptides or proteins, specifically neurotransmitters or hormones involved in hypothalamic regulation (or their derivatives, analogs or antagonists), especially oxytocin, vasopressin, Met- or Leu-enkephalin, STH, melanoliberin, prolactoliberin, thyroliberin, CRH, FSH, LSH, somatostatin, melanostatin or prolactostatin.

ABEX UPTX: 20011012

ADMINISTRATION - The formulations specificially contain (I) in the form of microparticles or micro-droplets of diameter 0.1-10 microm (especially containing ethanol or essential oils as solvent), for administration by inhalation or nebulization or as sprays or pressurized aerosols (all claimed).

EXAMPLE - Oxytocin (Ia) was administered as an aerosol, containing (Ia) at 10-40 IU/ml in the liquid phase. Unit dose was 0.5-4.0 IU, corresponding to a volume of 0.05-0.2 ml and the droplet size was 0.1-10 microm.

AN 2001-530536 [59] WPIX
DC B04
IC ICM **A61K009-00**; A61K038-11
ICS A61K009-72
MC CPI: B04-C01; B05-A01B; B06-H; B07-D11; B07-D13; B07-E03; B08-D02; B10-A20; B10-B04; B10-J02; B14-J01; B14-S12
DRN 2073-U

L52 ANSWER 20 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2001-343140 [36] WPIX
DOC. NO. CPI: C2001-106177
TITLE: Melt granulated composition useful for the preparation of solid modified release dosage forms.
DERWENT CLASS: A11 A96 B02 B07
INVENTOR(S): ELEMA, M O; HOLM, P
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H
COUNTRY COUNT: 95
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2001022941	A1	20010405	(200136)*	EN	25	A61K009-16<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW						
AU 2000074050	A	20010430	(200142)			A61K009-16<--
EP 1220658	A1	20020710	(200253)	EN		A61K009-16<--
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI						
US 2002160050	A1	20021031	(200274)			A61K009-16<--
JP 2003510266	W	20030318	(200321)		24	A61K009-16<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2001022941	A1	WO 2000-DK533	20000928	<--
AU 2000074050	A	AU 2000-74050	20000928	<--
EP 1220658	A1	EP 2000-962256	20000928	<--
		WO 2000-DK533	20000928	<--

US 2002160050	A1 Cont of	WO 2000-DK533	20000928	<--
		US 2002-106805	20020325	
JP 2003510266	W	WO 2000-DK533	20000928	<--
		JP 2001-526153	20000928	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2000074050	A Based on	WO 2001022941
EP 1220658	A1 Based on	WO 2001022941
JP 2003510266	W Based on	WO 2001022941

PRIORITY APPLN. INFO: **DK 1999-1376 19990928**

INT. PATENT CLASSIF.:

MAIN: **A61K009-16**

SECONDARY: **A61K009-20**; A61K009-22; A61K009-50; A61K031-343; A61K031-437; A61K047-04; A61K047-26; A61K047-32; A61K047-34; A61K047-36; A61K047-38; A61K047-42

BASIC ABSTRACT:

WO 200122941 A UPAB: 20010628

NOVELTY - A melt granulated homogeneous composition comprises one or more hydrophilic cellulose ether polymers, a hydrophilic melt binder and a medicament.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a process of preparing the composition by:

(1) applying heat to the components;

(2) mixing the mass to provide a substantially homogeneous composition; and

(3) cooling the composition to room temperature.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - The melt granulated compositions are useful for the preparation of solid modified release dosage forms. Modified release pharmaceutical preparations have reduced administration times, better compliance, reduced side effects and retention of effective concentration.

ADVANTAGE - The use of a hydrophilic melt binder alone does not alter the release profile of the Modrix tablets.

Dwg.0/3

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: A12-V01; B04-C02A; B04-C03; B04-C03B; B04-C03C; B05-A01B; B05-C05; B06-A01; B06-E03; B07-A02B; B10-C04E; B12-M10; B12-M11D

TECH UPTX: 20010628

TECHNOLOGY FOCUS - POLYMERS - Preferred Composition The hydrophilic melt binder is a polyethylene glycol preferably of average molecular weight 3,000-9,000. The hydrophilic cellulose ether polymer is hydroxypropyl methyl cellulose, methyl cellulose, hydroxypropyl cellulose, hydroxyethyl cellulose, sodium carboxymethyl cellulose, carbomer, carboxymethyl hydroxy ethyl cellulose or their mixtures. The composition additionally comprises excipients such as binder, diluents, disintegrants or lubricants such as lactose, alginic acid, agarose powder, calcium sulfate or polyacrylates. The composition comprises 10-75 wt. % of a hydrophilic cellulose ether polymer or a mixture of hydrophilic cellulose ether polymers; 10-40 wt. % of a hydrophilic melt binder and a medicament.

ABEX UPTX: 20010628

SPECIFIC COMPOUNDS - The medicament is **Citalopram**, Escitalopram

or Gaboxadol.

EXAMPLE - Lactose monohydrate (19.5 % w/w) was combined with Metolose (40 % w/w), Gaboxadol HCl (20 % w/w) and Macrogol in a heated jacketed high shear mixer. The temperature of the mixer was set to 80 degrees C and the ingredients were blended at 1200 rpm until the product temperature reached about 70 degrees C. Granulation was continued for 1-2 minutes. The hot granulate was passed through a 1 mm sieve. Magnesium stearate (0.5 % w/w) was added in a turbulate mixer and blended for 30 seconds. The granulated product was loaded into a tabletting machine and pressed into tablets.

AN 2001-343140 [36] WPIX
DC A11 A96 B02 B07
IC ICM **A61K009-16**
ICS **A61K009-20**; A61K009-22; A61K009-50; A61K031-343; A61K031-437; A61K047-04; A61K047-26; A61K047-32; A61K047-34; A61K047-36; A61K047-38; A61K047-42
MC CPI: A12-V01; B04-C02A; B04-C03; B04-C03B; B04-C03C; B05-A01B; B05-C05; B06-A01; B06-E03; B07-A02B; B10-C04E; B12-M10; B12-M11D
DRN 0241-U; 1767-U; 1835-U; 1859-U; 1860-U; 1866-U; 2044-U

L52 ANSWER 21 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2001-211130 [21] WPIX
CROSS REFERENCE: 2001-202821 [20]
DOC. NO. CPI: C2001-062739
TITLE: Low dose cyclobenzaprine and its metabolites in treatment of sleep disturbances and causal syndromes, e.g. fatigue, pain, fibromyalgia, drug or alcohol abuse, or autoimmune disease.
DERWENT CLASS: B02 B05
INVENTOR(S): IGLEHART, I W; IGLEHART, I I W
PATENT ASSIGNEE(S): (VELA-N) VELA PHARM INC
COUNTRY COUNT: 95
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2001012175	A1	20010222	(200121)*	EN	43	A61K031-138<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW						
AU 2000066354	A	20010313	(200134)			A61K031-138<--
US 2001046988	A1	20011129	(200202)			A61K031-5513<--
BR 2000013017	A	20020416	(200234)			A61K031-138
EP 1202722	A1	20020508	(200238)	EN		A61K031-138
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI						
GB 2368522	A	20020508	(200238)			A61K031-138
US 6395788	B1	20020528	(200243)			A61K031-135
JP 2003506484	W	20030218	(200315)		43	A61K031-135
US 6541523	B2	20030401	(200324)			A61K031-135
ZA 2002000852	A	20030730	(200355)		72	A61K000-00
MX 2002001569	A1	20030701	(200366)			A61K031-138
US 2004029869	A1	20040212	(200412)			A61K031-5513
NZ 516749	A	20040326	(200425)			A61K031-138

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 2001012175	A1		WO 2000-US22082	20000811	<--
AU 2000066354	A		AU 2000-66354	20000811	<--
US 2001046988	A1	Provisional	US 1999-148881P	19990813	<--
		Div ex	US 2000-637557	20000811	<--
			US 2001-893758	20010627	<--
BR 2000013017	A		BR 2000-13017	20000811	<--
			WO 2000-US22082	20000811	<--
EP 1202722	A1		EP 2000-953996	20000811	<--
			WO 2000-US22082	20000811	<--
GB 2368522	A		WO 2000-US22082	20000811	<--
			GB 2002-2908	20020207	
US 6395788	B1	Provisional	US 1999-148881P	19990813	<--
			US 2000-637557	20000811	<--
JP 2003506484	W		WO 2000-US22082	20000811	<--
			JP 2001-516521	20000811	<--
US 6541523	B2	Provisional	US 1999-148881P	19990813	<--
		Div ex	US 2000-637557	20000811	<--
			US 2001-893758	20010627	<--
ZA 2002000852	A		ZA 2002-852	20020130	
MX 2002001569	A1		WO 2000-US22082	20000811	<--
			MX 2002-1569	20020213	
US 2004029869	A1	Provisional	US 1999-148881P	19990813	<--
		Div ex	US 2000-637557	20000811	<--
		Div ex	US 2001-893758	20010627	<--
			US 2003-392366	20030317	
NZ 516749	A		NZ 2000-516749	20000811	<--
			WO 2000-US22082	20000811	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000066354	A	Based on	WO 2001012175
BR 2000013017	A	Based on	WO 2001012175
EP 1202722	A1	Based on	WO 2001012175
GB 2368522	A	Based on	WO 2001012175
JP 2003506484	W	Based on	WO 2001012175
US 6541523	B2	Div ex	US 6395788
MX 2002001569	A1	Based on	WO 2001012175
US 2004029869	A1	Div ex	US 6395788
		Div ex	US 6541523
NZ 516749	A	Based on	WO 2001012175

PRIORITY APPLN. INFO: **US 1999-148881P 19990813; US 2000-637557 20000811; US 2001-893758 20010627**; US 2003-392366 20030317

INT. PATENT CLASSIF.:

MAIN: A61K000-00; A61K031-135; A61K031-138; A61K031-5513

SECONDARY: **A61K009-20**; **A61K009-48**; A61K031-137; A61K031-335; A61K031-36; A61K031-495; A61K031-496; A61K031-515; A61K031-535; A61K031-55; A61K031-551; A61K031-553; A61K045-00; A61K047-12; A61K047-36; A61P021-00; A61P025-00; A61P025-04; A61P029-00;

A61P037-02
ADDITIONAL: A61K047-26; A61P025-20
BASIC ABSTRACT:
WO 200112175 A UPAB: 20040418
NOVELTY - Method for treating or preventing a sleep disorder in humans, by administration of cyclobenzaprine or its metabolites, prodrugs, or salts, in amounts less than 5 mg/day, optionally in combination with other drug therapies for treatment of the illness or its symptoms.

ACTIVITY - Sedative; tranquilizer; antiaddictive; antialcoholism; analgesic; immunosuppressive.

MECHANISM OF ACTION - None given.

USE - Cyclobenzaprine is already known and used for relief of muscle spasms and related conditions, but use for sleep disorders is new, and It is stated to improve quality and deepness of sleep. The sleep disorders include insomnia, hypersomnia, narcolepsy, nightmare or terror, sleepwalking, and circadian rhythm disturbance e.g. day/night reversal, and also those due to, or having an effect on prolonged and chronic fatigue, psychogenic or chronic pain, stress and anxiety, autoimmune disease, fibromyalgia, and drug or alcohol abuse, the former notably from benzodiazepines or barbiturates.

ADVANTAGE - At the levels stated, the drug is effective without appearance of the known side effects; these include tiredness and drowsiness, dry mouth or tongue, dizziness, and bad taste; less common are nausea, constipation, blurred vision, nervousness, confusion, and abdominal pain and discomfort.

Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B08-D01; B14-C01; B14-G02D; B14-J01B1; B14-J01B3; B14-J01B4; B14-J05A; B14-M01A; B14-M01C
TECH UPTX: 20010418
TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Products: The cyclobenzaprine is given as hydrochloride salt. Examples of the optional additional therapeutic agents are a tricyclic or atypical antidepressant (TCA or AA), selective serotonin reuptake inhibitor (SSRI), antiinflammatory agents, and analgesics. Specific examples of TCA are imipramine, trimipramine, nortriptyline, amitriptyline, protriptyline, doxepin, clomipramine, and desipramine; of SSRI are fluoxetine, paroxetine, fluvoxamine (maleate), sertraline, and **citalopram**; the AA is a serotonin agonist and reuptake inhibitor e.g. nefazodone or trazodone, norepinephrine/dopamine reuptake inhibitor e.g. buproprion, norepinephrine reuptake inhibitor e.g. reboxetine, or serotonin/norepinephrine reuptake inhibitor e.g. venlafaxine, amoxapine or maprotiline. The sleep disturbance may be caused by benzodiazepine drugs e.g. chlordiazoepoxide, clorazepate, diazepam, flurazepam, halazepam, prazepam, alprazolam, flurazepam, chlonazepam, flunitrazepam, lorazepam, midazolam, oxazepam, quazepam, temazepam, and troazolam; or barbiturate drugs e.g. phenobarbital, amobarbital, aprobarbital, butabarbital, mephobarbital, pentobarbital, secobarbital or talbutal.

Preferred Process: The cyclobenzaprine or its combination are optionally in combination with psychotherapy and/or light box therapy.

ABEX UPTX: 20010418
ADMINISTRATION - Administration is less than 5, preferably less than 1 mg/day e.g. orally, rectally, transdermally, and parenterally. The optional additional drug in combination therapy may be given either sequentially or concurrently. Dosage should continue until symptoms are alleviated, and can be indefinitely.

EXAMPLE - 13 case studies are given, both male and female, with successful results. A pregnant female began to have soreness, fatigue, and disturbed sleep, which persisted after the birth. Cyclobenzaprine was first given in

10 mg doses, but then reduced to 2.5 mg, with progressive improvement and complete abatement of all the symptoms.

AN 2001-211130 [21] WPIX
DC B02 B05
IC ICM A61K000-00; A61K031-135; A61K031-138; A61K031-5513
ICS **A61K009-20**; **A61K009-48**; A61K031-137; A61K031-335; A61K031-36; A61K031-495; A61K031-496; A61K031-515; A61K031-535; A61K031-55; A61K031-551; A61K031-553; A61K045-00; A61K047-12; A61K047-36; A61P021-00; A61P025-00; A61P025-04; A61P029-00; A61P037-02
ICA A61K047-26; A61P025-20
MC CPI: B08-D01; B14-C01; B14-G02D; B14-J01B1; B14-J01B3; B14-J01B4; B14-J05A; B14-M01A; B14-M01C

L52 ANSWER 22 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2001-202821 [20] WPIX
CROSS REFERENCE: 2001-211130 [21]
DOC. NO. CPI: C2001-060245
TITLE: Treating generalized anxiety disorder by administering low dose of cyclobenzaprine.
DERWENT CLASS: B05
INVENTOR(S): IGLEHART, I W; LEDERMAN, S; INGLEHART, I W
PATENT ASSIGNEE(S): (VELA-N) VELA PHARM INC
COUNTRY COUNT: 95
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2001012174	A1	20010222	(200120)*	EN	30	A61K031-138<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW						
AU 2000066340	A	20010313	(200134)			A61K031-138<--
US 6358944	B1	20020319	(200224)			A01N043-62
BR 2000013122	A	20020430	(200237)			A61K031-138
GB 2368283	A	20020501	(200237)			A61K031-135
EP 1202721	A1	20020508	(200238)	EN		A61K031-138
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI						
JP 2003506483	W	20030218	(200315)		31	A61K031-135
ZA 2002000619	A	20030625	(200348)#		45	A61K000-00
MX 2002001568	A1	20030701	(200366)			A61K031-138
ES 2192156	A1	20030916	(200368)			A61K031-138

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 2001012174	A1		WO 2000-US22026	20000811	<--
AU 2000066340	A		AU 2000-66340	20000811	<--
US 6358944	B1	Provisional	US 1999-148881P	19990813	<--
		Provisional	US 2000-211922P	20000616	<--
			US 2000-638058	20000811	<--
BR 2000013122	A		BR 2000-13122	20000811	<--
			WO 2000-US22026	20000811	<--

GB 2368283	A	WO 2000-US22026	20000811	<--
		GB 2002-3286	20020212	
EP 1202721	A1	EP 2000-953980	20000811	<--
		WO 2000-US22026	20000811	<--
JP 2003506483	W	WO 2000-US22026	20000811	<--
		JP 2001-516520	20000811	<--
ZA 2002000619	A	ZA 2002-619	20020123	
MX 2002001568	A1	WO 2000-US22026	20000811	<--
		MX 2002-1568	20020213	
ES 2192156	A1	ES 2002-50016	20000811	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000066340	A	Based on	WO 2001012174
BR 2000013122	A	Based on	WO 2001012174
GB 2368283	A	Based on	WO 2001012174
EP 1202721	A1	Based on	WO 2001012174
JP 2003506483	W	Based on	WO 2001012174
MX 2002001568	A1	Based on	WO 2001012174

PRIORITY APPLN. INFO: **US 2000-211922P 20000616; US 1999-148881P 19990813; US 2000-638058 20000811;** ZA 2002-619 20020123

INT. PATENT CLASSIF.:

MAIN: A01N043-62; A61K000-00; A61K031-135; A61K031-138

SECONDARY: A61K031-515; A61K031-5513; A61K045-00; A61K045-06; A61P011-00; A61P021-00; A61P025-20; A61P025-22

BASIC ABSTRACT:

WO 200112174 A UPAB: 20031022

NOVELTY - Treating or preventing generalized anxiety disorder (GAD) or symptoms associated with GAD comprises administering a composition comprising cyclobenzaprine or its metabolite in an amount of less than 5 mg/day.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a composition comprising less than 5 mg of cyclobenzaprine or its metabolite as a single unit or as a unit prepared into separable portions of less than 5 mg of cyclobenzaprine or its metabolite.

ACTIVITY - Tranquilizer. No biological data is given.

MECHANISM OF ACTION - None given.

USE - The method and composition are useful for treating or preventing generalized anxiety disorder (GAD) or symptoms associated with GAD such as anxiety, shortness of breath, stress, gastrointestinal upset, palpitations, fatigue, muscle aches, tension, sweating, light-headedness, hot or cold flushes, numbness and tingling, feelings of unreality and insomnia. The composition is preferably in the form of a tablet or capsule (claimed).

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B06-A02; B06-A03; B06-B02; B06-D01; B06-D05; B06-D06; B06-D07; B06-D12; B06-D13; B06-D16; B06-D17; B06-D18; B06-E01; B06-E05; B06-F04; B06-F05; B07-D03; B07-D04C; B07-D05; B07-D11; B07-D12; B07-D13; B07-E03; B07-F03; B10-A18; B10-B01B; B10-B03B; B10-B04B; B14-J01B4

TECH UPTX: 20010410
TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Method: Cyclobenzaprine is administered at 2.5 (preferably 1.0) mg or less a day in combination with psychotheraphy, a second drug for treatment of another illness or disorder or their symptoms or a therapeutic agent sequentially or concurrently (preferably a barbiturate (8 listed in the claims e.g. phenobarbital), benzodiapine (15 listed in the claims e.g. chlordiazepoxide), antihistamine (23 listed in the claims e.g. diphenhydramine hydrochloride), tricyclic antidepressant (8 listed in the claims e.g. imipramine), selective serotonin-reuptake inhibitor (7 listed in the claims e.g. fluxetine), an atypical antidepressant (especially a serotonin agonist and serotonin uptake inhibitor, norepinephrine-dopamine reuptake inhibitor, norepinephrine reuptake inhibitor, serotonin-norepinephrine reuptake inhibitor or a tetracyclic atypical antidepressant), antipsychotic (20 listed in the claims e.g. fluphenazine) or a beta blocker (11 listed in the claims e.g. sotalol).
ABEX UPTX: 20010410
ADMINISTRATION - Dosage is 2.5 (preferably 1.0) mg or less a day orally or parenterally (claimed).

EXAMPLE - None given.
AN 2001-202821 [20] WPIX
DC B05
IC ICM A01N043-62; A61K000-00; A61K031-135; A61K031-138
ICS A61K031-515; A61K031-5513; A61K045-00; A61K045-06; A61P011-00; A61P021-00; A61P025-20; A61P025-22
MC CPI: B06-A02; B06-A03; B06-B02; B06-D01; B06-D05; B06-D06; B06-D07; B06-D12; B06-D13; B06-D16; B06-D17; B06-D18; B06-E01; B06-E05; B06-F04; B06-F05; B07-D03; B07-D04C; B07-D05; B07-D11; B07-D12; B07-D13; B07-E03; B07-F03; B10-A18; B10-B01B; B10-B03B; B10-B04B; B14-J01B4
DRN 0005-U; 0021-U; 0022-U; 0023-U; 0025-U; 0026-U; 0066-U; 0128-U; 0131-U; 0157-U; 0160-U; 0215-U; 0288-U; 0317-U; 0407-U; 0959-U; 0983-U; 1100-U; 1213-U; 1255-U; 1324-U; 1447-U; 1585-U; 2063-U

L52 ANSWER 23 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2001-080320 [09] WPIX
DOC. NO. CPI: C2001-023004
TITLE: New controlled release formulation for delivering selective serotonin reuptake inhibitors such as fluvoxamine has rate-controlling polymeric coating, useful e.g. in treatment of depression.
DERWENT CLASS: A96 B05
INVENTOR(S): JEARY, T A; MORRISSEY, C A; STARK, P
PATENT ASSIGNEE(S): (ELAN-N) ELAN CORP PLC
COUNTRY COUNT: 93
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2000071099	A1	20001130	(200109)*	EN	73	A61K009-50<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW						
AU 2000044267	A	20001212	(200115)			<--

EP 1178780	A1	20020213	(200219) EN		A61K009-50
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					
SK 2001001896	A3	20020404	(200232)		A61K009-50
CZ 2001004618	A3	20020515	(200241)		A61K009-50
HU 2002001884	A2	20020930	(200272)		A61K009-50
JP 2003500348	W	20030107	(200314)	58	A61K009-52
ZA 2001010401	A	20030528	(200341)	81	A61K000-00
IE 83094	B	20031015	(200371)		A61K009-26

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2000071099	A1	WO 2000-IE60	20000510	<--
AU 2000044267	A	AU 2000-44267	20000510	<--
EP 1178780	A1	EP 2000-925548	20000510	<--
		WO 2000-IE60	20000510	<--
SK 2001001896	A3	WO 2000-IE60	20000510	<--
		SK 2001-1896	20010510	<--
CZ 2001004618	A3	WO 2000-IE60	20000510	<--
		CZ 2001-4618	20000510	<--
HU 2002001884	A2	WO 2000-IE60	20000510	<--
		HU 2002-1884	20000510	<--
JP 2003500348	W	JP 2000-619406	20000510	<--
		WO 2000-IE60	20000510	<--
ZA 2001010401	A	ZA 2001-10401	20011219	<--
IE 83094	B	IE 1999-406	19990520	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000044267	A	Based on	WO 2000071099
EP 1178780	A1	Based on	WO 2000071099
SK 2001001896	A3	Based on	WO 2000071099
CZ 2001004618	A3	Based on	WO 2000071099
HU 2002001884	A2	Based on	WO 2000071099
JP 2003500348	W	Based on	WO 2000071099

PRIORITY APPLN. INFO: **US 1999-135028P 19990520; IE 1999-406 19990520**

INT. PATENT CLASSIF.:

MAIN:	A61K000-00; A61K009-26; A61K009-50; A61K009-52
SECONDARY:	A61K009-32; A61K031-135; A61K031-137; A61K031-15; A61K045-00; A61K047-32; A61P025-18; A61P025-24

BASIC ABSTRACT:

WO 200071099 A UPAB: 20010213

NOVELTY - Oral multiparticulate controlled release selective serotonin reuptake inhibitor (SSRI) formulation comprises particles of a SSRI or one of its salts coated with rate-controlling polymer to allow controlled release of the SSRI over a period of at least 12 hours after administration.

ACTIVITY - Antidepressant; tranquilizer.

MECHANISM OF ACTION - Selective serotonin reuptake inhibitor.

USE - The formulation is used to treat depression, obsessive compulsive disorders and other conditions which are treatable with SSRIs (claimed).

ADVANTAGE - The formulation exhibits less fluctuation in plasma

concentration of active agent, cf. conventional preparation such as Luvox (RTM). In an example, fluvoxamine maleate 100 mg capsules of the invention consisting of a blend (in mg/capsule) of 4% coated fluvoxamine CR beads (86.06) and 8% coated fluvoxamine CR beads (0.360) were tested. (The fluvoxamine 100 mg CR beads were prepared from fluvoxamine IR beads (15.00 kg), talc (9.0669 kg), Eudragit (RTM) RS + DBS (29.1625 kg) coating solution (6.17% polymer solids (1.797 kg)). In tests, it was found that the capsules had a significantly reduced Cmax value of 22.711 ng/ml compared to 44.567 ng/ml Luvox (RTM), the reference product and they had a significantly extended tmax value (12.400 hours, cf. 4.200 for the reference). The relative bioavailabilities of all formulations of the invention were greater than or equal to 80%, compared to Luvox (RTM) tablets.

Dwg.0/5

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: A04-D; A12-V01; B04-C03B; B06-A02; B06-D08; B06-D12; B07-D04C; B10-A18; B10-B03; B12-M10A; B12-M11D; B14-J01A1; B14-J01B4; B14-L06

TECH UPTX: 20010213

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The particles are pellets comprising an SSRI core coated with the polymer to form a rate-controlling membrane around the core. The rate-controlling membrane consists predominantly of a film-forming, water-insoluble polymer and optionally a minor amount of a film-forming, water-soluble polymer, the ratio of water-insoluble to water-soluble polymer being such that it produces an SSRI release rate which allows controlled release of SSRI over a period of at least 12 hours following administration. The rate-controlling membrane contains an ammonio-methacrylate co-polymer. The core further contains an organic acid, the SSRI component and the acid being present in 50:1-1:50 ratio. The SSRI is selected from **citalopram**, clomipramine, fluoxetine, fluvoxamine (preferred), paroxetine, sertraline, trazodone, venlafaxine and zimeldine and their salts. When measured in vitro, the SSRI release rate from the particles using a USP type II dissolution apparatus (paddle) according to US Pharmacopoeia XXII in 0.05 M phosphate buffer at pH 6.8 that corresponds to the following dissolution pattern: (a) no more than 15% of the total SSRI is released after 0.5 of an hour of measurement in the apparatus; (b) no more than 25% of the total of SSRI is released after 1 hour of measurement in the apparatus; (c) 20-75% of the total SSRI is released after 2 hours of measurement in the apparatus; (d) not less than 75% of the total SSRI is released after 4 hours of measurement in the apparatus; and (e) not less than 85% of the total SSRI is released after 6 hours of measurement in the apparatus. Alternatively, the SSRI release rate corresponds to the following pattern (same conditions and apparatus as above): (a) no more than 20% of the total SSRI is released after 4 hours of measurement in the apparatus; (b) no more than 45% of the total of SSRI is released after 6 hours of measurement in the apparatus; (c) 45-80% of the total SSRI is released after 8 hours of measurement in the apparatus; (d) not less than 70% of the total SSRI is released after 10 hours of measurement in the apparatus; and (e) not less than 80% of the total SSRI is released after 12 hours of measurement in the apparatus. The multiparticulate formulation preferably comprises a blend of particles in admixture with an immediate release form of SSRI or one of its salts to ensure a rapid attainment of effective therapeutic blood levels, the immediate release form being free from the rate-controlling membrane. The formulation can also have an SSRI release rate corresponds to the following pattern (same conditions and apparatus as above): (a) no more than 20% of the total SSRI is released after 1 hour of measurement in the apparatus; (b) no more than 60% of the total of SSRI is released after 2

hours of measurement in the apparatus; (c) not less than 20% of the total SSRI is released after 4 hours of measurement in the apparatus; (d) not less than 35% of the total SSRI is released after 6 hours of measurement in the apparatus; (e) not less than 50% of the total SSRI is released after 8 hours of measurement in the apparatus; (f) not less than 70% of the total SSRI is released after 10 hours of measurement in the apparatus; and (g) not less than 75% of the total SSRI is released after 12 hours of measurement in the apparatus.

ABEX UPTX: 20010213

ADMINISTRATION - Administration is oral. The formulation is suitable for once or twice daily administration.

AN 2001-080320 [09] WPIX

DC A96 B05

IC ICM A61K000-00; A61K009-26; A61K009-50; A61K009-52
ICS A61K009-32; A61K031-135; A61K031-137; A61K031-15; A61K045-00; A61K047-32; A61P025-18; A61P025-24

MC CPI: A04-D; A12-V01; B04-C03B; B06-A02; B06-D08; B06-D12; B07-D04C; B10-A18; B10-B03; B12-M10A; B12-M11D; B14-J01A1; B14-J01B4; B14-L06

DRN 1213-U

PLE UPA 20010213
[1.1] 018; G0260-R G0022 D01 D12 D10 D26 D51 D53 D61-R F16; H0011-R; P0088
[1.2] 018; ND01; Q9999 Q7250; Q9999 Q8037 Q7987; B9999 B3521-R B3510 B3372; B9999 B3463 B3452 B3372; K9483-R; K9610 K9483; K9676-R; K9687 K9676; K9712 K9676; Q9999 Q7523; K9745-R

L52 ANSWER 24 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2001-061435 [07] WPIX
DOC. NO. CPI: C2001-017005
TITLE: Porous drug matrices, providing enhanced drug dissolution in aqueous media.
DERWENT CLASS: B05 B07
INVENTOR(S): BERNSTEIN, H; CHICKERING, D E; KHATAK, S; RANDALL, G; STRAUB, J; KHATTAK, S; ALTREUTER, D
PATENT ASSIGNEE(S): (ACUS-N) ACUSPHERE INC
COUNTRY COUNT: 92
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2000072827	A2	20001207	(200107)*	EN	45	A61K009-16<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW						
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW						
AU 2000054459	A	20001218	(200118)			<--
EP 1180020	A2	20020220	(200221)	EN		A61K009-16<--
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI						
NO 2001005753	A	20020128	(200225)			A61K000-00
US 2002041896	A1	20020411	(200227)			A61K009-48<--
BR 2000010984	A	20020430	(200237)			A61K009-16<--
US 6395300	B1	20020528	(200243)			A61K009-14<--
KR 2002011992	A	20020209	(200257)			A61K009-16<--
US 2002142050	A1	20021003	(200267)			A61K009-14<--
CN 1365274	A	20020821	(200281)			A61K009-16<--

JP 2003500438	W	20030107	(200314)	63 A61K009-14<--
US 6610317	B2	20030826	(200357)	A61F002-00
NZ 516083	A	20030829	(200365)	A61K009-16<--
ZA 2001010347	A	20030923	(200368)	66 A61K000-00
US 6645528	B1	20031111	(200382)	A61K009-14<--
AU 768022	B	20031127	(200404)	A61K009-16<--
MX 2001012106	A1	20030701	(200420)	A61K009-16<--

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 2000072827	A2		WO 2000-US14578	20000525	<--
AU 2000054459	A		AU 2000-54459	20000525	<--
EP 1180020	A2		EP 2000-939365	20000525	<--
			WO 2000-US14578	20000525	<--
NO 2001005753	A		WO 2000-US14578	20000525	<--
			NO 2001-5753	20011126	<--
US 2002041896	A1	Provisional	US 2000-186310P	20000302	<--
			US 2001-798824	20010302	<--
BR 2000010984	A		BR 2000-10984	20000525	<--
			WO 2000-US14578	20000525	<--
US 6395300	B1	Provisional	US 1999-136323P	19990527	<--
		Provisional	US 1999-158659P	19991008	<--
			US 1999-433486	19991104	<--
KR 2002011992	A		KR 2001-715052	20011124	<--
US 2002142050	A1	Provisional	US 1999-136323P	19990527	<--
		Provisional	US 1999-158659P	19991008	<--
		CIP of	US 1999-433486	19991104	<--
			US 2002-53929	20020122	
CN 1365274	A		CN 2000-808161	20000525	<--
JP 2003500438	W		JP 2000-620939	20000525	<--
			WO 2000-US14578	20000525	<--
US 6610317	B2	Provisional	US 1999-136323P	19990527	<--
		Provisional	US 1999-158659P	19991008	<--
		Provisional	US 2000-186310P	20000302	<--
		Cont of	WO 2000-US14578	20000525	<--
			US 2001-798824	20010302	<--
NZ 516083	A		NZ 2000-516083	20000525	<--
			WO 2000-US14578	20000525	<--
ZA 2001010347	A		ZA 2001-10347	20011218	<--
US 6645528	B1	Provisional	US 1999-136323P	19990527	<--
		Provisional	US 1999-158659P	19991008	<--
		Div ex	US 1999-433486	19991104	<--
			US 2000-694407	20001023	<--
AU 768022	B		AU 2000-54459	20000525	<--
MX 2001012106	A1		WO 2000-US14578	20000525	<--
			MX 2001-12106	20011126	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000054459	A	Based on	WO 2000072827
EP 1180020	A2	Based on	WO 2000072827
BR 2000010984	A	Based on	WO 2000072827
US 2002142050	A1	CIP of	US 6395300
JP 2003500438	W	Based on	WO 2000072827
NZ 516083	A	Based on	WO 2000072827
US 6645528	B1	Div ex	US 6395300

AU 768022	B	Previous Publ.	AU 2000054459
		Based on	WO 2000072827
MX 2001012106	A1	Based on	WO 2000072827

PRIORITY APPLN. INFO: **US 2000-186310P 20000302; US 1999-136323P 19990527; US 1999-158659P 19991008; US 1999-433486 19991104;** US 2002-53929 20020122; **US 2000-694407 20001023**

INT. PATENT CLASSIF.:
MAIN: A61F002-00; A61K000-00; **A61K009-14; A61K009-16; A61K009-48**
SECONDARY: A61F009-14; A61K009-02; A61K009-08; A61K009-10; **A61K009-20**; A61K009-50; A61K031-335; A61K047-02; A61K047-12; A61K047-26; A61K047-34; B29B009-00

BASIC ABSTRACT:

WO 200072827 A UPAB: 20011129

NOVELTY - Porous drug matrices enhance drug dissolution in aqueous media.

DETAILED DESCRIPTION - A porous drug matrix is prepared by:

(a) dissolving the drug in a volatile solvent;

(b) combining at least 1 pore forming agent with the drug solution to form an emulsion, suspension or solution; and

(c) removing the volatile solvent and pore forming agent to give the porous matrix of drug.

INDEPENDENT CLAIMS are included for the following:

(a) a composition comprising a porous matrix formed from a wetting agent and microparticles of a drug, where the microparticles have diameter 0.01-5 mu m and total surface area greater than 0.5 m2/ml, and the dry porous matrix is in dry powder form; and

(b) use of the compositions for drug delivery.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - For delivery of drugs. The porous matrix forms nanoparticles and microparticles of the drug on contact with an aqueous medium.

ADVANTAGE - The formulations can be used to convert drugs which must be infused (e.g. to avoid precipitation of the drug following bolus injection) to a bolus formulation, avoiding unacceptable precipitation of the drug in vivo, or for local delivery.

Dwg.0/9

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B04-A07A; B04-B01B; B04-C02; B04-C03; B06-D05; B07-A04; B10-A22; B10-B03; B10-C04E; B10-E02; B12-M10; B12-M11E

TECH UPTX: 20010202

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Method: A wetting agent may be incorporated into the emulsion, suspension or solution in step (b). Further excipients may be included, e.g. hydrophilic polymers, sugars, pegylated excipients (e.g. pegylated phospholipid, shielding the drug from macrophage uptake) and tonicity agents. Step (c) may involve spray drying, evaporation, fluid bed drying, lyophilization and/or vacuum drying. Preferred Drugs: The drug preferably has low aqueous solubility. The drug is chosen from: albuteril, adapalene, budesonide, doxazosin mesylate, mometasone furoate, ursodiol, amphotericin, enalapril maleate, felodipine, nefazodone hydrochloride, valrubicin, albendazole, estrogens conjugated, medroxyprogesterone acetate, nicardipine hydrochloride, zolpidem tatrate,

amlodipine besylate, ethinyl estradiol, omeprazole, rubitecan, amlodipine besylate/benazepril hydrochloride, etodolac, paroxetine hydrochloride, atovaquone, felodipine, podofilox, paricalcitol, betamethasone dipropionate, fentanyl, pramipexole dihydrochloride, vitamin D3 and related analogues, finasteride, quetiapine fumarate, alpostadil candesartan, cilexetil, fluconazole, ritonavir, busulfan, carbamazepine, flumazenil, risperidone, carbemazepine, carbidopa/levodopa, ganciclovir, saquinavir, amprenavir, carboplatin, glyburide, sertraline hydrochloride, rofecoxib carvedilol, halobetasolproprionate, sildenafil citrate, celecoxib, chlorthalidone, imiquimod, simvastatin, **citalopram**, ciprofloxacin, irinotecan hydrochloride, sparfloxacin, efavirenz, cisapride monohydrate, lansoprazole, tamsulosin hydrochloride, mofafinil, azithromycin, clarithromycin, letrozole, terbinafine hydrochloride, rosiglitazone maleate, diclofenac sodium, lomefloxacin hydrochloride, tirofiban hydrochloride, telmisartan, diazapam, loratadine, toremifene citrate, thalidomide, dinoprostone, mefloquine hydrochloride, trandolapril, mitoxantrone hydrochloride, tretinoin, etodolac, triamcinolone acetate, estradiol, ursodiol, nelfinavir mesylate, indinavir, beclomethasone dipropionate, oxaprozin, flutamide, famotidine, nifedipine, prednisone, cefuroxime, lorazepam, digoxin, lovastatin, griseofulvin, naproxen, ibuprofen, isotretinoin, tamoxifen citrate, nimodipine, amiodarone and alprazolam, ketoconazole, ceftazidime, albuterol sulfate, valacyclovir, urofollitropin, famiciclovir, enalapril, mefformin, itraconazole, buspirone, gabapentin, fosinopril, tramadol, acarbose, lorazepan, follitropin, glipizide, fluxetine, lisinopril, levixacin, zafirlukast, interferon, growth hormone, interleukin, erythropoietin, granulocyte stimulating factor, nizatidine, buproppion, perindopril, erbumine, adenosine, alendronate, alprostadil, benazepril, betaxolol, bleomycin sulfate, dexfenfluramine, diltiazem, flecainid, gemcitabine, glatiramer acetate, granisetron, lamivudine, mangafodipir, trisodium, mesalamine, metoprolol fumarate, metronidazole, miglitol, moexipril, monteleukast, octreotide acetate, olopatadine, somatropin, sumatriptan succinate, tacrine, verapamil, nabumetone, trovafloxacin, dolasetron, zidovudine, tobramycin, isradipine, tolcapone, enoxaparin, fluconazole, terbinafine, pamidronate, didanosine, diclofenac, cisapride, venlaxine, troglitazone, fluvastatin, losartan, imiglucerase, donepezil, olanzapine, valsartan, fexofenadine, calcitonin or ipratropium. Taxanes such as paclitaxel or docetaxel are particularly preferred. Water soluble drugs include e.g. ketoconazole, omeprazole or ipratropium.
Preferred Compounds: The pore forming agent is a volatile salt, e.g. ammonium bicarbonate, acetate, chloride and/or benzoate.
Preferred Composition: The composition preferably comprises microparticles of mean diameter 0.01-5 (especially 1-5) mum and a total surface area greater than 0.5 m2/ml. They may be suspended in an aqueous solution for parenteral administration; or the matrix may be processed into tablets or capsules for oral administration; formed into suppositories for vaginal or rectal administration; or used in dry powder form for pulmonary administration. The dry powder form preferably has a TAP density less than or equal to 1.0 g/ml.

ABEX UPTX: 20010202

ADMINISTRATION - The matrix may be processed into tablets or capsules suitable for oral administration.
Administration is parenteral (intravenous, intraarterial, intracardiac, intrathecal, intraosseous, intraarticular, intrasynovial, intracutaneous, subcutaneous, intramuscular), mucosal (pulmonary, buccal, sublingual, intranasal, rectal or vaginal), intraocular or conjunctival, intracranial, intralesional or intratumoral.
EXAMPLE - An aqueous solution comprising ammonium bicarbonate (1.8 g) and PEG 3350 (0.6 g) in water (10 ml) was added to an organic solution comprising paclitaxel (3 g), PEG 3350 (15 g) and lecithin (15.7 mg) in

methylene chloride (100 ml). The mixture was homogenized for 5 minutes. The resulting emulsion was spray dried, giving a porous paclitaxel matrix.

AN 2001-061435 [07] WPIX
DC B05 B07
IC ICM A61F002-00; A61K000-00; **A61K009-14**; **A61K009-16**; **A61K009-48**
ICS A61F009-14; A61K009-02; A61K009-08; A61K009-10; **A61K009-20**; A61K009-50; A61K031-335; A61K047-02; A61K047-12; A61K047-26; A61K047-34; B29B009-00
MC CPI: B04-A07A; B04-B01B; B04-C02; B04-C03; B06-D05; B07-A04; B10-A22; B10-B03; B10-C04E; B10-E02; B12-M10; B12-M11E
DRN 0258-U; 1425-U; 1947-U; 1987-U; 2007-U

L52 ANSWER 25 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2000-679321 [66] WPIX
DOC. NO. CPI: C2000-206482
TITLE: Compositions containing ionizable hydrophobic therapeutic agents also comprise an ionizing agent capable of ionizing the ionizable functional group, a surfactant and a triglyceride.
DERWENT CLASS: A96 B05 B07
INVENTOR(S): CHEN, F; PATEL, M V
PATENT ASSIGNEE(S): (LIPO-N) LIPOCINE INC
COUNTRY COUNT: 92
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2000059475	A1	20001012	(200066)*	EN	99	A61K009-14<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW						
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW						
AU 2000037637	A	20001023	(200107)			A61K009-14<--
EP 1165048	A1	20020102	(200209)	EN		A61K009-14<--
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI						
US 6383471	B1	20020507	(200235)			A61K009-12

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 2000059475	A1	WO 2000-US7342	20000316	<--
AU 2000037637	A	AU 2000-37637	20000316	<--
EP 1165048	A1	EP 2000-916547	20000316	<--
		WO 2000-US7342	20000316	<--
US 6383471	B1	US 1999-287043	19990406	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000037637	A	Based on	WO 2000059475
EP 1165048	A1	Based on	WO 2000059475

PRIORITY APPLN. INFO: **US 1999-287043**
19990406
INT. PATENT CLASSIF.:
MAIN: A61K009-12; **A61K009-14**
SECONDARY: A01N025-00; **A61K009-48**; A61K009-64; A61K009-66
BASIC ABSTRACT:
WO 200059475 A UPAB: 20001219
NOVELTY - A composition comprises a hydrophobic agent having at least one ionisable group and a carrier comprising an ionizing agent capable of ionizing the ionisable functional group, a surfactant and a triglyceride.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for:
(1) a dosage form comprising a capsule filled with the composition;
(2) a dosage form comprising a solid particulate carrier coated with or formed from the composition;
(3) a composition comprising a hydrophobic agent having at least one ionisable group and a carrier comprising at least 1.5 equivalents of an ionizing agent capable of ionizing the ionisable functional group and a surfactant; (v)
(4) a method of preparing the composition;
(5) a method of treating an animal with an ionisable hydrophobic therapeutic agent comprising administration of the composition.
USE - The composition, in the form of a capsule, solution, cream, lotion, ointment, suppository, spray, aerosol, paste or gel, is useful for administering ionisable hydrophobic therapeutic agents in animals, preferably mammals, especially humans.
Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: A03-A00A; A05-H03; A05-H04; A10-E01; A12-V01; B01-D02; B02-C01; B02-R; B04-A04; B04-C03C; B05-A01B; B05-B01P; B05-C04; B05-C07; B06-H; B07-H; B10-A09A; B10-A17; B10-B04B; B10-C04D; B10-E04D; B10-G02; B12-M11C
TECH UPTX: 20001219
TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The ionizable functional group is preferably an acidic group (especially a carboxylic acid, imidazolidinedione, thiazolidinedione, pyrimidinetrione, hydroxyheteroaromatic, phenol, phosphoric acid, sulfuric acid, sulfonic acid, sulfonamide, aminosulfone, sulfonylurea, tetrazole or thiol) and the ionizing agent is preferably a base (especially an amino acid, calcium carbonate, magnesium hydroxide, magnesium aluminum silicate). The ionizable group may be a basic group (especially e.g. an aliphatic amine, aromatic amine, C-substituted aromatic amine, N-substituted aromatic amine, heterocyclic amine, C-substituted heterocyclic amine or N-substituted heterocyclic amine) and the ionizing agent is preferably an acid (especially e.g. hydrochloric acid, hydrobromic acid, hydriodic acid, sulfuric acid, carbonic acid or uric acid). The composition preferably contains 1.5 equivalents of the ionizing agent and may contain a neutralizing agent which can neutralize a portion of the ionizing agent. The therapeutic agent may be present in a greater concentration than is solubilized by the carrier. Th surfactant is preferably a non-ionic hydrophilic surfactant having an HLB value greater than 10 (especially an alkylglucoside, polyoxyethylene-polyoxypropylene block copolymer, polyglyceryl fatty acid ester, hydrogenated vegetable oil or sterol, sugar ester, sugar ether or sucroglyceride), an ionic hydrophilic surfactant (especially a fatty acid salt, bile salt, phospholipid, phosphoric acid ester, carboxylate, sulfate or sulfonate) or a hydrophobic surfactant having an HLB value of less than 10 (especially e.g. an alcohol, polyoxyethylene alkylether, polyglyceryl fatty acid ester, fatty acid,

glycerol fatty acid ester, acetylated glycerol fatty acid ester, lower alcohol fatty acids ester, polyethylene glycol fatty acid ester, polyethylene glycol glycerol fatty acid ester, vegetable oil, hydrogenated vegetable oil or sterol). The triglyceride is preferably an oil, hydrogenated oil, partially hydrogenated oil, medium chain triglyceride, long chain triglyceride and/or structured triglyceride. The composition may further include a solubilizer (especially an alcohol, polyol, amide, ester and/or propylene glycol ether). The composition may further include an antioxidant, preservative, chelating agent, viscomodulator, tonicifier, flavor, colorant, opacifier, suspending agent and/or binder and may be a preconcentrate, diluted preconcentrate, semi-solid dispersion, solid dispersion or sprayable solution.
Preferred Drugs: When the ionizable group is an acidic group the hydrophobic therapeutic agent is preferably e.g. acetazolamide, barbital, benezepril, capsacin, diflunisal, enoxacin, fexofenadine, glipizide, ibuprofen, lamotrigine, montelukast, nalidixic acid, oxyphenbutazone, penicillins, quinapril, rabeprazole, sulfacetamide, telmisartan, undecenoic acid, ursodeoxycholic acid, valproic acid, vitamin K-S (II) or zafirlukast. When the ionizable group is a basic group the hydrophobic therapeutic agent is preferably abacavir, baclofen, cambendazole, cimetidine, ciprofloxacin, cisapride, **citalopram**, clarithromycin, cyproheptadine, dacarbazine, darodipine, dihydrocodeine, dirithromycin, enoxacin, fenbendazole, flupentixol decanoate, guanabenz, halofantrine, isradipine, lorazepam, meclozine, norfloxacin, oxprenolol, pentoxifylline, quinidine, rifabutin, selegiline, tamoxifen, vigabatrin, vitamin K7, zafirlukast or zopiclone.

ABEX UPTX: 20001219

ADMINISTRATION - Administration is oral, parenteral, topical, transdermal, ocular, pulmonary, vaginal, rectal or transmucosal (all claimed).

EXAMPLE - A typical carrier contained hydrochloric acid (0.005 g), Cremophore RH-40 (RTM: PEG-40 hydrogenated castor oil) (0.65 g), Span 80 (RTM: sorbitan monooleate) (0.30 g) and Sterotex NF (RTM: hydrogenated vegetable oil) (0.050 g).

AN 2000-679321 [66] WPIX
DC A96 B05 B07
IC ICM A61K009-12; **A61K009-14**
ICS A01N025-00; **A61K009-48**; A61K009-64; A61K009-66
MC CPI: A03-A00A; A05-H03; A05-H04; A10-E01; A12-V01; B01-D02; B02-C01; B02-R; B04-A04; B04-C03C; B05-A01B; B05-B01P; B05-C04; B05-C07; B06-H; B07-H; B10-A09A; B10-A17; B10-B04B; B10-C04D; B10-E04D; B10-G02; B12-M11C
DRN 0107-U; 0132-U; 0189-U; 0222-U; 0295-U; 1092-U; 1154-U; 1243-U; 1278-U; 1385-U; 1509-U; 1540-U; 1704-U; 1714-U; 1888-U; 1889-U; 1987-U

L52 ANSWER 26 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2000-559377 [52] WPIX
CROSS REFERENCE: 2002-012155 [02]
DOC. NO. CPI: C2000-166786
TITLE: New crystalline **citalopram** base, useful as an antidepressant and as intermediate in the production of crystalline **citalopram** salts.
DERWENT CLASS: B02 P33
INVENTOR(S): BOGESO, K P; HOLM, P; PETERSEN, H; BOSEGO, K P; BOEGESOE, K P; PETERSON, H
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H; (BOGE-I) BOGESO K P; (HOLM-I) HOLM P; (PETE-I) PETERSEN H
COUNTRY COUNT: 35

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 20007303	U1	20000727	(200052)*		17	C07D307-87<--
NL 1016435	C6	20001106	(200110)			C07D307-87<--
DK 2001000183	A	20010914	(200161)			C07D307-87<--
AU 2001037252	A	20010913	(200164)			A61K031-00<--
CH 691477	A5	20010731	(200166)			C07D307-87<--
GB 2357762	A	20010704	(200166)			C07D307-88<--
US 2001031784	A1	20011018	(200166)			A61K031-34<--
ES 2159491	A1	20011001	(200167)			C07D307-87<--
FR 2806086	A1	20010914	(200202)			C07D307-87<--
EP 1169314	A1	20020109	(200205)	EN		C07D307-87
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
SE 2001003046	A	20011114	(200214)			C07D307-87<--
DK 173903	B	20020211	(200219)			C07D307-87
IE 82110	B3	20020220	(200226)			C07D308-87
NO 312031	B1	20020304	(200227)			C07D307-87
FI 109022	B1	20020515	(200236)			C07D307-87
ES 2159491	B1	20020501	(200240)			C07D307-87
EP 1169314	B1	20020904	(200266)	EN		C07D307-87
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR						
ES 2173054	T1	20021016	(200279)			C07D307-87
ES 2173054	T3	20021216	(200306)			C07D307-87
BR 2001009373	A	20021224	(200309)			C07D307-87
SK 2002001313	A3	20030109	(200309)			C07D307-87
KR 2002080486	A	20021023	(200317)			C07D307-87
CA 2411732	A1	20010920	(200321)	EN		C07D307-87<--
CA 2360287	C	20030909	(200361)	EN		C07D307-87
JP 2003527383	W	20030916	(200362)		28	C07D307-87
CN 1429220	A	20030709	(200363)			C07D307-87
ES 2180471	T3	20040501	(200431)			C07D307-87

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
DE 20007303	U1	DE 2000-20007303	20000420	<--
NL 1016435	C6	NL 2000-1016435	20001018	<--
DK 2001000183	A	DK 2001-183	20010205	<--
AU 2001037252	A	AU 2001-37252	20010228	<--
CH 691477	A5	CH 2001-321	20010222	<--
GB 2357762	A	GB 2001-5982	20010312	<--
US 2001031784	A1	US 2000-730490	20001205	<--
ES 2159491	A1	ES 2001-548	20010309	<--
FR 2806086	A1	FR 2001-2340	20010221	<--
EP 1169314	A1	EP 2001-909568	20010228	<--
		WO 2001-DK137	20010228	<--
SE 2001003046	A	WO 2001-DK137	20010228	<--
		SE 2001-3046	20010914	<--
DK 173903	B	DK 2001-183	20010205	<--
IE 82110	B3	IE 2001-109	20010207	<--
NO 312031	B1	NO 2001-619	20010206	<--
FI 109022	B1	FI 2001-225	20010207	<--
ES 2159491	B1	ES 2001-548	20010309	<--
EP 1169314	B1	EP 2001-909568	20010228	<--
		WO 2001-DK137	20010228	<--

		Related to	EP 2002-9350	20010228 <--
ES 2173054	T1		EP 2001-909568	20010228 <--
ES 2173054	T3		EP 2001-909568	20010228 <--
BR 2001009373	A		BR 2001-9373	20010228 <--
			WO 2001-DK137	20010228 <--
SK 2002001313	A3		WO 2001-DK137	20010228 <--
			SK 2002-1313	20010228 <--
KR 2002080486	A		KR 2002-712048	20020913
CA 2411732	A1	Div ex	CA 2001-2360287	20010228 <--
			CA 2001-2411732	20010228 <--
CA 2360287	C		CA 2001-2360287	20010228 <--
			WO 2001-DK137	20010228 <--
JP 2003527383	W		JP 2001-567719	20010228 <--
			WO 2001-DK137	20010228 <--
CN 1429220	A		CN 2001-809341	20010228 <--
ES 2180471	T3		EP 2002-9350	20010228 <--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1169314	A1 Based on	WO 2001068627
DK 173903	B Previous Publ.	DK 2001000183
NO 312031	B1 Previous Publ.	NO 2001000619
FI 109022	B1 Previous Publ.	FI 2001000225
EP 1169314	B1 Related to	EP 1227088
	Based on	WO 2001068627
ES 2173054	T1 Based on	EP 1169314
ES 2173054	T3 Based on	EP 1169314
BR 2001009373	A Based on	WO 2001068627
SK 2002001313	A3 Based on	WO 2001068627
CA 2360287	C Based on	WO 2001068627
JP 2003527383	W Based on	WO 2001068627
ES 2180471	T3 Based on	EP 1227088

PRIORITY APPLN. INFO: **DK 2000-402 20000313; WO 2000-DK183 20000413**

INT. PATENT CLASSIF.:

MAIN: A61K031-00; A61K031-34; C07D307-87; C07D307-88; C07D308-87

SECONDARY: A61J003-10; **A61K009-20**; A61K031-341; A61K031-343; C07C209-86; C07C253-14

ADDITIONAL: A61P025-24

BASIC ABSTRACT:

DE 20007303 U UPAB: 20040514

NOVELTY - Crystalline **citalopram** base is new.

DETAILED DESCRIPTION - Crystalline base of **citalopram** (1-(3-(dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile) of formula (I) is new:

INDEPENDENT CLAIMS are also included for the crystalline salt of (I), prepared by:

(i) liberating the base of (I), preferably from a crude salt, especially from a crude solution of (I)-base or salt;

(ii) precipitating the base in crystalline form; and

(iii) converting into the salt.

ACTIVITY - Antidepressant.

MECHANISM OF ACTION - Serotonin (5-hydroxytryptamine; 5-HT) re-uptake inhibitor.

USE - The crystalline base is useful for the treatment of depression.

The crystalline base is also useful as an intermediate for the production of crystalline **citalopram** salts.

ADVANTAGE - Use of the crystalline base, which is clean and pure as well as easy to handle, in the production of **citalopram** avoids the expensive purification procedures required in known processes and also improves the product yield. In addition, the crystalline base is easy to formulate into solid dosage forms which are stable and have good release characteristics. An especially good and efficient purification of (I) (e.g. as HBr or HCl salt) is obtained when the base is liberated and crystallized.

Dwg.0/0

FILE SEGMENT: CPI GMPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-A02; B14-J01A1; B14-J04
TECH UPTX: 20001018

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Base: The crystalline base is a racemic **citalopram** base. The crystalline base has a m.pt. of 90-93 (especially 91-92)degreesC, and a purity above 99.8 (especially above) 99.9 wt.%.

Preferred Salt: The crystalline salt is the HBr or HCl salt having a purity of more than 99.8 (preferably more than 99.9) wt.%

ABEX UPTX: 20001018

ADMINISTRATION - Administration of the crystalline base as an antidepressant is preferably in the form of tablets or a melt granulate.

EXAMPLE - R,S-**Citalopram** HBr (101 g) was suspended in H2O (0.5 l) and toluene (0.5 l). The suspension was treated with 5 N aqueous NaOH (60 ml) and the mixture was stirred for 0.25 hour. The phases were separated, the organic phase washed and filtered and the volatiles removed under vacuum. The oil obtained was treated with n-heptane and the mixture was heated to 70degreesC and then cooled to give 75.4 g (93%) white crystals of R,S-**citalopram** base which were filtered off and vacuum dried at room temperature, m.pt. 91.3-91.8degreesC (DSC; open capsule) and 92.8degreesC (closed capsule); purity above 99.8%.

AN 2000-559377 [52] WPIX
DC B02 P33
IC ICM A61K031-00; A61K031-34; C07D307-87; C07D307-88; C07D308-87
ICS A61J003-10; **A61K009-20**; A61K031-341; A61K031-343; C07C209-86; C07C253-14
ICA A61P025-24
MC CPI: B06-A02; B14-J01A1; B14-J04

L52 ANSWER 27 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2000-136806 [12] WPIX
DOC. NO. CPI: C2000-041898
TITLE: Treating bipolar disorder, bipolar depression or unipolar depression using e.g. antipsychotic and serotonin reuptake inhibitor.
DERWENT CLASS: B02 B05
INVENTOR(S): TOLLEFSON, G D
PATENT ASSIGNEE(S): (ELIL) LILLY & CO ELI; (TOLL-I) TOLLEFSON G D
COUNTRY COUNT: 87
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9962522	A1	19991209	(200012)*	EN	37	A61K031-55<--
RW: EA GH GM KE LS MW OA SD SL SZ UG ZW						

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    W: AE AL AM AU AZ BA BB BG BR BY CA CN CU CZ EE GD GE GH GM HR HU ID
       IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LV MD MG MK MN MW MX NO
       NZ PL RO RU SD SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW
EP 966967        A2 19991229 (200012)  EN       A61K031-55<--
    R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
       RO SE SI
AU 9940088       A  19991220 (200021)           A61K031-55<--
BR 9911068       A  20010206 (200111)           A61K031-55<--
NO 2000005884    A  20010124 (200118)           A61K000-00<--
CN 1302207       A  20010704 (200158)           A61K031-55<--
CZ 2000004280    A3 20010912 (200158)           A61K031-55<--
KR 2001043731    A  20010525 (200168)           A61K031-55<--
MX 2000011354    A1 20010401 (200171)           A61K031-135<--
HU 2001002511    A2 20011128 (200209)           A61K031-55<--
SK 2000001749    A3 20020404 (200232)           A61K031:00
ZA 2000006817    A  20020424 (200237)        43 A61K000-00
JP 2002516864    W  20020611 (200253)        35 A61K045-06
US 2003027817    A1 20030206 (200313)           A61K031-551
AU 756468        B  20030116 (200324)           A61K031-55
NZ 507981        A  20031031 (200380)           A61K031-55
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APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 9962522	A1		WO 1999-US11314	19990521	<--
EP 966967	A2		EP 1999-303968	19990521	<--
AU 9940088	A		AU 1999-40088	19990521	<--
BR 9911068	A		BR 1999-11068	19990521	<--
			WO 1999-US11314	19990521	<--
NO 2000005884	A		WO 1999-US11314	19990521	<--
			NO 2000-5884	20001121	<--
CN 1302207	A		CN 1999-806479	19990521	<--
CZ 2000004280	A3		WO 1999-US11314	19990521	<--
			CZ 2000-4280	19990521	<--
KR 2001043731	A		KR 2000-713060	20001121	<--
MX 2000011354	A1		MX 2000-11354	20001117	<--
HU 2001002511	A2		WO 1999-US11314	19990521	<--
			HU 2001-2511	19990521	<--
SK 2000001749	A3		WO 1999-US11314	19990521	<--
			SK 2000-1749	19990521	<--
ZA 2000006817	A		ZA 2000-6817	20001121	<--
JP 2002516864	W		WO 1999-US11314	19990521	<--
			JP 2000-551778	19990521	<--
US 2003027817	A1	Provisional	US 1998-87126P	19980529	<--
		Cont of	WO 1999-US11314	19990521	<--
		Cont of	US 2000-700446	20001109	<--
			US 2002-165850	20020607	
AU 756468	B		AU 1999-40088	19990521	<--
NZ 507981	A		NZ 1999-507981	19990521	<--
			WO 1999-US11314	19990521	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9940088	A	Based on	WO 9962522
BR 9911068	A	Based on	WO 9962522
CZ 2000004280	A3	Based on	WO 9962522
HU 2001002511	A2	Based on	WO 9962522

SK 2000001749	A3	Based on	WO 9962522
JP 2002516864	W	Based on	WO 9962522
AU 756468	B	Previous Publ.	AU 9940088
		Based on	WO 9962522
NZ 507981	A	Based on	WO 9962522

PRIORITY APPLN. INFO: **US 1998-87126P 19980529; US 2000-700446 20001109;** US 2002-165850 20020607

INT. PATENT CLASSIF.:

MAIN: A61K000-00; A61K031-135; A61K031-55; A61K031-551; A61K031:00; A61K045-06

SECONDARY: A61K031-137; A61K031-15; A61K031-19; A61K031-195; A61K031-34; A61K031-343; A61K031-35; A61K031-38; A61K031-381; A61K031-4425; A61K031-445; A61K031-454; A61K031-495; A61K031-497; A61K031-50; A61K031-505; A61K031-519; A61K031-53; A61K031-5513; A61K031-554; A61K033-00; A61P025-24; A61P025-28

BASIC ABSTRACT:

WO 9962522 A UPAB: 20000308

NOVELTY - An antipsychotic (A) is administered in combination with a second component (B) which is an anticonvulsant, lithium or a serotonin reuptake inhibitor for treating bipolar disorder, bipolar depression or unipolar depression.

ACTIVITY - Antidepressant; antimanic. 28 Patients diagnosed with treatment resistant major depression were randomized to one of three treatments namely, 20-60 mg/day of fluoxetine and placebo, 5-20 mg/day of olanzapine and placebo and a combination of 20-60 mg/day of fluoxetine and 5-20 mg/day of olanzapine. The efficacy of the treatment was monitored using HAMD-21. The antidepressant effect of the combination of olanzapine and fluoxetine was seen within seven days of treatment, compared to monotherapy of olanzapine or fluoxetine.

MECHANISM OF ACTION - Serotonin reuptake inhibitor.

USE - (A) in combination with (B) is used for the manufacture of medicament for treating bipolar depression (I and II), bipolar disorder or unipolar depression (claimed).

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B05-A01B; B06-H; B07-B01; B07-D13; B10-A18; B10-B02E; B10-B02F; B10-B03B; B10-B04B; B10-C04E; B12-M11H; B14-J01A1; B14-J01B3; B14-J04; B14-J07

TECH UPTX: 20000308

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Compounds: (A) is olanzapine (especially form II polymorph with an x-ray diffraction pattern given in the specification), clozapine, risperidone, sertindole, quetiapine or ziprasidone and (B) is fluoxetine, venlafaxine, **citalopram**, fluvoxamine, paroxetine, sertraline, milnacipran, duloxetine, lithium, carbamezepine, valproic acid or lamotrigine, gabapentin or topiramate.

Preferred Composition: The weight ratio of olanzapine to fluoxetine is preferably 1/5, 6/25, 12.5/25, 25/50, 17.5/50 or 25/75.

ABEX UPTX: 20000308

SPECIFIC COMPOUNDS - (A) is olanzapine and (B) is fluoxetine.

ADMINISTRATION - Administration is oral. Dosage of olanzapine is 1-25 (especially 1-20) mg/day (claimed). Dosage of fluoxetine is 1-80 (especially 10-40) mg/day. Administration is also through parenteral routes. Dosage levels are also given for the other specific compounds.

AN 2000-136806 [12] WPIX
DC B02 B05
IC ICM A61K000-00; A61K031-135; A61K031-55; A61K031-551; A61K031:00; A61K045-06
ICS A61K031-137; A61K031-15; A61K031-19; A61K031-195; A61K031-34; A61K031-343; A61K031-35; A61K031-38; A61K031-381; A61K031-4425; A61K031-445; A61K031-454; A61K031-495; A61K031-497; A61K031-50; A61K031-505; A61K031-519; A61K031-53; A61K031-5513; A61K031-554; A61K033-00; A61P025-24; A61P025-28
MC CPI: B05-A01B; B06-H; B07-B01; B07-D13; B10-A18; B10-B02E; B10-B02F; B10-B03B; B10-B04B; B10-C04E; B12-M11H; B14-J01A1; B14-J01B3; B14-J04; B14-J07
DRN 1203-U

L52 ANSWER 28 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2000-023257 [02] WPIX
CROSS REFERENCE: 1999-543575 [46]
DOC. NO. CPI: C2000-005634
TITLE: Composition for the treatment of depression, especially in those at risk from cardiovascular disease caused by elevated cholesterol or triglycerides, or hypertension.
DERWENT CLASS: B05
INVENTOR(S): COPPEN, A J
PATENT ASSIGNEE(S): (SCAR-N) SCARISTA LTD
COUNTRY COUNT: 86
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9955338	A1	19991104	(200002)*	EN	25	A61K031-505<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW						
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZA ZW						
AU 9936203	A	19991116	(200015)			A61K031-505<--
NO 2000005341	A	20001208	(200104)			A61K045-06<--
EP 1071425	A1	20010131	(200108)	EN		A61K031-505<--
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE						
KR 2001072579	A	20010731	(200209)			A61K031-525<--
JP 2002512965	W	20020508	(200234)		25	A61K031-519
AU 765173	B	20030911	(200369)			A61K031-505
KR 398791	B	20030919	(200413)			A61K031-525
RU 2222329	C2	20040127	(200414)			A61K031-519

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 9955338	A1	WO 1999-GB1268	19990423	<--
AU 9936203	A	AU 1999-36203	19990423	<--
NO 2000005341	A	WO 1999-GB1268	19990423	<--
		NO 2000-5341	20001023	<--
EP 1071425	A1	EP 1999-918172	19990423	<--
		WO 1999-GB1268	19990423	<--
KR 2001072579	A	KR 2000-711849	20001024	<--
JP 2002512965	W	WO 1999-GB1268	19990423	<--

		JP 2000-545536	19990423	<--
AU 765173	B	AU 1999-36203	19990423	<--
KR 398791	B	WO 1999-GB1268	19990423	<--
		KR 2000-711849	20001024	<--
RU 2222329	C2	WO 1999-GB1268	19990423	<--
		RU 2000-129499	19990423	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9936203	A	Based on	WO 9955338
EP 1071425	A1	Based on	WO 9955338
JP 2002512965	W	Based on	WO 9955338
AU 765173	B	Previous Publ.	AU 9936203
		Based on	WO 9955338
KR 398791	B	Previous Publ.	KR 2001072579
		Based on	WO 9955338
RU 2222329	C2	Based on	WO 9955338

PRIORITY APPLN. INFO: **GB 1998-15372 19980715; GB 1998-8840 19980424**

INT. PATENT CLASSIF.:

MAIN: A61K031-505; A61K031-519; A61K031-525; A61K045-06

SECONDARY: **A61K009-20**; A61K031-135; A61K031-137; A61K031-343; A61K031-4525; A61K031-495; A61K031-496; A61K031-535; A61K045-00; A61P025-24

INDEX: A61K031:495; A61K031-505, A61K031:135, A61K031:535; A61K031-505, A61K031:135, A61K031:495, A61K031:535

BASIC ABSTRACT:

WO 9955338 A UPAB: 20040226

NOVELTY - The administration of folic acid or a folate precursor with a serotonin reuptake inhibitor or a noradrenaline reuptake inhibitor for the treatment of depression is new.

DETAILED DESCRIPTION - An anti-depressant composition comprises a serotonin reuptake inhibitor (SRI) or a noradrenaline reuptake inhibitor (NRI) with folic acid or other folate precursor so that 1-8 unit doses provide a normally prescribed daily dose of SRI or NRI and 300-5000 mu g of folate.

INDEPENDENT CLAIMS are also included for:

(1) a method of treating depression in humans comprising administering the above composition;

(2) use of folic acid or other folate precursor together with an NRI or SRI in the treatment of depression in patients with cardiovascular disease, or who are at risk of cardiovascular disease, e.g. because of elevated cholesterol or triglyceride levels or raised blood pressure;

(3) use of folic acid or other folic folate precursor together with fluoxetine, fluvoxamine, paroxetine, sertraline, **citalopram**, venlafaxine, nefazodone, trazodone, reboxetine or any other SRI or NRI to reduce adverse side effects in the treatment of depression.

ACTIVITY - Anti-depressant.

127 Depressed patients scoring 20 or more on the Hamilton Depression rating scale were treated with fluoxetine. On a double blind basis, a random sample received 500 mu g/day folic acid or placebo. Hamilton scale ratings were recorded at 0, 2, 4, 6 and 10 weeks of treatment. After 10 weeks, the control group mean scores fell from 26.6 plus or minus 4.7 to 10.7 plus or minus 7.3 and the folic acid group mean scores fell from 26.8 plus or minus 5 to 8.1 plus or minus 5.4 (p less than 0.05).

MECHANISM OF ACTION - Serotonin reuptake inhibitor; noradrenaline

reuptake inhibitor; folate blood level elevator.
USE - Useful for the treatment of depression, especially in those at risk from cardiovascular disease caused by elevated cholesterol or triglycerides, or hypertension.
ADVANTAGE - Increased anti-depressant activity and reduced side-effects are achieved with the new composition.
Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-D09; B14-J01A1
ABEX UPTX: 20000112
SPECIFIC COMPOUNDS - The source of folate is folic acid or methyltetrahydrofolic acid (MTHF). The SRI or NRI is fluoxetine, fluvoxamine, paroxetine, sertraline, **citalopram**, venlafaxine, nefazodone, trazodone or reboxetine.

ADMINISTRATION - Administration is orally. Dosage of folate is 300-5000 (preferably 300-2000) mug/day and dosage of SRI or NRI is the normally prescribed dose.

EXAMPLE - Fluoxetine (20 mg) was formulated with folic acid (300-1000 mug) for incorporation into a 20 mg tablet.
AN 2000-023257 [02] WPIX
DC B05
IC ICM A61K031-505; A61K031-519; A61K031-525; A61K045-06
ICS **A61K009-20**; A61K031-135; A61K031-137; A61K031-343; A61K031-4525; A61K031-495; A61K031-496; A61K031-535; A61K045-00; A61P025-24
ICI A61K031:495; A61K031-505, A61K031:135, A61K031:535; A61K031-505, A61K031:135, A61K031:495, A61K031:535
MC CPI: B06-D09; B14-J01A1
DRN 0183-U

L52 ANSWER 29 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 1998-506459 [43] WPIX
DOC. NO. CPI: C1998-152838
TITLE: Controlled release dosage form - containing separate (+) and (-) enantiomers of a drug in separate portions.
DERWENT CLASS: B07 D22
INVENTOR(S): BARDSLEY, H J; GILBERT, J C; JOHN, A; RICHARDS, A J M
PATENT ASSIGNEE(S): (CHIR-N) CHIROSCIENCE LTD; (DARW-N) DARWIN DISCOVERY LTD
COUNTRY COUNT: 82
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9840053	A1	19980917	(199843)*	EN	23	A61K009-22<--
RW: AT BE CH DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW						
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW						
AU 9865089	A	19980929	(199906)			A61K009-22<--
NO 9904412	A	19991020	(200001)			A61K000-00<--
EP 969818	A1	20000112	(200008)	EN		A61K009-22<--
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE						
US 6056968	A	20000502	(200029)#			A61K009-00<--

BR 9808325	A	20000516	(200035)		A61K009-22<--
CN 1251987	A	20000503	(200036)		A61K009-22<--
HU 2000000759	A2	20001030	(200064)		A61K009-22<--
MX 9908330	A1	19991201	(200110)		A61K009-22<--
US 6221394	B1	20010424	(200125)		A61K009-24<--
KR 2000076107	A	20001226	(200134)		A61K009-22<--
JP 2001514651	W	20010911	(200167)	25	A61K009-22<--
AU 741821	B	20011213	(200210)		A61K009-22<--
AU 2002010142	A	20020307	(200225)#		A61K009-22

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 9840053	A1	WO 1998-GB726	19980311	<--
AU 9865089	A	AU 1998-65089	19980311	<--
NO 9904412	A	WO 1998-GB726	19980311	<--
		NO 1999-4412	19990910	<--
EP 969818	A1	EP 1998-910863	19980311	<--
		WO 1998-GB726	19980311	<--
US 6056968	A	US 1998-38873	19980311	<--
BR 9808325	A	BR 1998-8325	19980311	<--
		WO 1998-GB726	19980311	<--
CN 1251987	A	CN 1998-804125	19980311	<--
HU 2000000759	A2	WO 1998-GB726	19980311	<--
		HU 2000-759	19980311	<--
MX 9908330	A1	MX 1999-8330	19990910	<--
US 6221394	B1 Cont of	US 1998-38873	19980311	<--
		US 2000-478177	20000105	<--
KR 2000076107	A	WO 1998-GB726	19980311	<--
		KR 1999-708195	19990909	<--
JP 2001514651	W	JP 1998-539357	19980311	<--
		WO 1998-GB726	19980311	<--
AU 741821	B	AU 1998-65089	19980311	<--
AU 2002010142	A Div ex	AU 1998-65089	19980311	<--
		AU 2002-10142	20020111	

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9865089	A	Based on	WO 9840053
EP 969818	A1	Based on	WO 9840053
BR 9808325	A	Based on	WO 9840053
HU 2000000759	A2	Based on	WO 9840053
KR 2000076107	A	Based on	WO 9840053
JP 2001514651	W	Based on	WO 9840053
AU 741821	B	Previous Publ.	AU 9865089
		Based on	WO 9840053
AU 2002010142	A	Div ex	AU 741821

PRIORITY APPLN. INFO: **GB 1997-19261**
19970910; **GB 1997-4978**
19970311; **US 1998-38873**
19980311; AU 2002-10142
20020111

INT. PATENT CLASSIF.:
MAIN: A61K000-00; **A61K009-00**; A61K009-22; A61K009-24
SECONDARY: **A61K009-14**; A61K009-28; **A61K009-48**;
A61K009-50; A61K009-70; A61K031-135

BASIC ABSTRACT:

WO 9840053 A UPAB: 19981104

Pharmaceutical dosage form comprises, in one portion, a single (+)enantiomer of a chiral drug other than verapamil, and in another, separate portion, a single (-) enantiomer of the drug, where in use the different enantiomers are released at different rates from the dosage form.

Also claimed is the use of the single enantiomers of a chiral drug in the manufacture of a dosage form as above, for the treatment of a condition fro which the drug is usually administered in racemic form, in a patient who is either disposed to, or who would be put at risk by exposure to, an adverse side effect.

Preferably the chiral drug is any drug whose different enantiomers are absorbed, metabolised, distributed or secreted by the body at different rates, whose enantiomers have different toxicities or selectivities or whose enantiomers have different modes of action or whose different enantiomers have an adverse side effect resting in one of the enantiomers. The drugs are preferably warfarin, tramadol, mianserin, carvedilol, **citalopram**, dobutamine, aminoglutethimide, alfuzosin, celiprolol, cisapride, disopyramide, fenodopam, flecainide, hydroxychloroquine, ifosfamide, labetolol, mexiletine, propafenone, tegafur, terazosoin, thioctic acid, thiopental or zacopride. The release rates of the different enantiomers are selected to give a constant ratio of those enantiomers at a target tissue for at least 8 hours a day and the ratio of enantiomers is preferably 50:50 or a non-racemic ratio.

USE - The dosage forms are useful where both enantiomers have a valid pharmacological input and where a clinical benefit may be realised by controlling the release rate of these enantiomers.

Dwg.0/4

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-A01; B10-B03B; B11-C03; D08-B
AN 1998-506459 [43] WPIX
DC B07 D22
IC ICM A61K000-00; **A61K009-00**; A61K009-22; A61K009-24
ICS **A61K009-14**; A61K009-28; **A61K009-48**; A61K009-50; A61K009-70; A61K031-135
MC CPI: B06-A01; B10-B03B; B11-C03; D08-B
DRN 0487-U

L52 ANSWER 30 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 1997-156536 [15] WPIX
DOC. NO. CPI: C1997-050201
TITLE: Potentiating the action of serotonin re-uptake inhibitor - by also administering serotonin 1A antagonist and L-tryptophan or 5-hydroxy-L-tryptophan.
DERWENT CLASS: B05
INVENTOR(S): WONG, D T
PATENT ASSIGNEE(S): (ELIL) LILLY & CO ELI
COUNTRY COUNT: 71
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
EP 759299	A1	19970226	(199715)*	EN	29	A61K031-505<--
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE						
WO 9706792	A1	19970227	(199715)	EN	48	A61K031-15<--

RW: EA KE LS MW OA SD SZ UG
W: AL AM AU AZ BB BG BR BY CA CN CZ EE GE HU IL IS JP KE KG KP KR KZ
LK LR LS LT LV MD MG MK MN MW MX NO NZ PL RO RU SD SG SI SK TJ TM
TR TT UA UG US UZ VN

AU	9667761	A	19970312	(199727)		A61K031-15<--
US	5958429	A	19990928	(199947)#		A61K009-20<--
EP	759299	B1	20000426	(200025)	EN	A61K031-505<--
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE						
DE	69607904	E	20000531	(200033)		A61K031-505<--
ES	2145977	T3	20000716	(200039)		A61K031-505<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 759299	A1	EP 1996-305999	19960816	<--
WO 9706792	A1	WO 1996-US13274	19960816	<--
AU 9667761	A	AU 1996-67761	19960816	<--
US 5958429	A	WO 1996-US13274	19960816	<--
		US 1998-11937	19980728	<--
EP 759299	B1	EP 1996-305999	19960816	<--
DE 69607904	E	DE 1996-607904	19960816	<--
		EP 1996-305999	19960816	<--
ES 2145977	T3	EP 1996-305999	19960816	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9667761	A	Based on	WO 9706792
US 5958429	A	Based on	WO 9706792
DE 69607904	E	Based on	EP 759299
ES 2145977	T3	Based on	EP 759299

PRIORITY APPLN. INFO: **US 1995-2440P 19950816; US 1998-11937 19980728**

REFERENCE PATENTS: 6.Jnl.Ref; EP 687472; EP 714663; US 3912743; US 4007196; US 4085225; US 4136193; US 4314081; US 4536518

INT. PATENT CLASSIF.:

MAIN: **A61K009-20**; A61K031-15; A61K031-505

SECONDARY: **A61K009-00**; A61K031-135; A61K031-165; A61K031-275; A61K031-34; A61K031-38; A61K031-40; A61K031-445; A61K031-495; A61K045-06

INDEX: A61K031-505, A61K031:135; A61K031-505, A61K031:145; A61K031-505, A61K031:34; A61K031-505, A61K031:38; A61K031-505, A61K031:40; A61K031-505, A61K031:445

BASIC ABSTRACT:

EP 759299 A UPAB: 19991122

Potentiating the action of a first component which is a serotonin re-uptake inhibitor in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprises administering the first component in combination with a second component which is a serotonin 1A receptor antagonist and with a third component which is L-tryptophan or 5-hydroxy-L-tryptophan or a salt of one of the cpds.

Also claimed is a pharmaceutical compsn. comprising the above three components.

USE - Used to treat depression, obsessive-compulsive disease, obesity and urinary incontinence and also a member of other diseases and condition. A more rapid onset of action is provided then is usually

provided by treatment with serotonin-affecting drugs. The preferred route of admin. is oral.
Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-H; B14-E12; B14-J01A1; B14-J01B3; B14-J03; B14-N07D

AN 1997-156536 [15] WPIX
DC B05
IC ICM **A61K009-20**; A61K031-15; A61K031-505
ICS **A61K009-00**; A61K031-135; A61K031-165; A61K031-275; A61K031-34; A61K031-38; A61K031-40; A61K031-445; A61K031-495; A61K045-06
ICI A61K031-505, A61K031:135; A61K031-505, A61K031:145; A61K031-505, A61K031:34; A61K031-505, A61K031:38; A61K031-505, A61K031:40; A61K031-505, A61K031:445
MC CPI: B06-H; B14-E12; B14-J01A1; B14-J01B3; B14-J03; B14-N07D
DRN 1324-U; 1971-U

L52 ANSWER 31 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 1996-424618 [42] WPIX
CROSS REFERENCE: 1998-347244 [30]; 1998-446013 [38]
DOC. NO. NON-CPI: N1996-357567
DOC. NO. CPI: C1996-133747
TITLE: Treatment of canine affective aggression behaviour - by admin. of a selective serotonin reuptake inhibitor cpd..
DERWENT CLASS: B04 B05 C03 C07 P32
INVENTOR(S): DODMAN, N H
PATENT ASSIGNEE(S): (TUFT) TUFTS COLLEGE
COUNTRY COUNT: 20
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 5554383	A	19960910	(199642)*		15	A61F002-02<--
WO 9631172	A1	19961010	(199646)	EN	34	A61F002-02<--

RW: AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE
W: CA JP

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
US 5554383	A	US 1995-417747	19950406	<--
WO 9631172	A1	WO 1996-US4475	19960401	<--

PRIORITY APPLN. INFO: **US 1995-417747 19950406**
INT. PATENT CLASSIF.:
MAIN: A61F002-02
SECONDARY: A61F006-06; A61F013-02; A61K009-127; **A61K009-20** ; **A61K009-48**; A61K031-44; A61L015-16
BASIC ABSTRACT:
US 5554383 A UPAB: 19980923
Clinically modifying the behaviour of a household dog exhibiting a recognised type of canine affective aggression behaviour (CAAB), comprises: (a) clinically determining that the dog exhibits a recognised

type of CAAB; (b) administering at least one selective serotonin reuptake inhibitor cpd. sufficient to cause a clinical modification of the CAAB in the dog; and (c) allowing sufficient time for the cpd. to modify clinically the CAAB of the dog.

USE - The process may be used for modification of recognised types of CAAB such as an interspecies interaction behaviour between a dog and humans, dominance-released aggression behaviour, territorial aggression behaviour, fear-based aggression behaviour or aggressive behaviour directed towards children.

ADVANTAGE - The process can be used as an adjunct to currently used conditioning approaches and will avoid the need for euthanasia in extreme behavioural circumstances.

Dwg.0/6

FILE SEGMENT: CPI GMPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-A02; B06-D01; B06-D08; B07-D04C; B07-D05; B10-A18; B10-B03B; B10-B04B; B14-J01A1; B14-S12; B06-A02; C06-A02; B06-D01; C06-D01; B06-D08; C06-D08; B07-D04C; C07-D04C; B07-D05; C07-D05; B10-A18; C10-A18; B10-B03B; C10-B03B; B10-B04B; C10-B04B; B14-J01A1; C14-J01A1; B14-S12; C14-S12; C06-A02; C06-D01; C06-D08; C07-D04C; C07-D05; C10-A18; C10-B03B; C10-B04B; C14-J01A1; C14-S12

AN 1996-424618 [42] WPIX
DC B04 B05 C03 C07 P32
IC ICM A61F002-02
ICS A61F006-06; A61F013-02; A61K009-127; **A61K009-20**; **A61K009-48**; A61K031-44; A61L015-16
MC CPI: B06-A02; B06-D01; B06-D08; B07-D04C; B07-D05; B10-A18; B10-B03B; B10-B04B; B14-J01A1; B14-S12; B06-A02; C06-A02; B06-D01; C06-D01; B06-D08; C06-D08; B07-D04C; C07-D04C; B07-D05; C07-D05; B10-A18; C10-A18; B10-B03B; C10-B03B; B10-B04B; C10-B04B; B14-J01A1; C14-J01A1; B14-S12; C14-S12; C06-A02; C06-D01; C06-D08; C07-D04C; C07-D05; C10-A18; C10-B03B; C10-B04B; C14-J01A1; C14-S12

L52 ANSWER 32 OF 32 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 1992-082090 [11] WPIX
DOC. NO. CPI: C1992-037910
TITLE: 1-(3-Di methylamino-propyl)-1-phenyl phthalane(s) - as serotonin- and platelet aggregation-inhibitors for treating cerebrovascular disorders amnesia, dementia, alzheimer's disease etc..
DERWENT CLASS: B02
INVENTOR(S): IKEDA, Y; KOBAYASHI, N; KURIMOTO, T; TANAKA, Y
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H; (LUND) LUNDBECK H A/S; (ZERI) ZERIA SHINYAKU KOGYO KK
COUNTRY COUNT: 21
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 474580	A	19920311	(199211)*		12		<--
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AU 9182594	A	19920312	(199220)			A61K031-34	<--
ZA 9106187	A	19920429	(199223)		22	A61K	<--
CA 2049368	A	19920307	(199224)			A61K031-34	<--
JP 04244024	A	19920901	(199242)		9	A61K031-34	<--
EP 474580	A3	19920603	(199332)				<--

AU 644204	B	19931202	(199404)			A61K031-34<--
US 5296507	A	19940322	(199411)		6	A61K031-36<--
EP 474580	B1	19940928	(199437)	EN	12	A61K031-34<--
R: AT BE CH DE DK FR GB IT LI LU NL SE						
DE 69104314	E	19941103	(199443)			A61K031-34<--
JP 08005787	B2	19960124	(199608)		9	A61K031-34<--
IL 98968	A	19960618	(199631)			A61K031-34<--
NZ 239437	A	19970224	(199715)			A61K031-34<--
IE 72160	B	19970326	(199728)			A61K031-34<--
KR 9702246	B1	19970226	(199934)			A61K031-34<--
CA 2049368	C	20011023	(200170)	EN		A61K031-34<--

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
EP 474580	A		EP 1991-610063	19910816	<--
AU 9182594	A		AU 1991-82594	19910820	<--
ZA 9106187	A		ZA 1991-6187	19910806	<--
CA 2049368	A		CA 1991-2049368	19910816	<--
JP 04244024	A		JP 1991-224192	19910904	<--
EP 474580	A3		EP 1991-610063	19910816	<--
AU 644204	B		AU 1991-82594	19910820	<--
US 5296507	A	Cont of	US 1991-742907	19910809	<--
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EP 474580	B1		EP 1991-610063	19910816	<--
DE 69104314	E		DE 1991-604314	19910816	<--
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JP 08005787	B2		JP 1991-224192	19910904	<--
IL 98968	A		IL 1991-98968	19910725	<--
NZ 239437	A		NZ 1991-239437	19910816	<--
IE 72160	B		IE 1991-2682	19910730	<--
KR 9702246	B1		KR 1991-14255	19910819	<--
CA 2049368	C		CA 1991-2049368	19910816	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 644204	B	Previous Publ.	AU 9182594
DE 69104314	E	Based on	EP 474580
JP 08005787	B2	Based on	JP 04244024

PRIORITY APPLN. INFO: **DK 1990-2132**
19900906
REFERENCE PATENTS: No-SR.Pub; 7.Jnl.Ref; 02Jnl.Ref
INT. PATENT CLASSIF.:
MAIN: **A61K009-48**; A61K031-34; A61K031-36
SECONDARY: A61K031-343; A61P007-02; A61P009-10; A61P025-28
ADDITIONAL: C07D307-87
BASIC ABSTRACT:
EP 474580 A UPAB: 19931118
1-(3-Dimethylamino)propyl) -1-phenylphthalane of formula (I) where R1 and R2 each = H, CF3, CN or R-CO-; and R = 1-4C alkyl; is used in the treatment of dementia and cerebrovascular disorders, and for inhibiting platelet aggregation.
USE - Used to treat senile dementia of any genesis e.g. neurodegenerative, traumatic, cerebrovascular and anoxic, i.e. dementia of Alzheimer's, multi-infarct or vascular dementia, also cerebral vascular disorders e.g. brain damage due to cerebral infarction, cerebral

haemorrhage, cerebral arteriosclerosis, subarachnoid haemorrhage, cerebral thrombosis, cerebral embolism, etc., especially ischaemia, and the psychological and neurological sequelae of damage. Due to the inhibition of platelet aggregation, (I) are also useful in the treatment and/or prevention of microcirculation disturbances obtd. from the above cerebral conditions or from venous or arterial thrombosis. The oral dosage is 1-100 mg/day.
0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-A01; B12-C10; B12-F01B; B12-F07; B12-G04A; B12-H02

ABEQ US 5296507 A UPAB: 19940428
A pharmaceutically active cpd. is a 1-[3-(Me2N)propyl]-1-Ph-phthalane (1), pref. **citalopram**, where each R is independently halogen, CF3, CN or R'-CO-; R' is 1-4 C alkyl. The pharmaceutically acceptable acid addition salts of (1) are included.
USE/ADVANTAGE - For the treatment of dementia cognitive disorders or amnesia associated with cerebrovascular disorders, esp ischemia, vascular or multiinfarct dementia, Alzheimer's disease. The cpd has a very good safety profile.
Dwg.0/0

ABEQ EP 474580 B UPAB: 19941109
Use of a 1-(3-(dimethylamino) propyl)-1-phenylphthalane of the general formula (I), wherein R1 and R2 each are selected from halogen, trifluoromethyl, cyano and R-CO-, wherein R is an alkyl radical with from 1 to 4 C-atoms inclusive, or a pharmaceutically acceptable acid addition salt thereof, for the manufacture of a medicament for the treatment of cognitive disorders or amnesia associated with dementia and of cerebrovascular disorders.
Dwg.0/0

AN 1992-082090 [11] WPIX
DC B02
IC ICM **A61K009-48**; A61K031-34; A61K031-36
ICS A61K031-343; A61P007-02; A61P009-10; A61P025-28
ICA C07D307-87
MC CPI: B06-A01; B12-C10; B12-F01B; B12-F07; B12-G04A; B12-H02

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L84 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:430288 HCAPLUS
DOCUMENT NUMBER: 140:429017
TITLE: Drug condensation aerosols and kits
INVENTOR(S): Hale, Ron L.; Hodges, Craig C.; Lloyd, Peter M.; Lu, Amy T.; Myers, Daniel J.; Rabinowitz, Joshua D.; Wensley, Martin J.
PATENT ASSIGNEE(S): Alexza Molecular Delivery Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 84 pp., Cont.-in-part of U.S. Ser. No. 633,877.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 31
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004099269	A1	20040527	US 2003-718982	20031120 <--
US 2003051728	A1	20030320	US 2001-57198	20011026 <--
US 2003015197	A1	20030123	US 2002-146088	20020513 <--
US 2003017115	A1	20030123	US 2002-146516	20020513 <--
US 6737042	B2	20040518		
US 2003035776	A1	20030220	US 2002-146515	20020513 <--
US 6682716	B2	20040127		
US 2003209240	A1	20031113	US 2002-146086	20020513
US 2003007933	A1	20030109	US 2002-150267	20020515 <--
US 2003007934	A1	20030109	US 2002-150268	20020515 <--
US 2003017117	A1	20030123	US 2002-151596	20020516 <--
US 2003206869	A1	20031106	US 2002-151626	20020516 <--
US 2003017116	A1	20030123	US 2002-150857	20020517 <--
US 6716415	B2	20040406		
US 2003021753	A1	20030130	US 2002-150591	20020517 <--
US 2003005924	A1	20030109	US 2002-152652	20020520 <--
US 6740307	B2	20040525		
US 2003012740	A1	20030116	US 2002-153139	20020520 <--
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US 6716416	B2	20040406		
US 2003021754	A1	20030130	US 2002-152640	20020520 <--
US 6743415	B2	20040601		
US 2003012737	A1	20030116	US 2002-153311	20020521 <--
US 2003015189	A1	20030123	US 2002-153831	20020521 <--
US 6740308	B2	20040525		
US 2003017119	A1	20030123	US 2002-153839	20020521 <--
US 2003032638	A1	20030213	US 2002-153313	20020521 <--
US 2003005925	A1	20030109	US 2002-155621	20020522 <--
US 6759029	B2	20040706		
US 2003012738	A1	20030116	US 2002-155373	20020522 <--
US 6737043	B2	20040518		
US 2003017120	A1	20030123	US 2002-155703	20020522 <--
US 2003021755	A1	20030130	US 2002-155705	20020522 <--
US 2003000518	A1	20030102	US 2002-155097	20020523 <--
US 6716417	B2	20040406		
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US 6740309	B2	20040525		
US 2003017114	A1	20030123	US 2002-154765	20020523 <--
US 2003118512	A1	20030626	US 2002-280315	20021025 <--
US 2003138382	A1	20030724	US 2002-302010	20021121 <--
US 2003138508	A1	20030724	US 2002-322227	20021217 <--
US 2004126326	A1	20040701	US 2003-734902	20031212 <--
US 2004127481	A1	20040701	US 2003-735198	20031212 <--
US 2004126327	A1	20040701	US 2003-735199	20031212 <--
US 2004127490	A1	20040701	US 2003-735495	20031212 <--
US 2004126328	A1	20040701	US 2003-735496	20031212 <--
US 2004126329	A1	20040701	US 2003-735497	20031212 <--

PRIORITY APPLN. INFO.:

US 2001-57197	A2	20011026 <--
US 2001-57198	A2	20011026 <--
US 2001-332279P	P	20011121 <--
US 2001-332280P	P	20011121 <--
US 2001-342066P	P	20011218 <--
US 2002-50056	B2	20020114
US 2002-57098	A2	20020123
US 2002-371457P	P	20020409

US 2002-146080	A2	20020513
US 2002-146086	A2	20020513
US 2002-146088	A2	20020513
US 2002-146515	A2	20020513
US 2002-146516	A2	20020513
US 2002-150267	A2	20020515
US 2002-150268	A2	20020515
US 2002-151596	A2	20020516
US 2002-151626	A2	20020516
US 2002-150591	A2	20020517
US 2002-150857	A2	20020517
US 2002-152639	A2	20020520
US 2002-152640	A2	20020520
US 2002-152652	A2	20020520
US 2002-153139	A2	20020520
US 2002-153311	A2	20020521
US 2002-153313	B2	20020521
US 2002-153831	A2	20020521
US 2002-153839	A2	20020521
US 2002-155373	A2	20020522
US 2002-155621	A2	20020522
US 2002-155703	A2	20020522
US 2002-155705	A2	20020522
US 2002-154594	A2	20020523
US 2002-154765	A2	20020523
US 2002-155097	A2	20020523
US 2002-412068P	P	20020918
US 2002-280315	A2	20021025
US 2002-302010	A2	20021121
US 2002-302614	A2	20021121
US 2002-322227	A2	20021217
US 2003-633876	A2	20030804
US 2003-633877	A2	20030804
US 2001-294203P	P	20010524 <--
US 2001-296225P	P	20010605 <--
US 2001-317479P	P	20010905 <--
US 2001-335049P	P	20011030 <--
US 2001-336218P	P	20011030 <--
US 2001-345145P	P	20011109 <--
US 2001-345876P	P	20011109 <--

IT **59729-33-8**, Citalopram
RL: **PEP (Physical, engineering or chemical process)**; **PYP (Physical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(drug condensation aerosols and kits for inhalation therapy)

RN 59729-33-8 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

AB The present invention provides novel condensation aerosols for the treatment of disease and/or intermittent or acute conditions. These condensation aerosols have little or no pyrolysis degradation products and are

characterized by having an MMAD of between 1-3 μ. The aerosols are made by rapidly heating a substrate coated with a thin film of drug having a thickness of between 0.05 and 20 μm, while passing a gas over the film, to form particles of a desirable particle size for inhalation. Kits comprising a drug and a device for producing a condensation aerosol are also provided. The device contained in the kit typically, has an element for heating the drug which is coated as a film on the substrate and contains a therapeutically ED of a drug when the drug is administered in aerosol form, and an element allowing the vapor to cool to form an aerosol. Also disclosed, are methods for using these aerosols and kits. For example, acebutolol (MW 336, m.p. 123°, oral dose 400 mg), a β-adrenergic blocker (cardiovascular agent), was coated on a stainless steel cylinder (8 cm). The drug (0.89 mg) was applied to the substrate, for a calculated drug film thickness of 1.1 μm. The substrate was heated at 20.5 V and purity of the drug aerosol particles was determined to be 98.9%; 0.53 mg was recovered from the filter after vaporization, for a percent yield of 59.6%. A total mass of 0.81 mg was recovered from the test apparatus and substrate, for a total recovery of 91%. High speed photographs were taken as the drug-coated substrate was heated to monitor visually formation of a thermal vapor. The photographs showed that a thermal vapor was initially visible 30 ms after heating was initiated, with the majority of the thermal vapor formed by 130 ms. Generation of the thermal vapor was complete by 500 ms.

IC A61M016-10; A61M015-00
NCL 128203160
CC 63-6 (Pharmaceuticals)
ST drug vaporization condensation **particle** aerosol inhalant kit
IT **Particle size**
Sublimation
(drug condensation aerosols and kits for inhalation therapy)
IT 50-02-2, Dexamethasone 50-28-2, Estradiol, biological studies 50-34-0, Propantheline bromide 50-35-1, Thalidomide 50-47-5, Desipramine 50-48-6, Amitriptyline 50-49-7, Imipramine 50-53-3, Chlorpromazine, biological studies 50-78-2, Aspirin 51-06-9, Procainamide 51-34-3, Scopolamine 51-55-8, Atropine, biological studies 51-71-8, Phenelzine 52-01-7, Spironolactone 52-53-9, Verapamil 52-86-8, Haloperidol 53-06-5, Cortisone 53-86-1, Indomethacin 54-31-9, Furosemide 56-54-2, Quinidine 57-27-2, Morphine, biological studies 57-41-0, Phenytoin 57-42-1, Meperidine 58-08-2, Caffeine, biological studies 58-22-0, Testosterone 58-25-3, Chlorodiazepoxide 58-32-2, Dipyridamole 58-38-8, Prochlorperazine 58-39-9, Perphenazine 58-40-2, Promazine 58-54-8, Ethacrynic acid 58-55-9, Theophylline, biological studies 58-61-7, Adenosine, biological studies 58-73-1, Diphenhydramine 59-05-2, Methotrexate 59-33-6, Pyrilamine maleate 59-63-2, Isocarboxazid 59-92-7, Levodopa, biological studies 60-87-7, Promethazine 64-86-8, Colchicine 68-88-2, Hydroxyzine 69-23-8, Fluphenazine 72-69-5, Nortriptyline 73-31-4, Melatonin 74-55-5, Ethambutol 76-25-5, Triamcinolone acetonide 76-42-6, Oxycodone 76-57-3, Codeine 76-99-3, Methadone 77-26-9, Butalbital 80-08-0, Dapsone 83-98-7, Orphenadrine 86-22-6, Brompheniramine 95-25-0, Chlorzoxazone 97-77-8, Disulfiram 99-66-1, Valproic acid 101-31-5, Hyoscyamine 103-90-2, Acetaminophen 113-92-8 116-38-1, Edrophonium chloride 117-89-5, Trifluoperazine 118-42-3, Hydroxychloroquine 122-09-8, Phentermine 128-21-2 129-03-3, Cyproheptadine 130-95-0, Quinine 132-17-2, Benztropine methanesulfonate 137-58-6, Lidocaine 144-11-6 146-56-5, Fluphenazine dihydrochloride 147-24-0, Diphenhydramine hydrochloride 155-09-9, Tranylcypromine 298-46-4, Carbamazepine 298-57-7, Cinnarizine 298-81-7, Methoxsalen 299-42-3, Ephedrine 303-49-1, Clomipramine 303-53-7, Cyclobenzaprine 314-19-2, Apomorphine hydrochloride 321-64-2, Tacrine 357-70-0, Galanthamine

361-37-5 364-62-5, Metoclopramide 396-01-0, Triamterene 437-38-7, Fentanyl 438-60-8, Protriptyline 439-14-5, Diazepam 440-17-5, Trifluoperazine dihydrochloride 458-24-2, Fenfluramine 465-65-6, Naloxone 466-99-9, Hydromorphone 484-20-8, Bergapten 486-16-8, Carbinoxamine 511-12-6, Dihydroergotamine 521-78-8, Trimipramine maleate 525-66-6, Propranolol 529-44-2, Myricetin 532-03-6, Methocarbamol 548-73-2, Droperidol 562-10-7 569-65-3, Meclizine 586-06-1, Metaproterenol 604-75-1, Oxazepam 739-71-9, Trimipramine 768-94-5, Amantadine 846-49-1, Lorazepam 846-50-4, Temazepam 969-33-5, Cyproheptadine hydrochloride 980-71-2, Brompheniramine maleate 1104-22-9, Meclizine dihydrochloride 1225-55-4, Protriptyline hydrochloride 1406-18-4, Vitamin E 1601-18-9, Indomethacin methyl ester 1622-61-3, Clonazepam 1622-62-4, Flunitrazepam 1668-19-5, Doxepin 1743-60-8, Estradiol-17-acetate 1812-30-2, Bromazepam 1951-25-3, Amiodarone 1977-10-2, Loxapine 2030-63-9, Clofazimine 2062-78-4, Pimozide 2192-20-3, Hydroxyzine dihydrochloride 2438-72-4, Bufexamac 2609-46-3, Amiloride 3313-26-6, Thiothixene 3385-03-3, Flunisolide 3434-88-6, Estradiol-3,17-diacetate 3505-38-2, Carbinoxamine maleate 3605-01-4, Piribedil 3737-09-5, Disopyramide 3930-20-9, Sotalol 3964-81-6, Azatadine 4205-90-7, Clonidine 4419-39-0, Beclomethasone 4548-34-9, Tranylcypromine hydrochloride 4759-48-2, Isotretinoin 4956-37-0, Estradiol 17-heptanoate 5104-49-4, Flurbiprofen 5370-01-4, Mexiletine hydrochloride 5374-32-3, Prochlorperazine dihydrochloride 5633-20-5, Oxybutynin 5638-76-6, Betahistine 5786-21-0, Clozapine 6191-56-6, Apomorphine diacetate 6740-88-1, Ketamine 9005-49-6, Heparin, biological studies 10262-69-8, Maprotiline 10540-29-1, Tamoxifen 13523-86-9, Pindolol 13710-19-5, Tolfenamic acid 14028-44-5, Amoxapine 14611-51-9, Selegiline 14976-57-9, Clemastine fumarate 15307-77-4 15307-86-5, Diclofenac 15686-51-8, Clemastine 15687-27-1, Ibuprofen 16110-51-3, Cromolyn 16401-99-3, Indomethacin ethyl ester 16590-41-3, Naltrexone 17560-51-9, Metolazone 17617-23-1, Flurazepam 18016-80-3, Lisuride 18559-94-9, Albuterol 19794-93-5, Trazodone 19982-08-2, Memantine 20594-83-6, Nalbuphine 22071-15-4, Ketoprofen 22204-53-1, Naproxen 22254-24-6, Ipratropium bromide 22494-42-4, Diflunisal 23031-25-6, Terbutaline 25614-03-3, Bromocriptine 26171-23-3, Tolmetin 26615-21-4, Zotepine 26787-78-0, Amoxicillin 27203-92-5, Tramadol 28395-03-1, Bumetanide 28911-01-5, Triazolam 28981-97-7, Alprazolam 29122-68-7, Atenolol 29679-58-1, Fenoprofen 29975-16-4, Estazolam 31677-93-7, Bupropion hydrochloride 33386-08-2, Buspirone hydrochloride 34580-13-7, Ketotifen 36282-47-0, Tramadol hydrochloride 36322-90-4, Piroxicam 36505-84-7, Buspirone 36894-69-6, Labetalol 37517-30-9, Acebutolol 38194-50-2, Sulindac 41708-72-9, Tocainide 42200-33-9, Nadolol 42399-41-7, Diltiazem 42408-82-2, Butorphanol 42924-53-8, Nabumetone 43200-80-2, Zopiclone 47087-07-0, Ketoprofen methyl ester 50679-08-8, Terfenadine 51333-22-3, Budesonide 51384-51-1, Metoprolol 52485-79-7, Buprenorphine 53152-21-9, Buprenorphine hydrochloride 53179-11-6, Loperamide 54063-53-5, Propafenone 54143-55-4, Flecainide 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 55096-26-9, Nalmefene 56211-40-6, Torsemide 59467-70-8, Midazolam **59729-33-8**, Citalopram 59865-13-3, Cyclosporin A 60658-04-0, Ketoprofen ethyl ester 61869-08-7, Paroxetine 62571-86-2, Captopril 66104-22-1, Pergolide 68291-97-4, Zonisamide 68693-11-8, Modafinil 68844-77-9, Astemizole 73573-87-2, Formoterol 73590-58-6, Omeprazole 74103-06-3, Ketorolac 75330-75-5, Lovastatin 76095-16-4, Enalapril maleate 76812-37-8 76824-35-6, Famotidine 79617-96-2, Sertraline 79794-75-5, Loratadine 80474-14-2, Fluticasone propionate 80965-09-9 81147-92-4, Esmolol 82586-55-8, Quinapril hydrochloride
RL: **PEP (Physical, engineering or chemical process)**; **PYP (Physical process)**; THU (Therapeutic use); BIOL (Biological study);

PROC (Process); USES (Uses)
(drug condensation aerosols and kits for inhalation therapy)

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

YOU HAVE REQUESTED DATA FROM 21 ANSWERS - CONTINUE? Y/(N):y

L84 ANSWER 2 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:991182 HCAPLUS
DOCUMENT NUMBER: 140:31501
TITLE: **Crystals** of pharmaceutically acceptable salts of citalopram, methods of **crystallization**, and pharmaceutical **compositions** comprising them
INVENTOR(S): Liljegren, Ken; Holm, Per; Nielsen, Ole; Wagner, Sven
PATENT ASSIGNEE(S): H. Lundbeck A/s, Den.
SOURCE: 7 pp., Cont.-in-part of U.S.

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT
PATENT INFORMATION:

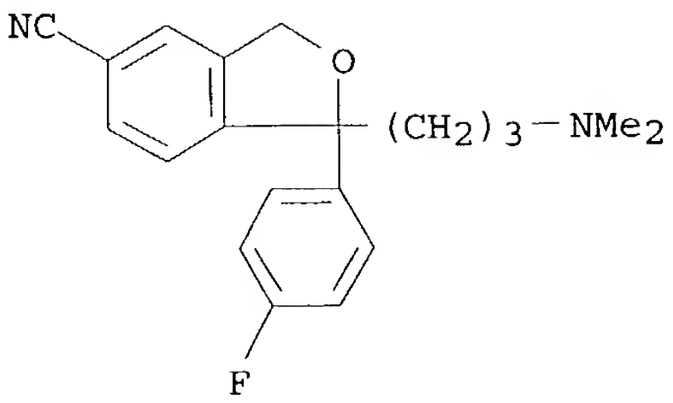
Applicants.

PATENT NO.			APPLICATION NO.	DATE
US 2003232881	A1	20031218	US 2002-310621	20021205 <--
US 2003109577	A1	20030612	US 2000-730380	20001205 <--
GB 2376233	A1	20021211	GB 2002-19820	20010731 <--
GB 2376233	B2	20030910		

PRIORITY APPLN. INFO.:

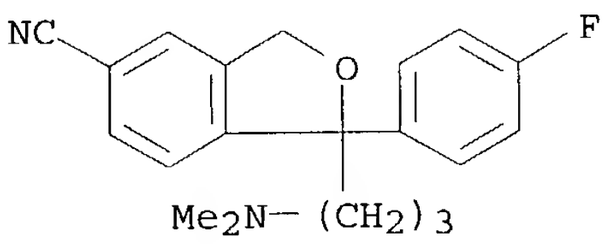
DK 2000-1614	A	20001027 <--
US 2000-730380	A2	20001205 <--
DK 2000-1202	A	20000810 <--
GB 2001-18579	A3	20010731 <--

IT **59729-32-7P**, Citalopram hydrobromide **59729-33-8P**, Citalopram **85118-27-0P**, Citalopram hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(**crystallization** process for the preparation of larger **crystals** of)
RN 59729-32-7 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)

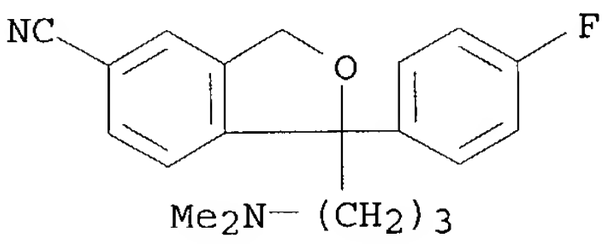


● HBr

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 85118-27-0 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

AB A method of crystallizing larger particles of citalopram or its hydrochloride or hydrobromide, in a size comparable to the size of the filler which are useful for the manufacture of directly compressed tablets is presented.

IC ICM C07D307-87
ICS A61K031-343
NCL 514469000; 549467000
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 27, 75
ST citalopram **crystn** process larger **crystals** prepn directly compressed tablet

IT **Crystals**
(**crystallization** process for the preparation of larger **crystals** of citalopram and its pharmaceutically acceptable salts)

IT **Crystallization**

(for preparation of of pharmaceutically acceptable salts of citalopram)

IT Cooling
Heating
(in a the **crystallization** of citalopram)

IT **Drug delivery systems**
(**tablets**, directly compressed; of of pharmaceutically acceptable salts of citalopram)

IT **59729-32-7P**, Citalopram hydrobromide **59729-33-8P**, Citalopram **85118-27-0P**, Citalopram hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(**crystallization** process for the preparation of larger **crystals** of)

L84 ANSWER 3 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:376613 HCAPLUS
DOCUMENT NUMBER: 138:390916
TITLE: Oral **controlled release forms** useful for reducing or preventing nicotine cravings
INVENTOR(S): Adusumilli, Prasad S.; An, Cuong Quoc; Chan, Shing Yue; Liu, John Jiangnan
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039518	A1	20030515	WO 2002-US34576	20021028 <--

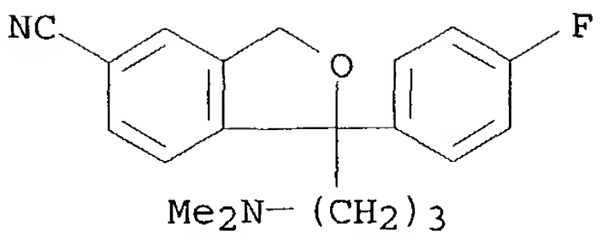
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004037879	A1	20040226	US 2002-278213	20021021 <--
PRIORITY APPLN. INFO.:			US 2001-336353P P	20011102 <--

IT **59729-33-8**, Citalopram
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral **controlled release forms** useful for reducing or preventing nicotine cravings)

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB The present invention provides new oral dosage formulations comprising a nicotine active, optionally combined with an antidepressant, which through the controlled release of the active ingredient(s) alleviate some of the nicotine withdrawal symptoms a person may experience during attempts to quit smoking. Controlled release tablets comprising multiple layers were prepared containing nicotine bitartrate.

IC ICM A61K009-20
ICS A61K009-22; A61K009-28

CC 63-6 (Pharmaceuticals)

ST nicotine oral **controlled release**

IT Drug delivery systems
(**capsules, controlled-release**; oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT Drug delivery systems
(**controlled-release**; oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT Antidepressants
Anxiolytics
Buffers
Dissolution
Ion exchangers
Plasticizers
(oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT Castor oil
Glycerides, biological studies
Paraffin oils
Polyoxyalkylenes, biological studies
Polysaccharides, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT Behavior
(smoking; oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT **Drug delivery systems**
(**tablets, controlled-release**; oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT Fats and Glyceridic oils, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable; oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT 9003-01-4, Polyacrylic acid
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crosslinked; oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT 54-11-5, Nicotine
RL: ADV (Adverse effect, including toxicity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT 57-55-6, Propylene glycol, biological studies 77-93-0, Triethyl citrate 77-94-1, Tributyl citrate 84-66-2, Diethyl phthalate 102-76-1, Triacetin 109-43-3, Dibutyl sebacate 144-55-8, Sodium bicarbonate, biological studies 471-34-1, Calcium carbonate, biological studies 497-19-8, Sodium carbonate, biological studies 546-93-0, Magnesium carbonate 1309-42-8, Magnesium hydroxide 1344-95-2, Calcium silicate 7632-05-5, Sodium phosphate 9000-69-5, Pectin 9004-32-4, Sodium cm cellulose 9004-57-3, Ethyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hpmc 9005-25-8, Starch, biological studies 21645-51-2, Aluminum hydroxide, biological studies 25322-68-3, Peg 34911-55-2, Bupropion 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine **59729-33-8**, Citalopram 61869-08-7, Paroxetine 79617-96-2, Sertraline
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT 65-31-6, Nicotine bitartrate
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT 2820-51-1, Nicotine hydrochloride 6019-02-9, Nicotine dihydrochloride 6169-10-4 6505-86-8, Nicotine sulfate 6550-19-2, Pyridine, 3-[(2S)-1-methyl-2-pyrrolidinyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) 29790-52-1, Nicotine salicylate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral **controlled release forms** useful for reducing or preventing nicotine cravings)

IT 50-67-9, Serotonin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(reuptake inhibitors; oral **controlled release forms** useful for reducing or preventing nicotine cravings)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L84 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:334829 HCAPLUS
DOCUMENT NUMBER: 138:343889
TITLE: Novel pharmaceutical compounds containing drugs bound to polypeptides
INVENTOR(S): Picariello, Thomas
PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 4662 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003034980	A2	20030501	WO 2001-US43089	20011114 <--
WO 2003034980	C1	20031120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

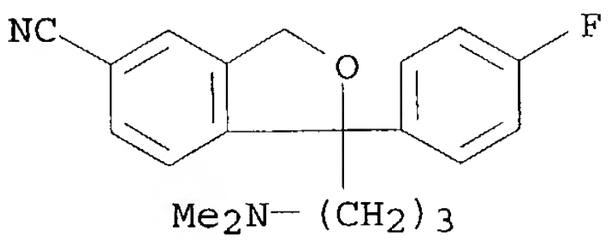
EP 1401374 A1 20040331 EP 2001-274606 20011114 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2000-274622P P 20001114 <--
WO 2001-US43089 W 20011114 <--

IT **59729-33-8DP**, Citalopram, protein conjugates
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel pharmaceutical compds. containing drugs bound to polypeptides)

RN 59729-33-8 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Compns. comprising polypeptides and drugs covalently attached to the polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.

IC ICM A61K

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 2, 15

IT Drug delivery systems
(**controlled-release**, pH-dependent; novel pharmaceutical compds. containing drugs bound to polypeptides)

IT Polyoxyalkylenes, biological studies
RL: POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microencapsulation** agent; novel pharmaceutical compds. containing drugs bound to polypeptides)

IT Amino acids, biological studies
Carbohydrates, biological studies
Salts, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microencapsulation** agent; novel pharmaceutical compds. containing drugs bound to polypeptides)

IT **Encapsulation**
(**microencapsulation**; novel pharmaceutical compds. containing drugs bound to polypeptides)

IT **Drug delivery systems**
(**tablets**; novel pharmaceutical compds. containing drugs bound to polypeptides)

IT 25322-68-3, Polyethylene glycol
RL: POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microencapsulation** agent; novel pharmaceutical compds. containing drugs bound to polypeptides)

IT 50-18-0DP, Cyclophosphamide, protein conjugates 50-48-6DP, Amitriptyline, protein conjugates 50-49-7DP, Imipramine, protein conjugates 50-78-2DP, Aspirin, protein conjugates 51-61-6DP, Dopamine, protein conjugates, biological studies 51-64-9DP, Dextroamphetamine, protein conjugates 51-98-9DP, Norethindrone acetate, protein conjugates 52-86-8DP, Haloperidol, protein conjugates 53-16-7DP, Estrone, protein conjugates, biological studies 54-31-9DP, Furosemide, protein conjugates 57-63-6DP, Ethinyl estradiol, protein conjugates 58-08-2DP, Caffeine, protein conjugates, biological studies 58-18-4DP, Methyltestosterone, protein conjugates 58-25-3DP, Chlordiazepoxide, protein conjugates 58-32-2DP, Dipyridamole, protein conjugates 58-61-7DP, Adenosine, protein conjugates, biological studies 58-93-5DP, Hydrochlorothiazide, protein conjugates 59-92-7DP, Levodopa, protein conjugates 68-22-4DP, Norethindrone, protein conjugates 71-58-9DP, Medroxyprogesterone acetate, protein conjugates 77-19-0DP, Dicyclomine, protein conjugates 78-44-4DP, Carisoprodol, protein conjugates 86-13-5DP, Benzatropine, protein conjugates 87-33-2DP, Isosorbide dinitrate, protein conjugates 103-90-2DP, Acetaminophen, protein conjugates 113-15-5DP, Ergotamine, protein conjugates 114-07-8DP, Erythromycin, protein conjugates 118-42-3DP, Hydroxychloroquine, protein conjugates 125-71-3DP, Dextromethorphan, protein conjugates 127-31-1DP, Fludrocortisone, protein conjugates 132-22-9DP, Chlorpheniramine, protein conjugates 297-76-7DP, Ethynodiol diacetate, protein conjugates 298-46-4DP, Carbamazepine, protein conjugates 303-49-1DP, Clomipramine, protein conjugates 303-53-7DP, Cyclobenzaprine, protein conjugates 315-30-0DP, Allopurinol, protein conjugates 378-44-9DP, Betamethasone, protein conjugates 396-01-0DP, Triamterene, protein conjugates 437-38-7DP, Fentanyl, protein conjugates 439-14-5DP, Diazepam, protein conjugates 446-86-6DP, Azathioprine, protein conjugates 466-99-9DP, Hydromorphone, protein conjugates 469-62-5DP, Propoxyphene, protein conjugates 745-65-3DP, Alprostadil, protein conjugates 797-63-7DP, Levonorgestrel, protein conjugates 1134-47-0DP, Baclofen, protein conjugates 1403-66-3DP, Gentamicin, protein conjugates 1622-61-3DP, Clonazepam, protein conjugates 1951-25-3DP, Amiodarone, protein conjugates 4205-90-7DP, Clonidine, protein conjugates 4759-48-2DP, Isotretinoin, protein conjugates 5786-21-0DP, Clozapine, protein conjugates 5991-71-9DP, Clorazepate depot, protein conjugates 6533-00-2DP, Norgestrel, protein conjugates 7280-37-7DP, Estropipate, protein conjugates 9002-60-2DP, Adrenocorticotropin, protein conjugates 9002-68-0DP, Follitropin, protein conjugates 9007-92-5DP, Glucagon, protein conjugates 9041-92-3DP, α1-Proteinase inhibitor, protein conjugates 10238-21-8DP, Glyburide, protein conjugates 11061-68-0DP, Human insulin, protein conjugates 13311-84-7DP, Flutamide, protein conjugates 15307-86-5DP, Diclofenac, protein conjugates 15663-27-1DP, Cisplatin, protein conjugates 15686-71-2DP, Cephalexin, protein conjugates 15687-27-1DP, Ibuprofen, protein conjugates 16679-58-6DP, Desmopressin, protein conjugates 18559-94-9DP, Albuterol, protein conjugates 20537-88-6DP, Amifostine, protein conjugates 20830-75-5DP, Digoxin, protein conjugates 22071-15-4DP, Ketoprofen, protein conjugates 23214-92-8DP, Doxorubicin, protein conjugates 25614-03-3DP, Bromocriptine, protein conjugates 25812-30-0DP, Gemfibrozil, protein conjugates 25953-19-9DP, Cefazolin, protein conjugates 26787-78-0DP, Amoxicillin, protein conjugates 28860-95-9DP, Carbidopa, protein conjugates 28981-97-7DP, Alprazolam, protein conjugates 29094-61-9DP, Glipizide, protein conjugates 29122-68-7DP, Atenolol, protein conjugates

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protein conjugates 96946-42-8DP, Cisatracurium besylate, protein conjugates 97519-39-6DP, Ceftibuten, protein conjugates 97682-44-5DP, Irinotecan, protein conjugates 98048-97-6DP, Fosinopril, protein conjugates 98319-26-7DP, Finasteride, protein conjugates 103577-45-3DP, Lansoprazole, protein conjugates 104227-87-4DP, Famciclovir, protein conjugates 109889-09-0DP, Granisetron, protein conjugates 111470-99-6DP, Amlodipine besylate, protein conjugates 112108-01-7DP, Ecopipam, protein conjugates 112573-73-6DP, Ecadotril, protein conjugates 113427-24-0DP, Epoetin alfa, protein conjugates 113665-84-2DP, Clopidogrel, protein conjugates 115956-13-3DP, Dolasetron mesylate, protein conjugates 116539-59-4DP, Duloxetine, protein conjugates 118390-30-0DP, Interferon alfacon-1, protein conjugates 120014-06-4DP, Donepezil, protein conjugates 120066-54-8DP, Gadoteridol, protein conjugates 120511-73-1DP, Anastrozole, protein conjugates 120635-74-7DP, Cilansetron, protein conjugates 121181-53-1DP, Filgrastim, protein conjugates 123122-55-4DP, Candoxatril, protein conjugates 123258-84-4DP, Itasetron, protein conjugates 126544-47-6DP, Ciclesonide, protein conjugates 129722-12-9DP, Aripiprazole, protein conjugates 130801-33-1DP, protein conjugates 131410-48-5DP, Gadodiamide, protein conjugates 132449-46-8DP, Lesopitron, protein conjugates 134523-00-5DP, Atorvastatin, protein conjugates 134564-82-2DP, Befloxatone, protein conjugates 134678-17-4DP, Lamivudine, protein conjugates 135306-42-2DP, protein conjugates 138402-11-6DP, Irbesartan, protein conjugates 139481-59-7DP, Candesartan, protein conjugates 141732-76-5DP, Exendin-4, protein conjugates 142340-99-6DP, Adefovir dipivoxil, protein conjugates 145599-86-6DP, Cerivastatin, protein conjugates 147245-92-9DP, Glatiramer acetate, protein conjugates 147536-97-8DP, Bosentan, protein conjugates 149824-15-7DP, Ilodecakin, protein conjugates 149950-60-7DP, Emivirine, protein conjugates 150378-17-9DP, Indinavir, protein conjugates 153259-65-5DP, Cilomilast, protein conjugates 153438-49-4DP, Dapitant, protein conjugates 154248-97-2DP, Imiglucerase, protein conjugates 154361-50-9DP, Capecitabine, protein conjugates 154598-52-4DP, Efavirenz, protein conjugates 160135-92-2DP, protein conjugates 161814-49-9DP, Amprenavir, protein conjugates 162808-62-0DP, Caspofungin, protein conjugates 164656-23-9DP, Dutasteride, protein conjugates 166518-60-1DP, Avasimibe, protein conjugates 169590-42-5DP, Celecoxib, protein conjugates 170277-31-3DP, Infliximab, protein conjugates 178961-24-5DP, protein conjugates 179120-92-4DP, Altinicline, protein conjugates 183547-57-1DP, Gantofiban, protein conjugates 183552-38-7DP, Abarelix, protein conjugates 185243-69-0DP, Etanercept, protein conjugates 187348-17-0DP, Edodekin alfa, protein conjugates 188062-50-2DP, Abacavir sulfate, protein conjugates 188627-80-7DP, Eptifibatide, protein conjugates 194804-75-6DP, protein conjugates 198283-73-7DP, protein conjugates 205110-48-1DP, protein conjugates 210101-16-9DP, Conivaptan, protein conjugates
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel pharmaceutical compds. containing drugs bound to polypeptides)

L84 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:850959 HCAPLUS
DOCUMENT NUMBER: 137:316055
TITLE: Citalopram tablets manufactured by means of fluidized bed drying
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: Ital. Appl., 8 pp.
CODEN: ITXXCZ
DOCUMENT TYPE: Patent

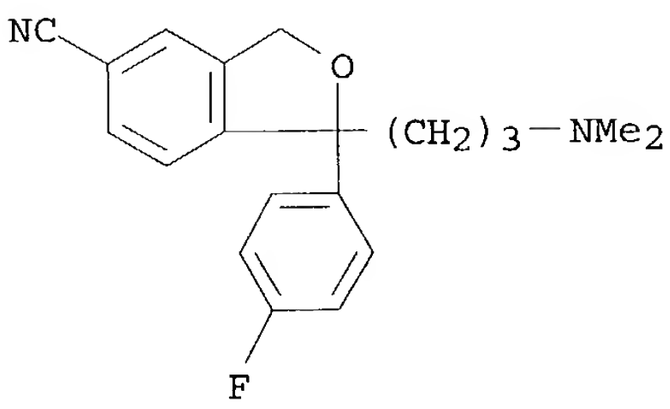
LANGUAGE: Italian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 99MI1781	A1	20010206	IT 1999-MI1781	19990806 <--
IT 1313606	B1	20020909		

PRIORITY APPLN. INFO.: IT 1999-MI1781 19990806 <--

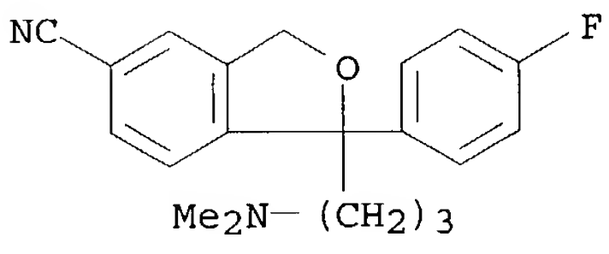
IT **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram
RL: **PEP (Physical, engineering or chemical process)**; **PYP (Physical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(citalopram tablets manufactured by means of fluid-bed drying)

RN 59729-32-7 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Citalopram hydrobromide tablets are disclosed that can be made by fluidized-bed drying of wet granulates.

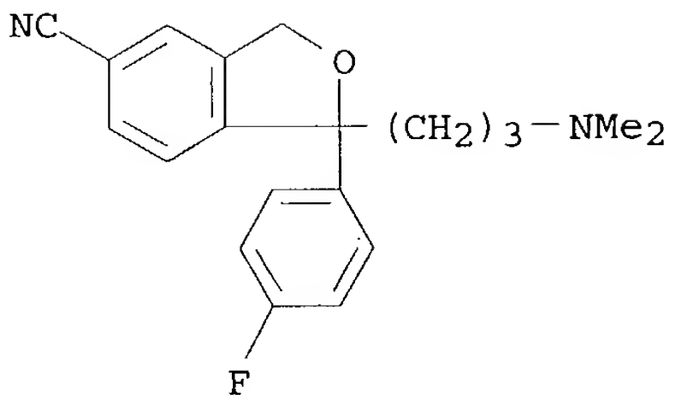
IC ICM C07D
CC 63-6 (Pharmaceuticals)
IT **Drug delivery systems**
(**tablets**; citalopram **tablets** manufactured by means of fluid-bed drying)
IT **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram
RL: **PEP (Physical, engineering or chemical process)**; **PYP (Physical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(citalopram tablets manufactured by means of fluid-bed drying)

L84 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:521457 HCAPLUS
DOCUMENT NUMBER: 137:68216
TITLE: Pharmaceutical **composition** containing citalopram
INVENTOR(S): Liljegren, Ken; Holm, Per
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053133	A1	20020711	WO 2002-DK3	20020103 <--
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1351667	A1	20031015	EP 2002-726983	20020103 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006272	A	20031230	BR 2002-6272	20020103 <--
JP 2004517111	T2	20040610	JP 2002-554084	20020103 <--
US 2004058989	A1	20040325	US 2003-619743	20030701 <--
NO 2003003073	A	20030704	NO 2003-3073	20030704 <--

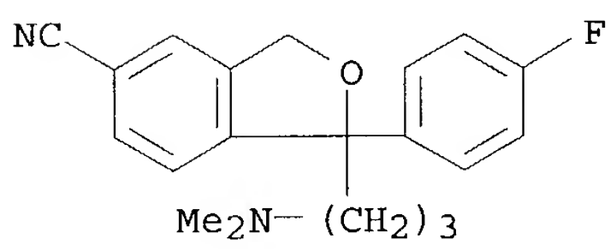
PRIORITY APPLN. INFO.: DK 2001-16 A 20010105 <--
WO 2002-DK3 W 20020103

IT **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram **85118-27-0**, 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrochloride
RL: **PEP (Physical, engineering or chemical process)**; **PYP (Physical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(pharmaceutical **composition** containing citalopram)
RN 59729-32-7 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)

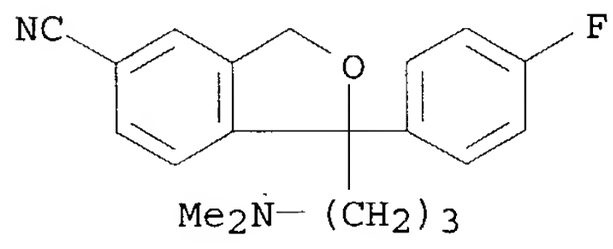


● HBr

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 85118-27-0 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

AB A solid unit dosage form containing citalopram is prepared by a process in which
the citalopram base or its salt and excipients is roller compacted. Citalopram-HBr, Kollidon VA64 as binder and Avicel PH-101 (14209 g) as a filler was mixed by conventional mixing. The mixture was compacted on a roller compactor. The parameters for the compaction were set as follows: Roller speed: 6 rpm; roller pressure: 7.8 kN/cm2 (90 bar); Auger speed: 45 rpm; product flow: 65 kg/h; vacuum on; screens: 2.0 mm and 0.8 mm.

IC ICM A61K009-16
ICS C07D307-87; A61P025-24; A61K009-14; A61K031-34

CC 63-6 (Pharmaceuticals)

IT **Drug delivery systems**
(**granules**; pharmaceutical **composition** containing citalopram)

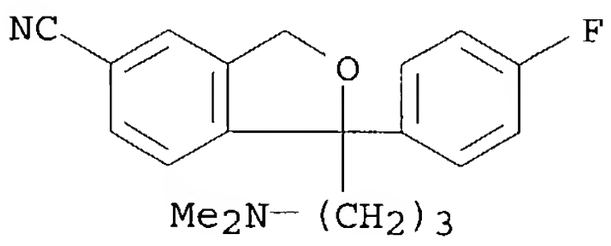
IT **Particle size distribution**
(pharmaceutical **composition** containing citalopram)

IT **Compaction**
(roller; pharmaceutical **composition** containing citalopram)
IT **Drug delivery systems**
(**solids**; pharmaceutical **composition** containing citalopram)
IT **Drug delivery systems**
(**tablets**; pharmaceutical **composition** containing citalopram)
IT **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram **85118-27-0**, 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrochloride
RL: **PEP (Physical, engineering or chemical process)**; **PYP (Physical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(pharmaceutical **composition** containing citalopram)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L84 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:429542 HCAPLUS
DOCUMENT NUMBER: 137:11003
TITLE: Chondroprotective/restorative **compositions** containing hyaluronic acid
INVENTOR(S): Pierce, Scott W.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002068718	A1	20020606	US 2001-967977	20011002 <--

PRIORITY APPLN. INFO.: US 2000-237838P P 20001003 <--
IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB An oral composition based on hyaluronic acid or its salts and optionally a therapeutic drug is provided for treating or preventing osteoarthritis, joint effusion, joint inflammation and pain, synovitis, lameness, post-operative arthroscopic surgery, deterioration of proper joint function including joint mobility, the reduction or inhibition of metabolic activity of chondrocytes, the activity of enzymes that degrade cartilage, and the reduction or inhibition of the production of hyaluronic acid in a mammal.
Addnl., compns. containing hyaluronic acid, chondroitin sulfate and

glucosamine sulfate in a paste formulation are also described which can be administered on their own or can be used as a feed additive for cats and dogs. For example, a composition contained (by weight) glucosamine sulfate 36%, chondroitin sulfate 4%, sodium hyaluronate 0.144%, manganese sulfate 0.144%, ibuprofen 200 mg, **powdered** sugar 20%, glycerin 0.7%, xanthan gum 0.2%, sodium benzoate 0.7%, citric acid 0.2%, molasses 23.5%, and water 14.4%.

IC ICM A61K031-715
ICS A61K031-70

NCL 514054000

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 17

IT Balsams
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Peru; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Natural products, pharmaceutical
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aloe; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Caseins, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(calcium complexes; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Drug delivery systems
(**capsules**; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Natural products, pharmaceutical
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cascara sagrada; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Analgesics
Anti-inflammatory agents
Antiarthritics
Canis familiaris
Equus caballus
Feed additives
Felis catus
Mammalia
Molasses
Nutrients
Witch hazel
(chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Amino acids, biological studies
Castor oil
Cocoa butter
Cod liver oil
Hydrocarbon oils
Kaolin, biological studies
Lanolin
Lecithins
Mineral elements, biological studies
Sulfonamides
Vitamins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Cartilage

(degradation of; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Joint, anatomical
(disease, effusion; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Leg
(disease, lameness; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Drug delivery systems
(gels; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Natural products, pharmaceutical
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ipecac; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Drug delivery systems
(oral; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Drug delivery systems
(pastes; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Essential oils
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peppermint; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyunsatd., n-3; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Surgery
(post-operative arthroscopic surgery; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Chondrocyte
(reduction or inhibition of metabolic activity of; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sesame; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(shark-liver oil; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT Synovial membrane, disease
(synovitis; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT 9004-61-9, Hyaluronic acid 9007-28-7, Chondroitin sulfate 9067-32-7, Sodium hyaluronate 29031-19-4, Glucosamine sulfate
RL: FFD (Food or feed use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT 50-02-2 50-03-3, Hydrocortisone acetate 50-06-6, Phenobarbital, biological studies 50-13-5, Meperidine hydrochloride 50-21-5, Lactic acid, biological studies 50-23-7, Hydrocortisone 50-24-8, Prednisolone 50-33-9, Phenylbutazone, biological studies 50-78-2, Acetylsalicylic acid 50-78-2D, Acetylsalicylic acid, buffered 50-81-7, L-Ascorbic acid, biological studies 51-42-3, Epinephrine bitartrate 51-98-9,

Norethindrone acetate 52-28-8, Codeine phosphate 53-03-2, Prednisone 53-86-1, Indomethacin 54-11-5, Nicotine 54-31-9, Furosemide 55-63-0, Nitroglycerin 56-75-7, Chloramphenicol 56-81-5, Glycerin, biological studies 57-11-4, Stearic acid, biological studies 57-27-2, Morphine, biological studies 57-33-0, Pentobarbital sodium 57-41-0, Phenytoin 57-55-6, Propylene glycol, biological studies 57-63-6, Ethinyl estradiol 58-08-2, Caffeine, biological studies 58-55-9, Theophylline, biological studies 58-85-5, Biotin 58-93-5, Hydrochlorothiazide 59-30-3, Folic acid, biological studies 59-43-8, Thiamine, biological studies 59-67-6, Niacin, biological studies 61-33-6, biological studies 61-68-7, Mefenamic acid 61-76-7, Phenylephrine hydrochloride 62-49-7, Choline 64-17-5, Ethanol, biological studies 64-19-7, Acetic acid, biological studies 64-75-5, Tetracycline hydrochloride 65-23-6, Pyridoxine 65-85-0, Benzoic acid, biological studies 67-63-0, Isopropanol, biological studies 67-68-5, Dimethyl sulfoxide, biological studies 67-71-0, Methylsulfonylmethane 68-04-2, Sodium citrate 68-19-9, Cyanocobalamin 68-22-4, Norethindrone 69-53-4, Ampicillin 69-72-7, Salicylic acid, biological studies 71-58-9, Medroxyprogesterone acetate 73-78-9, Lidocaine hydrochloride 76-22-2, Camphor 76-49-3, Bornyl acetate 76-57-3, Codeine 77-09-8, Phenolphthalein 77-41-8, Methsuximide 77-92-9, Citric acid, biological studies 78-11-5, Pentaerythritol tetranitrate 79-83-4 83-88-5, Riboflavin, biological studies 85-79-0, Dibucaine 87-67-2, Choline bitartrate, biological studies 87-89-8, myo-Inositol 88-04-0, Chloroxylenol 89-78-1, Menthol 90-64-2 93-14-1, Guaifenesin 93-60-7, Methyl nicotinate 94-09-7, Benzocaine 94-36-0, Benzoyl peroxide, biological studies 97-59-6, Allantoin 98-92-0, Niacinamide 100-97-0, Methenamine, biological studies 103-90-2, Acetaminophen 104-46-1, Anethole 108-46-3, Resorcinol, biological studies 108-95-2, Phenol, biological studies 112-38-9, Undecylenic acid 113-92-8, Chlorpheniramine maleate 114-07-8, Erythromycin 115-67-3, Paramethadione 117-10-2, Danthron 119-36-8, Methyl salicylate 119-61-9D, Benzophenone, derivs. 123-03-5, Cetylpyridinium chloride 124-94-7, Triamcinolone 125-69-9, Dextromethorphan hydrobromide 126-07-8, Griseofulvin 128-49-4, Docusate calcium 131-53-3, Dioxybenzone 131-57-7, Oxybenzone 132-20-7, Pheniramine maleate 134-31-6, 8-Hydroxyquinoline sulfate 136-77-6, Hexylresorcinol 137-58-6, Lidocaine 139-12-8, Aluminum acetate 140-65-8, Pramoxine 141-01-5, Ferrous fumarate 143-71-5, Hydrocodone bitartrate 144-55-8, Sodium bicarbonate, biological studies 147-24-0, Diphenhydramine hydrochloride 150-13-0, p-Aminobenzoic acid 152-11-4, Verapamil hydrochloride 152-43-2, Quinestrol 154-41-6, Phenylpropanolamine hydrochloride 156-51-4, Phenelzine sulfate 299-29-6, Ferrous gluconate 299-42-3, Ephedrine 302-79-4, Tretinoin 303-25-3, Cyclizine hydrochloride 318-98-9, Propranolol hydrochloride 321-64-2, Tacrine 345-78-8, Pseudoephedrine hydrochloride 395-28-8 439-14-5, Diazepam 443-48-1, Metronidazole 469-62-5, Propoxyphene 470-82-6, Eucalyptol 471-34-1, Calcium carbonate, biological studies 532-03-6, Methocarbamol 532-32-1, Sodium benzoate 546-93-0, Magnesium carbonate 550-70-9, Triprolidine hydrochloride 557-04-0, Magnesium stearate 557-08-4, Zinc undecylenate 562-10-7 577-11-7, Docusate sodium 603-50-9, Bisacodyl 614-39-1, Procainamide hydrochloride 637-07-0, Clofibrate 637-58-1, Pramoxine hydrochloride 644-62-2, Meclofenamic acid 723-46-6, Sulfamethoxazole 980-71-2, Bromopheniramine maleate 1218-35-5, Xylometazoline hydrochloride 1305-62-0, Calcium hydroxide, biological studies 1309-42-8, Magnesium hydroxide 1321-11-5, Aminobenzoic acid 1327-41-9, Aluminum chlorohydrate 1400-61-9, Nystatin 1403-66-3, Gentamicin 1404-90-6, Vancomycin 1405-10-3, Neomycin sulfate 1405-20-5, Polymyxin B sulfate 1405-41-0, Gentamycin sulfate 1405-87-4, Bacitracin 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1639-60-7, Propoxyphene hydrochloride

1684-40-8, Tacrine hydrochloride 2391-03-9, Dexbrompheniramine maleate 2398-96-1, Tolnaftate 2955-38-6, Prazepam 3380-34-5, Triclosan 4205-90-7, Clonidine 4205-91-8, Clonidine hydrochloride 4499-40-5, Oxtriphylline, biological studies 5466-77-3, Octyl methoxycinnamate 5534-09-8, Beclomethasone dipropionate 5874-97-5, Metaproterenol sulfate 6385-02-0, Meclofenamate sodium 6740-88-1, Ketamine 7054-25-3, Quinidine gluconate 7280-37-7, Estropipate 7439-89-6, Iron, biological studies 7439-96-5, Manganese, biological studies 7440-50-8, Copper, biological studies 7440-66-6, Zinc, biological studies 7440-70-2, Calcium, biological studies 7447-40-7, Potassium chloride, biological studies 7460-12-0, Pseudoephedrine sulfate 7491-09-0, Docusate potassium 7553-56-2, Iodine, biological studies 7631-86-9, Silicon dioxide, biological studies 7647-14-5, Sodium chloride (NaCl), biological studies 7681-49-4, Sodium fluoride, biological studies 7704-34-9, Sulfur, biological studies 7720-78-7, Ferrous sulfate 7723-14-0, Phosphorus, biological studies 7733-02-0, Zinc sulfate 7757-79-1, Potassium nitrate, biological studies 7785-87-7, Manganese sulfate 8011-96-9, Calamine 8025-63-6 8050-81-5, Simethicone 8065-29-0, Liotrix 9004-10-8, Insulin, biological studies 9004-32-4, Sodium carboxymethyl cellulose 9004-67-5, Methyl cellulose 9005-25-8, Starch, biological studies 9006-65-9, Dimethicone 9036-19-5, Octoxynol 10163-15-2, Sodium monofluorophosphate 11041-12-6, Cholestyramine resin 11096-26-7, Erythropoietin 11099-07-3, Glyceryl stearate 11103-57-4, Vitamin A 11111-12-9D, Cephalosporin, derivs. 11138-66-2, Xanthan gum 12001-76-2, Vitamin B 12001-79-5, Vitamin K 14362-31-3, Chlorcyclizine hydrochloride 14455-29-9, Aluminum carbonate 14663-23-1, Dantrium 14698-29-4, Oxolinic acid 14838-15-4, Phenylpropanolamine 14987-04-3, Magnesium trisilicate 15307-79-6, Diclofenac sodium 15686-71-2, Cephalexin 15687-27-1, Ibuprofen 17140-78-2, Propoxyphene napsylate 18472-51-0, Chlorhexidine gluconate 18559-94-9, Albuterol 18917-89-0, Magnesium salicylate 20830-75-5, Digoxin 21245-02-3, Padimate O 21645-51-2, Aluminum hydroxide, biological studies 21829-25-4, Nifedipine 22204-53-1, Naproxen 22832-87-7, Miconazole nitrate 22839-47-0, Aspartame 24390-14-5, Doxycycline hyclate 25441-16-1 25812-30-0, Gemfibrozil 26027-38-3, Nonoxynol-9 26159-34-2, Naproxen sodium 26171-23-3, Tolmetin 26787-78-0, Amoxicillin 26921-17-5, Timolol maleate 28911-01-5, Triazolam 28981-97-7, Alprozolam 29094-61-9, Glipizide 29122-68-7, Atenolol 29984-33-6, Vidarabine phosphate 34552-84-6, Isoxicam 34580-13-7, Ketotifen
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

IT 36322-90-4, Piroxicam 36505-84-7, Buspirone 36653-82-4, Cetyl alcohol 37148-27-9, Clenbuterol 38304-91-5, Minoxidil 42399-41-7, Diltiazem 42461-84-7, Flunixin Meglumine 50370-12-2, Cefadroxil 50679-08-8, Terfenadine 51022-70-9, Albuterol sulfate 51264-14-3, Amsacrine 52128-35-5, Trimetrexate 52618-67-4, Tioperidone 53910-25-1, Pentostatin 53994-73-3, Cefaclor 56296-78-7, Fluoxetine hydrochloride 56392-17-7, Metoprolol tartrate **59729-33-8**, Citalopram 60142-96-3, Gabapentin 62571-86-2, Captopril 66357-35-5, Ranitidine 68252-19-7, Pirmenol 68497-62-1, Pramiracetam 69198-10-3, Metronidazole hydrochloride 70059-30-2, Cimetidine hydrochloride 72332-33-3, Procaterol 73590-58-6, Omeprazole 74011-58-8, Enoxacin 75330-75-5, Lovastatin 75847-73-3, Enalapril 76547-98-3, Lisinopril 80841-47-0, Amsalog 85441-61-8, Quinapril 88637-37-0, Diphenhydramine citrate 89197-32-0, Efaroxan 93107-08-5, Ciprofloxacin hydrochloride 93390-81-9, Fosphenytoin 93738-40-0, Ralitoline 96328-17-5, 2'-Chloropentostatin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chondroprotective/restorative **compns.** containing hyaluronic acid

for treatment of joint disorders)
IT 9004-34-6, Cellulose, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(microcryst.; chondroprotective/restorative **compns.** containing hyaluronic acid for treatment of joint disorders)

L84 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:241329 HCAPLUS
DOCUMENT NUMBER: 136:284433
TITLE: Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation
INVENTOR(S): Wilson, Leland F.; Doherty, Paul C.; Place, Virgil A.; Smith, William L.; Abdel-Hamid, Abdou Ali Ibrahim Aboubakr
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 467,094.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002037828	A1	20020328	US 2001-888250	20010621 <--
US 6403597	B2	20020611		
US 6037346	A	20000314	US 1998-181070	19981027 <--
US 6548490	B1	20030415	US 1999-467094	19991210 <--
WO 2003000343	A2	20030103	WO 2002-US9415	20020325 <--
WO 2003000343	A3	20040325		

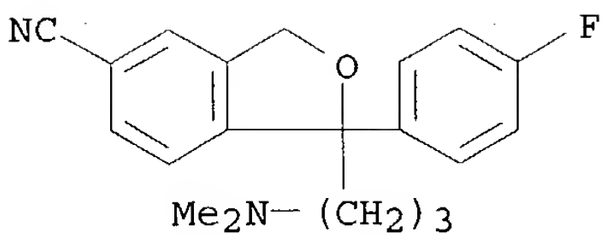
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1418896	A2	20040519	EP 2002-717729	20020325 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:	US 1997-958816	B2	19971028 <--
	US 1998-181070	A2	19981027 <--
	US 1999-467094	A2	19991210 <--
	US 2001-888250	A	20010621 <--
	WO 2002-US9415	W	20020325

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A method is provided for treatment of premature ejaculation by administration of a phosphodiesterase inhibitor, e.g., an inhibitor of a Type III, Type IV, or Type V phosphodiesterase. In a preferred embodiment, administration is on as "as needed" basis, i.e., the drug is administered immediately or several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided. Zaprinast 1.0, mannitol 1.0, microcryst. cellulose 2.0, and magnesium stearate 10 mg are blended in a suitable mixer and then compressed into sublingual tablets. Each sublingual tablet contains 10 mg zaprinast.

IC ICM A61K031-00
NCL 514001000
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 2
IT Drug delivery systems
(**capsules**; administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
IT Drug delivery systems
(**controlled-release**; administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
IT **Drug delivery systems**
(**granules**; administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
IT **Drug delivery systems**
(**pellets**; administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
IT Drug delivery systems
(**powders**; administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
IT **Drug delivery systems**
(**tablets**; administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
IT 50-47-5, Desipramine 50-48-6, Amitriptyline 50-49-7, Imipramine 51-12-7, Nialamide 51-71-8, Phenelzine 55-21-0D, Benzamide, derivs. 58-32-2, Dipyridamole 58-55-9, Theophylline, biological studies 58-74-2, Papaverine 59-63-2, Isocarboxazid 69-89-6D, Xanthine, derivs. 72-69-5, Nortriptyline 73-22-3, Tryptophan, biological studies 83-67-0, Theobromine 91-20-3D, Naphthalene, derivs. 92-52-4D, Biphenyl, derivs. 95-15-8D, Benzothiophene, derivs. 98-89-5D, Cyclohexanecarboxylic acid, derivs. 113-45-1, Methylphenidate 113-53-1, Dothiepin 120-73-0D, Purine, derivs. 138-56-7, Trimethobenzamide 155-09-9, Tranylcypromine 271-89-6D, Benzofuran, derivs. 302-40-9, Benactyzine 303-49-1, Clomipramine 315-72-0, Opipramol 438-60-8, Protriptyline 475-81-0, S-(+)-Glaucine 616-45-5D, 2-Pyrrolidinone, derivs. 739-71-9, Trimipramine 1668-19-5, Doxepin 4350-09-8, Oxitriptan 4498-32-2, Dibenzepin 4757-55-5, Dimetacrine 5118-29-6, Melitracen 5560-72-5, Iprindole 6493-05-6, Pentoxifylline 10262-69-8, Maprotiline 10321-12-7, Propizepine 12794-10-4D, Benzodiazepine, derivs. 14028-44-5, Amoxapine 14611-51-9, Selegiline 15301-93-6, Tofenacin 17780-72-2, Clorgyline 19794-93-5, Trazodone 21730-16-5, Metapramine 23047-25-8, Lofepramine 24219-97-4, Mianserin 24526-64-5, Nomifensine 24701-51-7, Demexiptiline 25905-77-5, Minaprine 26629-87-8, Oxaflozane

28822-58-4, IBMX 29218-27-7, Toloxatone 31721-17-2, Quinupramine
32359-34-5, Medifoxamine 34911-55-2, Bupropion 35941-65-2,
Butriptyline 37762-06-4, Zaprinast 42971-09-5, Vinpocetine
46817-91-8, Viloxazine 50847-11-5, Ibudilast 51022-77-6, Etazolate
52942-31-1, Etoperidone 54739-18-3, Fluvoxamine 54739-19-4,
Clovoxamine 54910-89-3, Fluoxetine 56433-44-4, Oxaprotiline
56611-65-5, Phthalazinol 56775-88-3, Zimeldine 57262-94-9, Setiptiline
57574-09-1, Amineptine **59729-33-8**, Citalopram 59859-58-4,
Femoxetine 60719-84-8, Amrinone 60762-57-4, Pirlindole 61413-54-5,
Rolipram 61869-08-7, Paroxetine 62473-79-4, Teniloxazine 63638-91-5,
Brofaromine 66208-11-5, Ifoxetine 66327-51-3, Furazlocillin
66834-24-0, Cianopramine 68475-42-3, Anagrelide 70018-51-8, Quazinone
71320-77-9, Moclobemide 72714-74-0, Viqualine 72797-41-2, Tianeptine
74150-27-9, Pimobendan 76496-68-9, Levoprotiline 78033-10-0
78351-75-4 78415-72-2, Milrinone 79030-08-3D, Griseolic acid, derivs.
79617-96-2, Sertraline 79855-88-2, Trequinsin 80410-36-2, Fezolamine
81098-60-4, Cisapride 83366-66-9, Nefazodone 83863-69-8, NorCisapride
85650-52-8, Mirtazapine 86315-52-8, Isomazole 89565-68-4, Tropisetron
90182-92-6, Zacopride 90697-57-7, Motapizone 92623-85-3, Milnacipran
93413-69-5, Venlafaxine 94192-59-3, Lixazinone 99614-02-5, Ondansetron
102670-46-2, Batanopride 106650-56-0, Sibutramine 106730-54-5,
Olprinone 109889-09-0, Granisetron 112018-01-6, Bemoradan
115344-47-3, Siguazodan 115956-12-2, Dolasetron 116539-59-4,
Duloxetine 119356-77-3, Dapoxetine 121588-75-8, Amesergide
139145-27-0 139755-83-2, Sildenafil 147676-63-9 150452-18-9
167298-74-0, Sch-51866 167298-97-7 168464-34-4 168464-60-6
171599-83-0, Sildenafil citrate 184147-55-5D, derivs. 212498-37-8
224157-99-7 224785-90-4, Vardenafil 330784-28-6 330784-47-9
330785-79-0 405508-89-6 405551-89-5, FR 229934
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(administration of phosphodiesterase inhibitors for treatment of
premature ejaculation)

L84 ANSWER 9 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:903811 HCAPLUS
DOCUMENT NUMBER: 136:25120
TITLE: Pharmaceutical **compositions** containing serotonin inhibitor and 5-HT1D antagonists
INVENTOR(S): Mitchell, Stephen Nicholas; Pullar, Ian Alexander
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001093844	A2	20011213	WO 2001-US10824	20010521 <--
WO 2001093844	A3	20020404		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

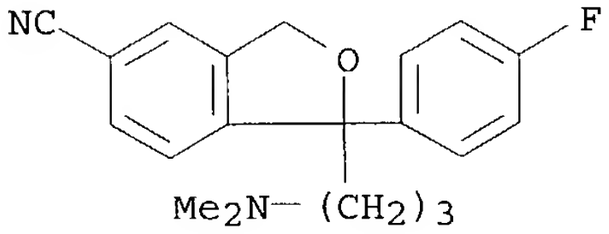
GB 2362826	A1	20011205	GB 2000-13503	20000602	<--
EP 1299120	A2	20030409	EP 2001-937165	20010521	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2003212109	A1	20031113	US 2002-276107	20021108	<--
PRIORITY APPLN. INFO.:			GB 2000-13503 A	20000602	<--
			WO 2001-US10824 W	20010521	<--

OTHER SOURCE(S): MARPAT 136:25120

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing serotonin inhibitor and)

RN 59729-33-8 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A pharmaceutical composition comprises a serotonin transport inhibitor and a 5-HT1D antagonist, together with a pharmaceutically acceptable diluent or carrier. Thus, hard gelatin **capsules** contained fluoxetine-HCl 20, 1-(2-(4-(4-fluorobenzoyl)-1-piperidinyl)-1-ethyl)-1,3-dihydro-3-spiro-1-cyclopropyl-2H-indole-2-one (a 5-HT1D antagonist) 30, starch 200, and Mg stearate 10 mg/**capsule.**

IC ICM A61K031-00

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT 5-HT antagonists
(5-HT1D; pharmaceutical **compns.** containing serotonin inhibitor and)

IT Drug delivery systems
(aerosols; pharmaceutical **compns.** containing serotonin inhibitor and)

IT Drug delivery systems
(**capsules**; pharmaceutical **compns.** containing serotonin inhibitor and)

IT Nervous system, disease
(central; pharmaceutical **compns.** containing serotonin inhibitor and)

IT Antidepressants
Anxiolytics
(pharmaceutical **compns.** containing serotonin inhibitor and)

IT Drug delivery systems
(suppositories; pharmaceutical **compns.** containing serotonin inhibitor and)

IT Drug delivery systems
(suspensions; pharmaceutical **compns.** containing serotonin inhibitor and)

IT **Drug delivery systems**
(**tablets**; pharmaceutical **compns.** containing serotonin inhibitor and)

IT 192927-92-7
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing serotonin inhibitor and)

IT 54739-18-3, Fluvoxamine 56296-78-7, Fluoxetine hydrochloride **59729-33-8**, Citalopram 79617-96-2, Sertraline 83366-66-9, Nefazodone 92623-85-3, Milnacipran 93413-69-5, Venlafaxine 100568-03-4, (+)-Fluoxetine 116539-59-4, Duloxetine 136434-34-9, Duloxetine hydrochloride 192928-15-7 192928-20-4 379215-72-2 379215-73-3 379215-74-4 379215-75-5 379215-76-6 379215-77-7 379215-78-8 379215-79-9 379215-80-2 379215-81-3 379215-82-4 379215-83-5 379215-84-6 379215-85-7 379215-86-8 379215-87-9 379215-88-0 379215-89-1 379215-90-4 379215-91-5 379215-92-6 379215-93-7 379215-94-8 379215-95-9 379215-96-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing serotonin inhibitor and)

IT 54910-89-3, Fluoxetine
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing serotonin inhibitor and 5-HT1D antagonists)

IT 50-67-9, Serotonin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(transport inhibitors; pharmaceutical **compns.** containing serotonin inhibitor and)

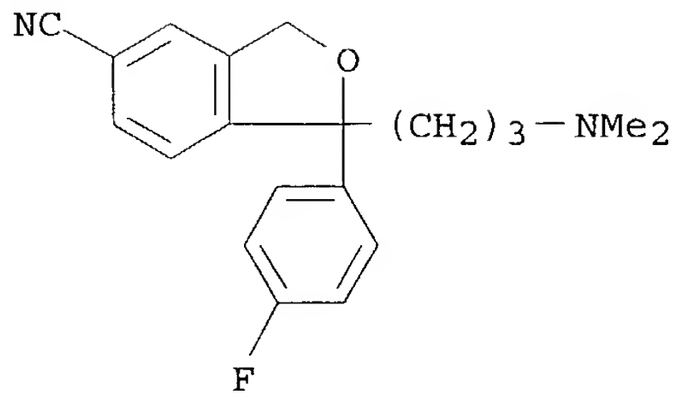
L84 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:814053 HCAPLUS
DOCUMENT NUMBER: 135:348923
TITLE: Citalopram hydrobromide **crystals** and **crystallization**
INVENTOR(S): Ikemoto, Tetsuya; Arai, Nobuhiro; Igi, Masami
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1152000	A1	20011107	EP 2001-108914	20010410 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002020379	A2	20020123	JP 2001-102717	20010330 <--
US 2001049450	A1	20011206	US 2001-824447	20010402 <--
CA 2343543	AA	20011102	CA 2001-2343543	20010409 <--

PRIORITY APPLN. INFO.: JP 2000-133995 A 20000502 <--

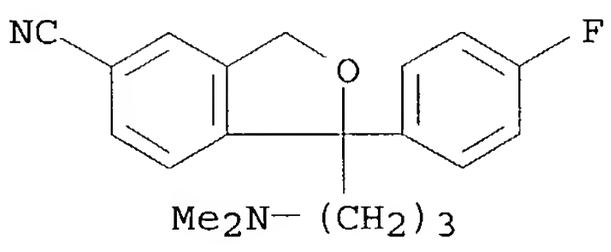
IT **59729-32-7P**, Citalopram hydrobromide
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(citalopram hydrobromide **crystals** and **crystallization**)

RN 59729-32-7 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

IT **59729-33-8**, Citalopram
RL: RCT (Reactant); RACT (Reactant or reagent)
(citalopram hydrobromide **crystals** and **crystallization**)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Citalopram-HBr is dissolved in a solvent containing at least one member selected from the group consisting of alc. having 1-3 carbon atoms, water and acetone is crystallized or recrystd. while controlling the cooling rate, thereby to 1) provide an industrial method for crystallizing citalopram-HBr, which enables easy control of the crystal characteristics, such as particle size, particle size distribution and aspect ratio and the like of the crystal, and 2) provide citalopram-HBr crystal having crystal characteristics useful as a pharmaceutical bulk.

IC ICM C07D307-87
CC 63-6 (Pharmaceuticals)
ST citalopram hydrobromide **crystal** pharmaceutical
IT Alcohols, processes
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(C1-3; citalopram hydrobromide **crystals** and **crystn**
.)
IT **Crystal** morphology
Crystallization
Crystals
Particle size
(citalopram hydrobromide **crystals** and **crystallization**)
IT 67-56-1, Methanol, processes 67-63-0, Isopropanol, processes 67-64-1, Acetone, processes 7732-18-5, Water, processes
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(citalopram hydrobromide **crystals** and **crystallization**)
IT **59729-32-7P**, Citalopram hydrobromide
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(citalopram hydrobromide **crystals** and **crystallization**)
IT **59729-33-8**, Citalopram

RL: RCT (Reactant); RACT (Reactant or reagent)
(citalopram hydrobromide **crystals** and **crystallization**)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

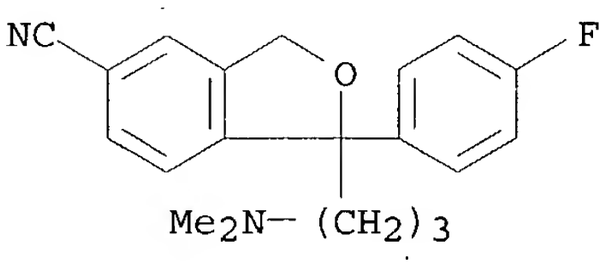
L84 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:564823 HCAPLUS
DOCUMENT NUMBER: 135:132455
TITLE: **Composition** for treatment of stress
INVENTOR(S): Wurtman, Judith J.; Wurtman, Richard J.
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054681	A2	20010802	WO 2001-US2854	20010129 <--
WO 2001054681	C1	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6579899	B1	20030617	US 2000-492110	20000127 <--
EP 1253915	A1	20021106	EP 2001-905173	20010129 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003521498	T2	20030715	JP 2001-555659	20010129 <--

PRIORITY APPLN. INFO.:

US 2000-492110	A2	20000127	<--
US 1998-93013P	P	19980716	<--
US 1999-354738	B2	19990716	<--
WO 2001-US2854	W	20010129	<--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**composition** for treatment of stress using serotoninergic drugs or prodrugs)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A method of treating stress in a patient showing stress related symptoms is disclosed, where the method comprises administering to the patient an

effective amount of a serotoninergic drug or prodrug. Specific examples of such drugs are described, and include, among others, tryptophan or 5-hydroxytryptophan, or their salts.

IC ICM A61K031-00
CC 1-11 (Pharmacology)
Section cross-reference(s): 63
IT Emotion
(anger, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Body, anatomical
(back, pain, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Organ, plant
(bean, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Drug delivery systems
(buccal; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Organ, plant
(**capsule**, pharmaceutical natural products of; **compn** . for treatment of stress using serotoninergic drugs or prodrugs)
IT Mental disorder
(cognitive, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT 5-HT agonists
Antiobesity agents
Appetite depressants
Drug delivery systems
Drug interactions
Stress, animal
(**composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Mental disorder
(depression, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Cognition
Digestion, biological
(disorder, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Appetite
(hyperphagia, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Appetite
(hypophagia, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Mental disorder
(obsession-compulsion, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Drug delivery systems
(oral; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Neck, anatomical
(pain, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Drug delivery systems
(parenterals; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Organ, plant
(peel, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)

IT Emotion
(pessimism, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Bark
Bulb (plant)
Flower
Fruit
Leaf
Plant (Embryophyta)
Root
Seed
Stem
Tuber (plant organ)
(pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Resins
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(plant, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Natural products, pharmaceutical
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(plant; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT 5-HT receptors
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(postsynaptic, activation of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Drug delivery systems
(prodrugs; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Mental disorder
(reclusiveness, treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Drug delivery systems
(rectal; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Stem
(rhizome, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Organ, plant
(rind, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Neurotransmission
(serotoninergic, mediation of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Organ, plant
(shell, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Drug delivery systems
(sublingual; **composition** for treatment of stress using serotoninergic drugs or prodrugs)
IT Diet
(supplements; **composition** for treatment of stress using serotoninergic drugs or prodrugs)

IT Anxiety
Fatigue, biological
Headache
Hyperglycemia
Hypertension
Insomnia
(treatment of stress from; **composition** for treatment of stress using serotoninergic drugs or prodrugs)

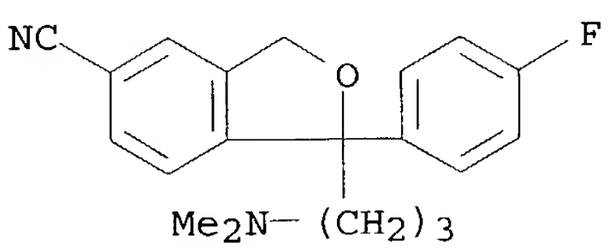
IT Organ, plant
(twig, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)

IT Fats and Glyceridic oils, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, pharmaceutical natural products of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)

IT 50-48-6, Amitriptyline 50-49-7, Imipramine 50-81-7, Ascorbic acid, biological studies 51-71-8, Phenelzine 58-85-5, Biotin 59-30-3, Folic acid, biological studies 59-43-8, vitamin B1, biological studies 59-63-2, Isocarboxazide 67-45-8, Furazolidone 72-69-5, Nortriptyline 73-22-3, L-Tryptophan, biological studies 113-52-0, Imipramine hydrochloride 155-09-9, Tranylcypromine 156-51-4, Phenelzine sulfate 303-49-1, Chlorimipramine 303-98-0, coenzyme Q10 304-52-9 438-60-8, Protriptyline 458-24-2 487-93-4, Bufotenin 521-78-8, Trimipramine maleate 549-18-8, Amitriptyline hydrochloride 554-13-2, Lithium carbonate 671-16-9, Procarbazine 739-71-9, Trimipramine 1668-19-5, Doxepin 2323-36-6, Deprenyl 3239-44-9, Dexfenfluramine 3239-45-0, Dexfenfluramine hydrochloride 4350-09-8, L-5-Hydroxytryptophan 4774-24-7, Quipazine 6640-24-0, m-CPP 7439-93-2, Lithium, biological studies 7439-95-4, Magnesium, biological studies 7491-74-9, Piracetam 8059-24-3, vitamin B6 12770-99-9, Dibenzoxazepine 15532-75-9, TFMPP 19794-93-5, Trazodone 25332-39-2, Trazodone hydrochloride 29908-03-0 34911-55-2, Bupropion 36505-84-7, Buspirone 54403-28-0, CGP 6085A 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 56296-78-7, Fluoxetine hydrochloride 56775-88-3, Zimelidine **59729-33-8**, Citalopram 59859-58-4, Femoxetine 59905-71-4, ORG 6582 60719-82-6, Alaproclate 61655-58-1 61718-82-9, Fluvoxamine maleate 61869-08-7, Paroxetine 63638-91-5, Brofaromine 63758-79-2, LM 5008 64022-27-1, MK-212 64584-34-5, DOI 66104-23-2, Pergolide mesylate 66834-24-0, Cyanimipramine 67010-10-0, N-Acetyl-5-hydroxy-L-tryptophan 71320-77-9, Moclobemide 72575-45-2, RU 25591 72807-01-3, WY 25093 77372-73-7, DU 24565 78950-78-4, 8-OH-DPAT 79559-97-0, Sertraline hydrochloride 79617-96-2, Sertraline 83366-66-9, Nefazodone 86248-47-7 86248-49-9 93413-69-5, Venlafaxine 98409-88-2 98409-92-8 98409-94-0 98409-95-1 98409-96-2 98409-97-3 98409-98-4 98409-99-5 98410-01-6 98410-02-7 98410-05-0 98410-07-2 98410-08-3 98410-09-4 98410-11-8 98410-12-9 98410-13-0 98410-15-2 98410-18-5 98410-22-1 98410-23-2 98410-26-5 98410-27-6 99300-78-4, Effexor 103121-72-8 103628-46-2, Sumatriptan 106650-56-0, Sibutramine 107008-28-6, Ru 24969 107903-01-5 109028-09-3, CGS 12066 114249-74-0 114249-75-1 114249-76-2 114249-77-3 114249-78-4 114249-79-5 114249-80-8 114249-81-9 114249-83-1 158942-04-2, SB 206553 169675-09-6, Ro 60-0175 170493-63-7, Ro 60-0332 210821-63-9, Org 12962 352202-56-3 352202-68-7 352202-81-4 352202-82-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**composition** for treatment of stress using serotoninergic drugs or

prodrugs)
IT 50-67-9, Serotonin, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)
(stimulation of synthesis of; **composition** for treatment of stress using serotoninergic drugs or prodrugs)

L84 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:52489 HCAPLUS
DOCUMENT NUMBER: 135:262118
TITLE: Pharmacokinetic comparison of oral solution and tablet formulations of citalopram: a single-dose, randomized, crossover study
AUTHOR(S): Gutierrez, Marcelo M.; Abramowitz, Wattanaporn
CORPORATE SOURCE: Forest Laboratories, Inc, New York, NY, USA
SOURCE: Clinical Therapeutics (**2000**), 22(12), 1525-1532
CODEN: CLTHDG; ISSN: 0149-2918
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **59729-33-8**, Citalopram
RL: **BPR (Biological process)**; BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(pharmacokinetic comparison of oral solution and tablet formulations of citalopram)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Background: Citalopram tablets fulfill most dosing needs in the treatment of depression, but some patients may have difficulty swallowing tablets and thus may be less likely to comply with their medication regimen. A liquid formulation of citalopram could be beneficial for such patients. Objective: This study was undertaken to compare the pharmacokinetic profiles of oral solution and tablet formulations of citalopram in healthy volunteers. Methods: In this open-lable, single-dose, randomized, crossover, bioequivalence study, healthy volunteers alternately received one 60-mg dose of citalopram as an oral solution (10 mg/5 mL) and one 60-mg dose as a tablet. Doses were separated by a 14-day interval. Results: Of 24 subjects enrolled (mean age 27 yr), 24 (16 men and 8 women) received the citalopram oral solution and 23 (15 men and 8 women) received the tablet; 1 subject discontinued before receiving the tablet. Citalopram was rapidly absorbed, with peak plasma concns. occurring at 4 h with both formulations. The rate and extent of absorption were similar between the 2 formulations, and no statistically significant differences were observed in half-life or oral clearance between formulations. Similarly, the pharmacokinetic profile for demethylcitalopram (the major metabolite of citalopram) did not differ between the 2 formulations. Both formulations were well tolerated, with no serious adverse events reported. Conclusion:

The oral solution and tablet formulations of citalopram 60 mg were determined to be bioequivalent in this population.

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT **Drug delivery systems**
(solns., oral; pharmacokinetic comparison of oral solution and **tablet** formulations of citalopram)

IT **Drug delivery systems**
(**tablets**; pharmacokinetic comparison of oral solution and **tablet** formulations of citalopram)

IT **59729-33-8**, Citalopram
RL: **BPR (Biological process)**; BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(pharmacokinetic comparison of oral solution and tablet formulations of citalopram)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L84 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:190921 HCAPLUS
DOCUMENT NUMBER: 132:241949
TITLE: Pharmaceutical **compositions** containing NAD 299 and citalopram
INVENTOR(S): Evenden, John; Thorberg, Seth-Olov
PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

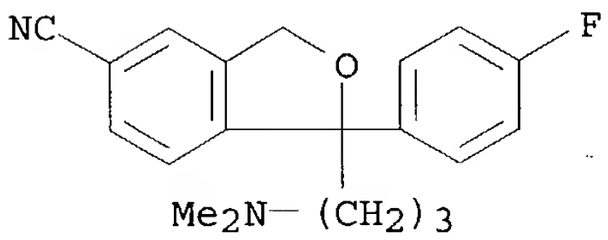
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015219	A1	20000323	WO 1999-SE1598	19990913 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2342585	AA	20000323	CA 1999-2342585	19990913 <--
AU 9963781	A1	20000403	AU 1999-63781	19990913 <--
BR 9913765	A	20010605	BR 1999-13765	19990913 <--
EP 1121119	A1	20010808	EP 1999-951320	19990913 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100769	T2	20011121	TR 2001-200100769	19990913 <--
JP 2002524509	T2	20020806	JP 2000-569803	19990913 <--
EE 200100156	A	20020815	EE 2001-156	19990913 <--
ZA 2001001951	A	20020610	ZA 2001-1951	20010308 <--
NO 2001001313	A	20010516	NO 2001-1313	20010315 <--

PRIORITY APPLN. INFO.: SE 1998-3157 A 19980916 <--
WO 1999-SE1598 W 19990913 <--

IT **59729-33-8**, Citalopram

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing NAD 299 and citalopram)

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A pharmaceutical composition comprising a first component (a) which is (R)-3-N,N -dicyclobutylamino-8-fluoro-3,4-dihydro-2-H-1-benzopyran-5-carboxamide hydrogen-(2R,3R)-tartrate monohydrate (NAD 299) and a second component (b) which is citalopram, as the racemate or an enantiomer thereof in the form of its free base, or a pharmaceutically acceptable salt and/or solvate thereof, the preparation thereof, pharmaceutical formulations containing said composition, use of and a method of treatment of affective disorders such as mood disorders and anxiety disorders with said composition as well as a kit containing said composition are disclosed. S.c. administration of 0.3 mg/kg NAD 299 60 min after injection of 5 mg/kg citalopram to rats strongly potentiated the 5-HT elevating action of citalopram vs. controls. A pharmaceutical tablet contained NAD 299 5, citalopram 20, microcryst. cellulose 100, corn starch 40, povidone 4, water 50, sodium starch glycolate 8, and magnesium stearate 1 mg.

IC ICM A61K031-35
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT Bladder
(incontinence; pharmaceutical **compns.** containing NAD 299 and citalopram)

IT Mental disorder
(mood-affecting; pharmaceutical **compns.** containing NAD 299 and citalopram)

IT 5-HT antagonists
Antidepressants
Anxiolytics
(pharmaceutical **compns.** containing NAD 299 and citalopram)

IT **Drug delivery systems**
(**tablets**; pharmaceutical **compns.** containing NAD 299 and citalopram)

IT **59729-33-8**, Citalopram 128196-01-0 208516-87-4, Nad 299
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing NAD 299 and citalopram)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

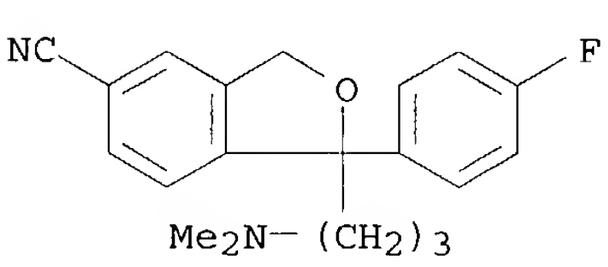
L84 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:753081 HCAPLUS
DOCUMENT NUMBER: 131:346552
TITLE: Combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression

INVENTOR(S): Michelson, David; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959593	A1	19991125	WO 1999-US10092	19990510 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332253	AA	19991125	CA 1999-2332253	19990510 <--
AU 9938912	A1	19991206	AU 1999-38912	19990510 <--
EP 1077704	A1	20010228	EP 1999-921795	19990510 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002515435	T2	20020528	JP 2000-549258	19990510 <--

PRIORITY APPLN. INFO.:
US 1998-86268P P 19980521 <--
WO 1999-US10092 W 19990510 <--

IT **59729-33-8**, Citalopram
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression with reduced side effects)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB The present invention provides a method for treating a patient suffering from depression, comprising administering to said patient an effective amount of a first component which is a 5-HT3 receptor antagonist, in combination with an effective amount of a second component which is a serotonin reuptake inhibitor wherein improvement in sexual dysfunction and/or reduction in gastrointestinal side effects is recognized. Various formulations were prepared E.g., a tablet was prepared using zatosetron 10, fluoxetine HCl 10, microcryst. cellulose 275, fumed silica 10, and stearic acid 5 mg, resp.

IC ICM A61K031-55
ICS A61K031-44; A61K031-415; A61K031-445; A61K031-34; A61K031-15; A61K031-135

CC 1-11 (Pharmacology)

Section cross-reference(s): 63

IT Drug delivery systems
(**capsules**; **compns.** for combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression)

IT Drug delivery systems
(injections, i.v.; **compns.** for combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression)

IT Drug delivery systems
(suppositories; **compns.** for combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression)

IT Drug delivery systems
(suspensions; **compns.** for combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression)

IT **Drug delivery systems**
(**tablets**; **compns.** for combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression)

IT 40796-97-2, Bemesetron 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine
56296-78-7, Fluoxetine hydrochloride **59729-33-8**, Citalopram
61869-08-7, Paroxetine 79617-96-2, Sertraline 89565-68-4, Tropisetron
92623-85-3, Milnacipran 93413-69-5, Venlafaxine 99614-02-5,
Ondansetron 109889-09-0, Granisetron 116539-59-4, Duloxetine
123482-22-4, Zatosetron 129299-90-7, FK 1052 132539-06-1, Olanzapine
132907-72-3, YM 060
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression with reduced side effects)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

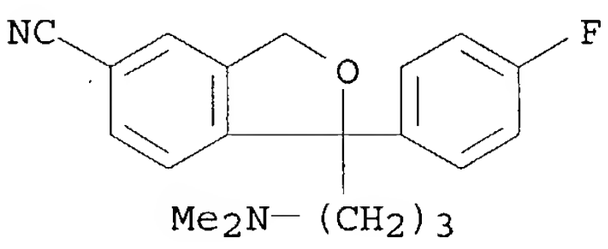
L84 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:282100 HCAPLUS
DOCUMENT NUMBER: 130:316651
TITLE: Synergistic pharmaceutical **compositions** containing moxonidine
INVENTOR(S): Perry, Kenneth Wayne
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920279	A1	19990429	WO 1998-US21418	19981009 <--

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2306233	AA	19990429	CA 1998-2306233	19981009	<--
AU 9896928	A1	19990510	AU 1998-96928	19981009	<--
EP 919234	A2	19990602	EP 1998-308225	19981009	<--
EP 919234	A3	19990825			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
ZA 9809251	A	20000410	ZA 1998-9251	19981009	<--
US 6066643	A	20000523	US 1998-169369	19981009	<--
JP 2001520195	T2	20011030	JP 2000-516676	19981009	<--
PRIORITY APPLN. INFO.:			US 1997-62282P	P 19971017	<--
			WO 1998-US21418	W 19981009	<--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synergistic pharmaceutical **compns.** containing moxonidine)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A method for producing a potentiating effect on a therapeutic action of an agent which is selected from a serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitors, both a serotonin and norepinephrine re-uptake inhibitor, and an atypical antidepressant in a warm blooded mammal, comprises administering to said mammal an effective amount of moxonidine, or a pharmaceutically acceptable salt thereof. A tablet contained moxonidine 0.300, lactose 95.700, povidone 0.700, crospovidone 3.000, magnesium stearate 0.300, hydroxypropyl Me cellulose 1.300, Et cellulose 1.200, PEG 0.250, talc 0.975, red ferric oxide 0.025, and titanium dioxide 1.250 mg. Moxonidine at 0.2 mg twice daily when combined with 20 mg fluoxetine daily had synergistic effects in patients suffering major depression.

IC ICM A61K031-505
ICS A61K031-135

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT Appetite
(bulimia; synergistic pharmaceutical **compns.** containing moxonidine)

IT Bladder
(incontinence; synergistic pharmaceutical **compns.** containing moxonidine)

IT Mental disorder
(obsession-compulsion; synergistic pharmaceutical **compns.** containing moxonidine)

IT Ovarian cycle
(premenstrual syndrome; synergistic pharmaceutical **compns.** containing moxonidine)

IT Antidepressants
(synergistic pharmaceutical **compns.** containing moxonidine)

IT **Drug delivery systems**
(**tablets**; synergistic pharmaceutical **compns.** containing

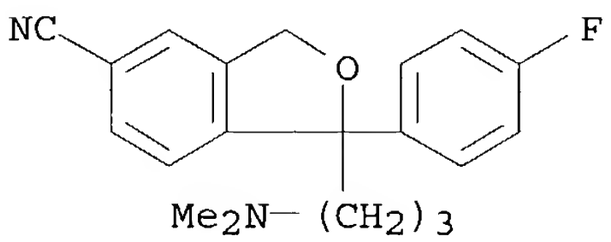
moxonidine)
IT 50-67-9, Serotonin, biological studies 51-41-2, Norepinephrine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(re-uptake inhibitors; synergistic pharmaceutical **compns.** containing moxonidine)
IT 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine **59729-33-8**, Citalopram 61869-08-7, Paroxetine 71620-89-8, Reboxetine 75438-57-2, Moxonidine 79617-96-2, Sertraline 83015-26-3, Tomoxetine 92623-85-3, Milnacipran 93413-69-5, Venlafaxine 116539-59-4, Duloxetine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synergistic pharmaceutical **compns.** containing moxonidine)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L84 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:81568 HCAPLUS
DOCUMENT NUMBER: 130:130004
TITLE: Pharmaceutical **compositions** containing selective serotonin re-uptake inhibitors for the treatment and prevention of cardiac disorders using
INVENTOR(S): Jenner, Paul Norman
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903469	A1	19990128	WO 1998-GB2073	19980714 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9883494	A1	19990210	AU 1998-83494	19980714 <--
AU 739466	B2	20011011		
EP 996445	A1	20000503	EP 1998-933796	19980714 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI			
BR 9811004	A	20000919	BR 1998-11004	19980714 <--
TR 200000090	T2	20000921	TR 2000-200000090	19980714 <--
JP 2001510155	T2	20010731	JP 2000-502768	19980714 <--
NZ 502201	A	20011221	NZ 1998-502201	19980714 <--
NO 2000000169	A	20000113	NO 2000-169	20000113 <--
US 6372763	B1	20020416	US 2000-462854	20000331 <--
PRIORITY APPLN. INFO.:			GB 1997-14841 A	19970714 <--
			WO 1998-GB2073 W	19980714 <--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing selective serotonin re-uptake

inhibitors for treatment and prevention of cardiac disorders using)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A method for treating and/or preventing cardiac disorders in human or non-human animals comprise administering an effective, non-toxic amount of a serotonin re-uptake inhibitor (SSRI) or a pharmaceutically acceptable salt thereof. A pharmaceutical tablet contained paroxetine hydrochloride hemihydrate 22.88, dibasic calcium phosphate dihydrate 244.12, hydroxypropyl methylcellulose 15.00, sodium starch glycollate 15.00, and magnesium stearate 3.00 mg. The rate of myocardial infarction for patients who were taking SSRI over 4 yr period was 0.0204 as compared to 0.0226 events/patients year exposure for the general population, showing the patients taking SSRI were statistically less likely to develop a myocardial infarction than those who did not.
IC ICM A61K031-445
ICS A61K031-135
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
IT Heart, disease
(pharmaceutical **compns.** containing selective serotonin re-uptake inhibitors for treatment and prevention of cardiac disorders using)
IT **Drug delivery systems**
(**tablets**; pharmaceutical **compns.** containing selective serotonin re-uptake inhibitors for treatment and prevention of cardiac disorders using)
IT 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine **59729-33-8**, Citalopram 78246-49-8, Paroxetine hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing selective serotonin re-uptake inhibitors for treatment and prevention of cardiac disorders using)
IT 50-67-9, Serotonin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(re-uptake inhibitors; pharmaceutical **compns.** containing selective serotonin re-uptake inhibitors for treatment and prevention of cardiac disorders using)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L84 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:744954 HCAPLUS
DOCUMENT NUMBER: 130:17239
TITLE: Pharmaceutical **composition** and method combining an antidepressant with an NMDA receptor antagonist, for treating neuropathic pain
INVENTOR(S): Caruso, Frank S.
PATENT ASSIGNEE(S): Algos Pharmaceutical Corp., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2

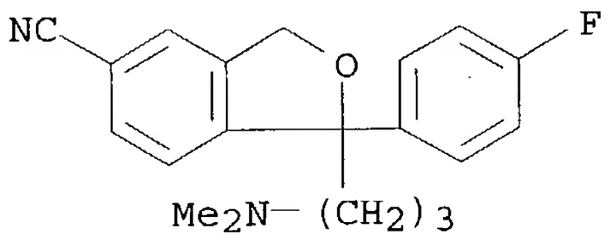
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850044	A1	19981112	WO 1998-US9253	19980506 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9874728	A1	19981127	AU 1998-74728	19980506 <--
EP 980247	A1	20000223	EP 1998-922115	19980506 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001527554	T2	20011225	JP 1998-548451	19980506 <--
US 2002035105	A1	20020321	US 2001-966975	20010928 <--

PRIORITY APPLN. INFO.:

US 1997-45900P	P	19970507 <--
WO 1998-US9253	W	19980506 <--
US 1999-434907	A3	19991105 <--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB The neuropathic pain alleviating effectiveness of an antidepressant is significantly potentiated by administering the antidepressant prior to, with or following the administration of a nontoxic NMDA receptor antagonist. A pharmaceutical **capsule** contained chlorimipramine hydrochloride 25, and dextromethorphan hydrobromide 30 mg.
IC ICM A61K031-645
ICS A61K031-485; A61K031-42; A61K031-135; A61K031-55; A61K031-495
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
ST pharmaceutical antidepressant NMDA receptor antagonist pain; **capsule** pharmaceutical chlorimipramine dextromethorphan pain
IT Glutamate antagonists
(NMDA antagonists; pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)
IT Drug delivery systems
(**capsules**; pharmaceutical **composition** and method

combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)

IT Drug delivery systems
(injections, i.m.; pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)

IT Analgesics
Antipsychotics
Anxiolytics
Narcotics
(pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)

IT **Drug delivery systems**
(**tablets**; pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)

IT Antidepressants
(tetracyclic; pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)

IT Antidepressants
(tricyclic; pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)

IT 9001-66-5
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)

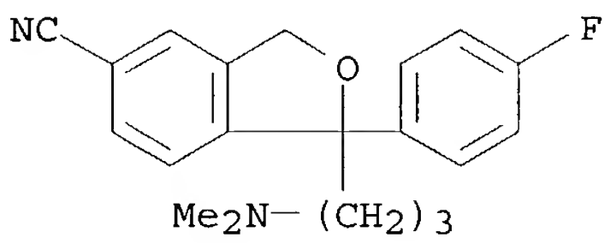
IT 50-33-9, Phenylbutazone, biological studies 50-78-2, Aspirin 53-86-1, Indomethacin 57-27-2, Morphine, biological studies 57-37-4, Benactyzine hydrochloride 57-53-4, Meprobamate 58-25-3, Chlordiazepoxide 58-28-6, Desipramine hydrochloride 58-39-9, Perphenazine 59-63-2, Isocarboxazid 61-68-7, Mefenamic acid 76-42-6, Oxycodone 76-57-3, Codeine 77-07-6, Levorphanol 103-90-2, Acetaminophen 113-52-0, Imipramine hydrochloride 125-28-0, Dihydrocodeine 125-29-1, Hydrocodone 125-69-9, Dextromethorphan hydrobromide 125-71-3, Dextromethorphan 125-73-5, Dextrorphan 156-51-4, Phenelzine sulfate 303-49-1 521-78-8, Trimipramine maleate 549-18-8, Amitriptylinehydrochloride 644-62-2, Meclofenamic acid 768-94-5, Amantadine 894-71-3, Nortriptyline hydrochloride 1225-55-4, Protriptyline hydrochloride 1229-29-4, Doxepine hydrochloride 3589-21-7, Trimipramine hydrochloride 5104-49-4, Flurbiprofen 10075-24-8, Imipramine pamoate 10347-81-6, Maprotiline hydrochloride 13492-01-8, Tranylcypromine sulfate 14028-44-5, Amoxapine 15307-86-5, Diclofenac 15687-27-1, Ibuprofen 17321-77-6, Clomipramine hydrochloride 19982-08-2, Memantine 21256-18-8, Oxaprozin 22071-15-4, Ketoprofen 22204-53-1, Naproxen 22494-27-5, Flufenisal 22494-42-4, Diflunisal 25332-39-2, Trazodone hydrochloride 26171-23-3, Tolmetin 27203-92-5, Tramadol 29679-58-1, Fenoprofen 31677-93-7, Bupropion hydrochloride 33369-31-2, Zomepirac 36322-90-4, Piroxicam 36330-85-5, Fenbufen 38194-50-2, Sulindac 41340-25-4, Etodolac 42924-53-8, Nabumetone 52371-26-3D, isomers 52371-27-4 56296-78-7, Fluoxetine hydrochloride **59729-33-8**, Citalopram 74103-06-3, Ketorolac 78246-49-8, Paroxetine hydrochloride 79559-97-0, Sertraline hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **composition** and method combining antidepressant

with NMDA receptor antagonist, for treating neuropathic pain)
IT 50-67-9, Serotonin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(uptake inhibitors; pharmaceutical **composition** and method combining antidepressant with NMDA receptor antagonist, for treating neuropathic pain)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L84 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402481 HCAPLUS
DOCUMENT NUMBER: 129:19676
TITLE: Pharmaceutical **compositions** for the treatment of depressive disorders
INVENTOR(S): Medjad, Nadia; Billardon, Martine
PATENT ASSIGNEE(S): UCB, S.A., Belg.
SOURCE: Pat. Specif. (Petty) (Aust.), 15 pp.
CODEN: AUXXDN
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 686084	B3	19980129	AU 1997-27539	19970626 <--
US 5747494	A	19980505	US 1996-672920	19960628 <--
NZ 328198	A	20000428	NZ 1997-328198	19970627 <--

PRIORITY APPLN. INFO.: US 1996-672920 A 19960628 <--
IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxyzine and serotonin uptake inhibitor combination for treating depressive disorder with less side effects)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A method for treating a depressive disorder comprises administering to a patient in need thereof a therapeutically effective amount of a combination (i) hydroxyzine, an individual optical isomer thereof, or a pharmaceutically acceptable salt thereof and (ii) at least one therapeutic substance which is a serotonin uptake inhibitor, an individual optical isomer thereof or a pharmaceutically acceptable salt thereof, the therapeutically effective amount being such that the depressive disorder is treated while avoiding the nervousness, anxiety, agitation and sleep disorders associated with treatments using serotonin uptake inhibitors, and avoiding at the same time the loss of therapeutic effect observed when treatment with the classic association of serotonin uptake inhibitors and benzodiazepines is used. A tablet contained fluoxetine·HCl 10, hydroxyzine·2HCl 25, lactose 200, and Mg stearate 1 mg.

Antidepressive effects of the combination were demonstrated with rats.

IC ICM A61K031-495
ICS A61K031-135; A61K031-445

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT **Drug delivery systems**
(**tablets**; hydroxyzine and serotonin uptake inhibitor combination for treating depressive disorder with less side effects)

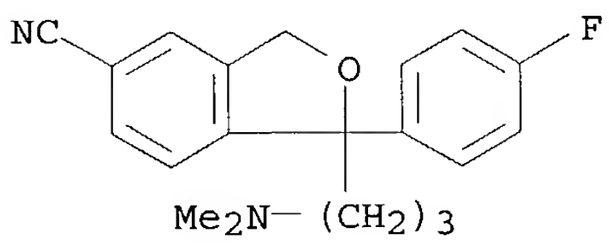
IT 68-88-2, Hydroxyzine 2192-20-3, Hydroxyzine dihydrochloride 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 56296-78-7, Fluoxetine hydrochloride **59729-33-8**, Citalopram 61869-08-7, Paroxetine 63758-79-2, Indalpine 79617-96-2, Sertraline 112922-55-1, Cericlamine 178629-77-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxyzine and serotonin uptake inhibitor combination for treating depressive disorder with less side effects)

L84 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:204419 HCAPLUS
DOCUMENT NUMBER: 128:261968
TITLE: Pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses
INVENTOR(S): Bymaster, Franklin Porter; Perry, Kenneth Wayne; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 830864	A1	19980325	EP 1997-307375	19970922 <--
EP 830864	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ZA 9707967	A	19990304	ZA 1997-7967	19970904 <--
WO 9811897	A1	19980326	WO 1997-US15874	19970909 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744112	A1	19980414	AU 1997-44112	19970909 <--
AU 719033	B2	20000504		
BR 9711530	A	19990824	BR 1997-11530	19970909 <--
CN 1230886	A	19991006	CN 1997-198113	19970909 <--
NZ 334168	A	20000929	NZ 1997-334168	19970909 <--
JP 2001503031	T2	20010306	JP 1998-514717	19970909 <--
EP 1256345	A1	20021113	EP 2002-16238	19970922 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO, AL				
AT 231724	E	20030215	AT 1997-307375	19970922 <--

ES 2191152	T3	20030901	ES 1997-307375		19970922 <--
US 6147072	A	20001114	US 1997-935872		19970923 <--
HK 1009755	A1	20031024	HK 1998-110801		19980921 <--
NO 9901381	A	19990322	NO 1999-1381		19990322 <--
KR 2000048518	A	20000725	KR 1999-702422		19990322 <--
PRIORITY APPLN. INFO.:			US 1996-26884P	P	19960923 <--
			WO 1997-US15874	W	19970909 <--
			EP 1997-307375	A3	19970922 <--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Pharmaceutical compns. containing combination of atypical antipsychotics and serotonin reuptake inhibitors are useful for the treatment of psychoses. Form II olanzapine (I) polymorph was prepared by heating I at 76° for 30 min in Et acetate and crystallization Hard gelatin **capsules** contained I 25, fluoxetin hydrochloride 20, starch 150, and magnesium stearate 10 mg.

IC ICM A61K031-55
ICS A61K031-135; A61K031-445; A61K031-505; A61K031-38; A61K031-495; A61K031-415
ICI A61K031-55, A61K031-135; A61K031-55, A61K031-445; A61K031-505, A61K031-38; A61K031-415, A61K031-38; A61K031-495, A61K031-38
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 28
IT Drug delivery systems
(**capsules**; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)
IT Drug delivery systems
(injections, i.v.; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)
IT Mental disorder
(mania; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)
IT Drug delivery systems
(oral; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)
IT Antidepressants
Antipsychotics
Anxiolytics
Schizophrenia

(pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

IT Drug delivery systems
(sprays; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

IT Drug delivery systems
(suppositories; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

IT Drug delivery systems
(suspensions; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

IT **Drug delivery systems**
(**tablets**; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

IT 5786-21-0, Clozapine 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 56296-78-7, Fluoxetine hydrochloride **59729-33-8**, Citalopram 61869-08-7, Paroxetine 79617-96-2, Sertraline 92623-85-3, Milnacipran 93413-69-5, Venlafaxine 106266-06-2, Risperidone 106516-24-9, Sertindole 111974-69-7, Quetiapine 116539-59-4, Duloxetine 136434-34-9, Duloxetine hydrochloride 146939-27-7, Ziprasidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

IT 196875-05-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

IT 50-67-9, Serotonin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(reuptake inhibitors; pharmaceutical **composition** containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of psychoses)

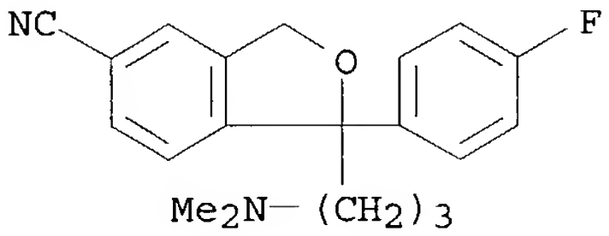
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L84 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:342125 HCAPLUS
DOCUMENT NUMBER: 126:321097
TITLE: 5HT-1a and 5HT-2 antagonists for treating side-effects of serotonin re-uptake inhibitors
INVENTOR(S): Dourish, Colin Trevor; Fletcher, Allan; Mitchell, Paul John
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: Brit. UK Pat. Appl., 30 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

GB 2303303	A1	19970219	GB 1996-14578	19960711 <--
GB 2303303	B2	19990915		

PRIORITY APPLN. INFO.: GB 1995-14384 19950713 <--
OTHER SOURCE(S): MARPAT 126:321097
IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **PEP (Physical, engineering or chemical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(5HT-1a and 5HT-2 antagonists for treating side-effects of serotonin re-uptake inhibitors)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Side effects of serotonin re-uptake inhibitors (SRIs), e.g. fluoxetine which are used to treat depression may be prevented or reduced by administering a 5-HT1A or 5-HT2 antagonist, particularly, N-tert-butyl-3-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylpropanamide, 2,3,4,5,6,7-hexahydro-1-[4[1-[4-(2-methoxyphenyl)-piperazinyl]]-2-phenyl]butanoyl-1H-azepine or N-[2[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(2-pyridinyl) cyclohexanecarboxamide. Onset of the therapeutic effects of the SRI's is also hastened by administration of the above antagonists, e.g. in the form of tablets and **capsules.**
IC ICM A61K031-495
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
IT **Drug delivery systems**
(**tablets**; 5HT-1a and 5HT-2 antagonists for treating side-effects of serotonin re-uptake inhibitors)
IT 303-49-1, Clomipramine 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine **59729-33-8**, Citalopram 59859-58-4, Femoxetine 61869-08-7, Paroxetine 66834-24-0, Cianopramine 79617-96-2, Sertraline 86811-09-8, Litoxetine 112922-55-1, Ericlamine 126924-38-7, Seproxetine 133025-23-7 133025-53-3 142685-17-4 157037-84-8 162760-96-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **PEP (Physical, engineering or chemical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(5HT-1a and 5HT-2 antagonists for treating side-effects of serotonin re-uptake inhibitors)

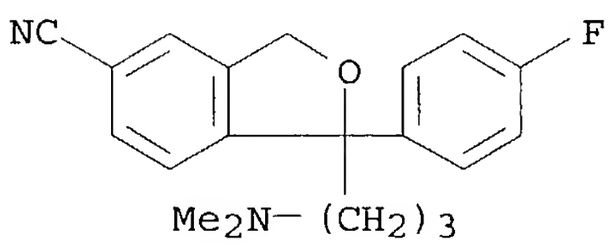
L84 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:90421 HCAPLUS
DOCUMENT NUMBER: 126:99331
TITLE: Use of tachykinin antagonists in combination with serotonin agonists or serotonin reuptake inhibitors for the manufacture of a medicament for the treatment of common cold or allergic rhinitis
INVENTOR(S): Johnson, Kirk Willis; Phebus, Lee Alan

PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 747049	A1	19961211	EP 1996-304183	19960606 <--
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
WO 9641633	A1	19961227	WO 1996-US8336	19960603 <--
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9659661	A1	19970109	AU 1996-59661	19960603 <--

PRIORITY APPLN. INFO.: US 1995-74P P 19950608 <--
WO 1996-US8336 W 19960603 <--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Methods are provided for the treatment or amelioration of the symptoms of the common cold or allergic rhinitis which comprise administering to a mammal in need thereof a combination of a tachykinin receptor antagonist and either a serotonin agonist or a selective serotonin reuptake inhibitor. The administration may be concurrent or sequential, with either of the two activities being administered first. Compound preparation and
active-ingredient formulations are included.
IC ICM A61K031-40
ICS A61K031-415; A61K031-44; A61K031-495
CC 1-12 (Pharmacology)
Section cross-reference(s): 28, 63
IT Tachykinin receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(NK1; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)
IT Tachykinin receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(NK2; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Nose
(allergic rhinitis; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Drug delivery systems
(**capsules**; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Drug delivery systems
(injections, i.v.; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Drug delivery systems
Drug delivery systems
(**powders**, inhalants; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Drug delivery systems
(suppositories; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Drug delivery systems
(suspensions; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT **Drug delivery systems**
Drug delivery systems
(**tablets**, buccal; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT **Drug delivery systems**
(**tablets**, sublingual; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT **Drug delivery systems**
(**tablets**; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT 5-HT agonists
Common cold
Drug delivery systems
(tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Tachykinin receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

(Biological study); PROC (Process)
(tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT Drug delivery systems
(topical; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT 108826-79-5P 170508-01-7P 174634-02-7P 174634-03-8P 174634-04-9P
175460-96-5P 175460-97-6P 175460-98-7P 175460-99-8P 182564-47-2P
185896-96-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT 76-83-5, Triphenylmethyl chloride 96-32-2, Methyl bromoacetate
108-24-7, Acetic anhydride 153-94-6, D-Tryptophan 4897-50-1,
4-(Piperidin-1-yl)piperidine 6850-57-3, 2-Methoxybenzylamine
17766-28-8 149669-43-2 176661-71-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT 50-67-9, Serotonin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(reuptake inhibitors; tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

IT 167678-33-3P 170566-84-4P 170567-08-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

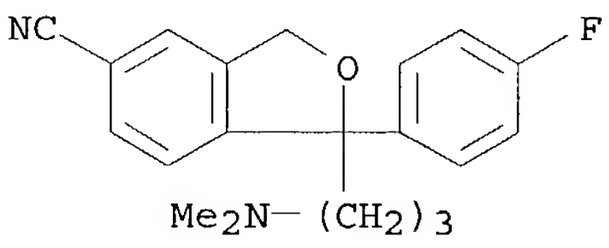
IT 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 56775-88-3, Zimelidine
59729-33-8, Citalopram 59859-58-4, Femoxetine 61869-08-7,
Paroxetine 63758-79-2, Indalpine 79617-96-2, Sertraline 103628-46-2,
Sumatriptan 134731-58-1, (±)-CP 96345 135911-02-3, RP 67580
139264-17-8 159672-36-3 175713-92-5 176661-70-4 182317-93-7
185896-95-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tachykinin antagonist combination with serotonin agonist or serotonin reuptake inhibitor for treatment of common cold or allergic rhinitis, compound preparation, and pharmaceutical **formulations**)

L84 ANSWER 22 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:428601 HCAPLUS
DOCUMENT NUMBER: 125:67810
TITLE: **Formulations** for potentiation of drug responses by a serotonin S1A receptor antagonist
INVENTOR(S): Oguiza, Juan Ignacio; Wong, David Taiwai
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW

DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 714663	A2	19960605	EP 1995-308407	19951125 <--
EP 714663	A3	19970115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2163840	AA	19960529	CA 1995-2163840	19951127 <--
JP 08208471	A2	19960813	JP 1995-307263	19951127 <--

PRIORITY APPLN. INFO.: US 1994-345672 A 19941128 <--
OTHER SOURCE(S): MARPAT 125:67810
IT **85118-27-0**
RL: **PEP (Physical, engineering or chemical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(potentiation of drug response by a serotonin 1A receptor antagonist)
RN 85118-27-0 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

AB The power of citalopram, fluvoxamine and paroxetine to increase the availability of serotonin, norepinephrine and dopamine, **particularly** serotonin, is augmented by administration in combination with a drug which is a serotonin 1A receptor antagonist. Thus, hard gelatin **capsules** may be prepared which contain citalopram HCl 20 mg, pindolol 30 mg, dried starch 200 mg, Mg stearate 10 mg. Combinations of the invention are suggested for treatment of depression, obsessive-compulsive disorders, obesity, bulimia, alcoholism tobacco abuse, panic disorder, dementia of aging, premenstrual syndrome, erectile difficulty and premature ejaculation, and other diseases (no data).
IC ICM A61K045-06
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
ST serotonin 1A receptor antagonist potentiation **formulation**
IT **Pharmaceutical dosage forms**
(**capsules**, potentiation of drug response by a serotonin 1A receptor antagonist)
IT **Pharmaceutical dosage forms**
(**injections**, i.v., potentiation of drug response by a serotonin 1A receptor antagonist)
IT **Pharmaceutical dosage forms**
(**sprays**, potentiation of drug response by a serotonin 1A receptor antagonist)

IT **Pharmaceutical dosage forms**
(**suppositories**, potentiation of drug response by a serotonin 1A receptor antagonist)
IT **Pharmaceutical dosage forms**
(**suspensions**, potentiation of drug response by a serotonin 1A receptor antagonist)
IT **Pharmaceutical dosage forms**
(**tablets**, potentiation of drug response by a serotonin 1A receptor antagonist)
IT 57-11-4, Octadecanoic acid, biological studies 64-17-5, Ethanol,
biolog 5-45-6,
Propel te
749-02 Starch,
biolog -86-9,
Pindol
78246-
85118-
133025
162581 6
178629 3
178629 2
178629 9
RL: **PE**
(Thera
(Uses)
(po onist)

HCAPLUS records for some references already displayed as WPDX records (13 duplicate records)

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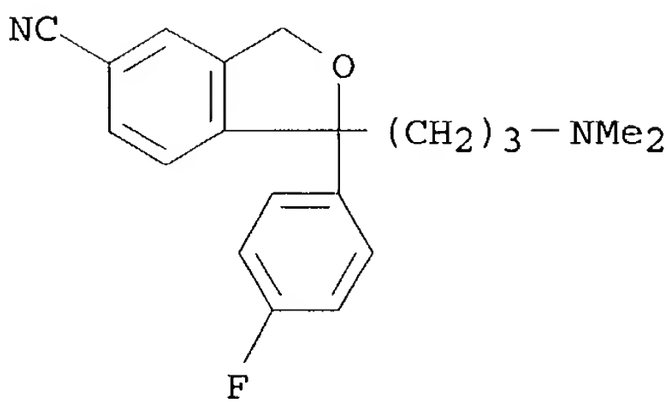
YOU HAVE RE

L85 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:648217 HCAPLUS
DOCUMENT NUMBER: 139:169352
TITLE: **Controlled release** drug delivery device incorporating microbial polysaccharide gum
INVENTOR(S): Odidi, Isa; Odidi, Amina
PATENT ASSIGNEE(S): Intellipharmaceutics Corp., Can.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6607751	B1	20030819	US 1998-169409	19981009	<--
US 2004009219	A1	20040115	US 2003-438776	20030915	<--
PRIORITY APPLN. INFO.:			US 1997-61501P P	19971010	<--
			US 1998-169409 A1	19981009	<--

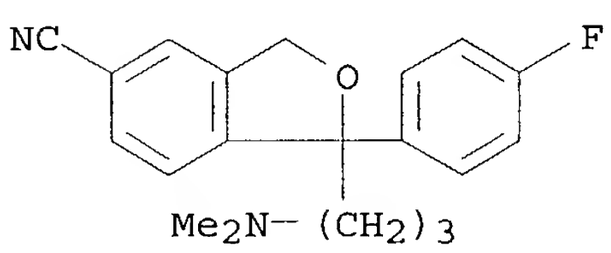
IT **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**controlled release** drug delivery device incorporating microbial polysaccharide gum)
RN 59729-32-7 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-

fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB The present invention provides a controlled release device for sustained or pulsatile delivery of pharmaceutically active substances for a predetd. period of time. This invention further provides such device in which sustained or pulsatile delivery is obtained by the unique blend and intimate mixture of pharmaceutically active substances with a microbial polysaccharide and uncrosslinked linear polymer and optionally a crosslinked polymer and/or lipophilic polymer and/or lipophilic polymer and/or saturated polyglycolyzed glyceride. The invention also provides for the manufacture of such devices and pharmaceutical compns. containing the same. Tablets contained naproxen sodium 55, microcryst. cellulose 10, xanthan gum 10, Hydroxypropyl Me cellulose-K100M 18, Carbopol-971P 5, talc 1, and Mg stearate 1%.

IC ICM A61K009-22
ICS A61K009-24; A61K009-10; A61K009-16; A61K047-36
NCL 424488000; 424485000; 424468000; 424472000; 424499000; 514961000
CC 63-6 (Pharmaceuticals)
ST **controlled release** drug polysaccharide gum
IT Glycerides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C16-18; **controlled release** drug delivery device incorporating microbial polysaccharide gum)
IT Gums and Mucilages
Lubricants
(**controlled release** drug delivery device incorporating microbial polysaccharide gum)
IT Polysaccharides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**controlled release** drug delivery device incorporating microbial polysaccharide gum)
IT Drug delivery systems

(**controlled-release**; **controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crosslinked; **controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT **Drug delivery systems**
(**granules**, **controlled-release**; **controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT Glycerides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyglycolyzed; **controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT **Drug delivery systems**
(**tablets**, **controlled-release**; **controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT 51-06-9, Procainamide 57-27-2, Morphine, biological studies 57-41-0, Phenytoin 59-92-7, Levodopa, biological studies 83-98-7, Orphenadrine 90-82-4, Pseudoephedrine 92-13-7, Pilocarpine 103-90-2, Paracetamol 113-45-1, Methylphenidate 151-21-3, Sodium lauryl sulfate, biological studies 152-11-4, Verapamil hydrochloride 298-46-4, Carbamazepine 466-99-9, Hydromorphone 554-13-2, Lithium carbonate 557-04-0, Magnesium stearate 1622-61-3, Clonazepam 4291-63-8, Cladribine 6493-05-6, Pentoxifylline 7447-40-7, Potassium chloride, biological studies 7631-86-9, Silicon dioxide, biological studies 7720-78-7, Ferrous sulfate 7778-18-9, Calcium sulfate 9004-34-6D, Cellulose, ethers 9004-65-3, Hydroxypropyl methyl cellulose 10103-46-5, Calcium phosphate 11099-07-3, Glyceryl stearate 11138-66-2, Xanthan gum 14611-51-9, Selegiline 14807-96-6, Talc, biological studies 15687-27-1, Ibuprofen 18641-57-1, Compritol 888 ATO 22071-15-4, Ketoprofen 22204-53-1, Naproxen 26159-34-2, Naproxen sodium 28860-95-9, Carbidopa 28981-97-7, Alprazolam 30516-87-1, Zidovudine 33286-22-5, Diltiazem Hydrochloride 49562-28-9, Fenofibrate 50679-08-8, Terfenadine 51333-22-3, Budesonide 51384-51-1, Metoprolol 53608-75-6, Pancrelipase 55142-85-3, Ticlopidine 55985-32-5, Nicardipine 59277-89-3, Aciclovir **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram 62571-86-2, Captopril 71320-77-9, Moclobemide 72509-76-3, Felodipine 74103-06-3, Ketorolac 75330-75-5, Lovastatin 76584-70-8 77538-19-3, Glyceryl behenate 79794-75-5, Loratadine 79902-63-9, Simvastatin 81098-60-4, Cisapride 81131-70-6, Pravachol 84057-84-1, Lamotrigine 93413-69-5, Venlafaxine 106266-06-2, Risperidone 121548-04-7, Gelucire 44/14 161279-68-1, Carbopol 971P
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT 79-10-7D, Acrylic acid, polymers
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crosslinked; **controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT 329900-75-6, COX-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor; **controlled release** drug delivery device incorporating microbial polysaccharide gum)

IT 9004-34-6, Cellulose, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(microcryst.; **controlled release** drug delivery

device incorporating microbial polysaccharide gum)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L85 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:905806 HCAPLUS
DOCUMENT NUMBER: 137:389168
TITLE: Delivery of antidepressants through an inhalation route
INVENTOR(S): Rabinowitz, Joshua D.; Zaffaroni, Alejandro C.
PATENT ASSIGNEE(S): Alexza Molecular Delivery Corporation, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 31
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094232	A1	20021128	WO 2002-US15765	20020516 <--
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WO 2003026631	A1	20030403	WO 2002-US18543	20020513 <--
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EP 1392262	A1	20040303	EP 2002-741994	20020513 <--
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EP 1389095	A1	20040218	EP 2002-729255	20020516 <--
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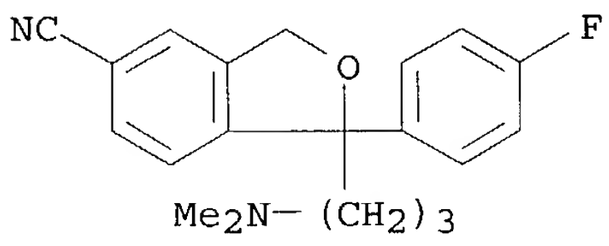
US 2004126326	A1	20040701	US 2003-734902	20031212 <--
US 2004127481	A1	20040701	US 2003-735198	20031212 <--
US 2004126327	A1	20040701	US 2003-735199	20031212 <--
US 2004127490	A1	20040701	US 2003-735495	20031212 <--
US 2004126328	A1	20040701	US 2003-735496	20031212 <--
US 2004126329	A1	20040701	US 2003-735497	20031212 <--
PRIORITY APPLN. INFO.:			US 2001-294203P P	20010524 <--

US	2001-317479P	P	20010905 <--
US	2001-345876P	P	20011109 <--
WO	2002-US18543	W	20020513
US	2002-151596	A1	20020516
US	2002-151626	A1	20020516
WO	2002-US15765	W	20020516
US	2002-152640	A1	20020520
US	2002-155373	A1	20020522
US	2002-154594	A1	20020523
US	2002-155097	A1	20020523

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(kit for delivery of antidepressants through inhalation route)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB The present invention relates to the delivery of antidepressants through an inhalation route, specifically, to aerosols containing an antidepressant that are used in inhalation therapy. An aerosol composition comprises particles containing at least 5%, preferably 10%, of an antidepressant to be delivered to a mammal through an inhalation route. A method for preparation of aerosol comprises (a) heating a composition containing an antidepressant drug to
form a vapor, and (b) allowing the vapor to cool, thereby forming a condensation aerosol comprising particles, which is inhaled by the mammal. A kit for delivering an antidepressant drug through an inhalation route to a mammal is provided comprising (a) a composition containing at least 5% of the drug, and (b) a device that forms aerosol from the composition, the device comprising (i) an element for heating the composition to form a vapor, (ii) an element allowing the vapor to cool and form an aerosol, and (iii) an element permitting the mammal to inhale the aerosol. For example, an antidepressant drug was coated on aluminum foil and the coated foil was heated using a halogen bulb to afford thermal vapor (including aerosol). The purity of aerosol was dependent on the coat thickness, i.e., a linear decrease in film thickness is associated with a linear decrease in impurities.

IC ICM A61K009-72
ICS A61K031-4525; A61K031-55; A61K031-19
CC 63-6 (Pharmaceuticals)
IT Antidepressants
Particle size
(kit for delivery of antidepressants through inhalation route)
IT 50-49-7, Imipramine 58-39-9, Perphenazine 72-69-5 99-66-1, Valproic acid 155-09-9, Tranylcypromine 303-49-1, Clomipramine 438-60-8, Protryptyline 739-71-9, Trimipramine 1668-19-5, Doxepin 10262-69-8, Maprotiline 14028-44-5, Amoxapine 19794-93-5, Trazodone 34911-55-2, Bupropion 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine **59729-33-8**, Citalopram 61869-08-7, Paroxetine 79617-96-2, Sertraline 83366-66-9, Nefazodone 85650-52-8, Mirtazapine 93413-69-5, Venlafaxine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(kit for delivery of antidepressants through inhalation route)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L85 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:797983 HCAPLUS
DOCUMENT NUMBER: 135:348880
TITLE: Pharmaceutical **composition** containing citalopram
INVENTOR(S): Liljegren, Ken; Holm, Per; Nielsen, Ole; Wagner, Sven
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001080619	A2	20011101	WO 2001-DK520	20010730 <--
WO 2001080619	A3	20020221		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2353693	C	20030722	CA 2001-2353693	20010724 <--
AU 2001079591	A5	20011107	AU 2001-79591	20010730 <--
EP 1318805	A2	20030618	EP 2001-957768	20010730 <--
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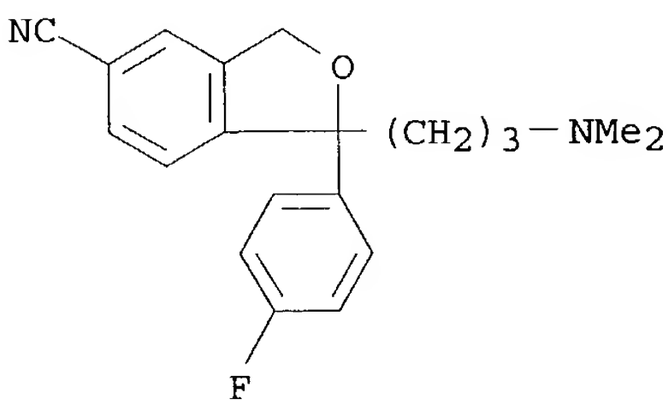
BR 2001013250	A	20030624	BR 2001-13250	20010730 <--
JP 2003531153	T2	20031021	JP 2001-577732	20010730 <--
GB 2368014	A1	20020424	GB 2001-18579	20010731 <--
GB 2368014	B2	20040623		
GB 2376233	A1	20021211	GB 2002-19820	20010731 <--
GB 2376233	B2	20030910		
GR 1004193	B2	20030324	GR 2001-100377	20010731 <--
GR 2001100377	A	20020906		
FR 2812811	A1	20020215	FR 2001-10586	20010808 <--
DE 20113195	U1	20011220	DE 2001-20113195	20010809 <--
NO 2001003891	A	20020211	NO 2001-3891	20010809 <--
DE 10139115	A1	20020328	DE 2001-10139115	20010809 <--
ES 2172481	A1	20020916	ES 2001-1877	20010809 <--
NL 1018741	C1	20020212	NL 2001-1018741	20010810 <--
BE 1013559	A6	20020305	BE 2001-537	20010810 <--
BG 107578	A	20030930	BG 2003-107578	20030221 <--

PRIORITY APPLN. INFO.:
DK 2000-1202 A 20000810 <--
DK 2000-1614 A 20001027 <--
WO 2001-DK520 W 20010730 <--
GB 2001-18579 A3 20010731 <--

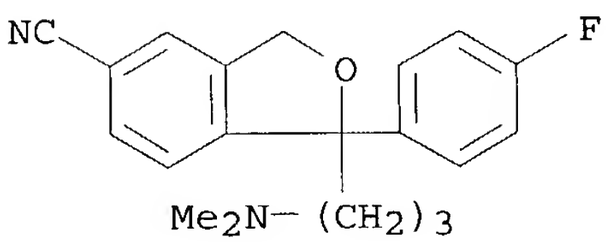
IT **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram **85118-27-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **composition** containing citalopram)
RN 59729-32-7 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)

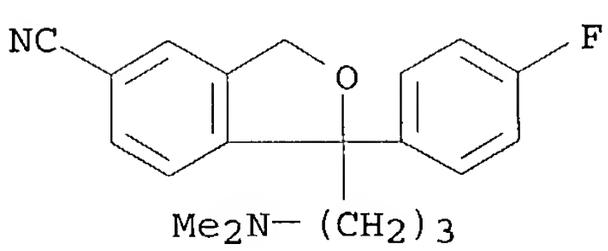


● HBr

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 85118-27-0 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

AB A solid unit dosage form comprises citalopram, which is prepared by direct compression of a mixture of citalopram base or a salt and excipients, or by filling of the mixture in a hard gelatin **capsule.** Large crystals of a pharmaceutical salt of citalopram and method for the manufacture of large crystals are also disclosed. Thus, citalopram-HBr was dissolved in a mixture of MeOH and water at 69°, the solution was cooled to 30°, seeded with the same drug crystals and kept at 30° for 24 h, whereupon it was cooled down to 10° within 1 h. The crystals were separated by filtration, washed with cold MeOH and dried. Tablets contained citalopram-HBr 20, Prosolv SMCC-90 79.5, and Mg stearate 0.5%.

ICI A61
CC 63-6 (Pharmaceuticals)

IT Drug delivery systems
(**capsules**; pharmaceutical **composition** containing citalopram)
IT **Compression**
Crushing strength
Crystallization
Friability
Particle size distribution
(pharmaceutical **composition** containing citalopram)
IT Alcohols, uses
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)
(pharmaceutical **composition** containing citalopram)
IT Carbohydrates, biological studies
Waxes
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **composition** containing citalopram)
IT **Drug delivery systems**
(**solids**; pharmaceutical **composition** containing citalopram)
IT **Drug delivery systems**
(**tablets**; pharmaceutical **composition** containing citalopram)
IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, hydrogenated; pharmaceutical **composition** containing citalopram)
IT 7631-86-9, Silica, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(colloidal; pharmaceutical **composition** containing citalopram)
IT 9004-34-6, Cellulose, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(microcryst.; pharmaceutical **composition** containing citalopram)
IT 67-56-1, Methanol, uses
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)
(pharmaceutical **composition** containing citalopram)
IT 50-70-4, Sorbitol, biological studies 50-99-7, Dextrose, biological studies 57-11-4, Stearic acid, biological studies 57-50-1, Sucrose, biological studies 63-42-3, Lactose 69-65-8, Mannitol 471-34-1, Calcium carbonate, biological studies 557-04-0 822-16-2, Sodium stearate 1592-23-0 7757-93-9, Dibasic Calcium phosphate 7758-87-4, Tribasic Calcium phosphate 7778-18-9 9005-25-8, Starch, biological studies **59729-32-7**, Citalopram hydrobromide **59729-33-8**
, Citalopram **85118-27-0** 212693-81-7, Prosolv SMCC 90
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **composition** containing citalopram)

L85 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:780683 HCAPLUS
DOCUMENT NUMBER: 135:335156
TITLE: Modified-release **formulations** containing a hypnotic agent
INVENTOR(S): Platteeuw, Johannes Jan; Van Den Heuvel, Dennie Johan Marijn; Van Dalen, Frans; Lemmens, Jacques Maria
PATENT ASSIGNEE(S): Synthon B.V., Neth.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078725	A2	20011025	WO 2001-NL299	20010412 <--
WO 2001078725	A3	20011220		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1272181	A2	20030108	EP 2001-923989	20010412 <--

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US 6638535	B2	20031028		
US 2004047908	A1	20040311	US 2003-657075	20030909 <--

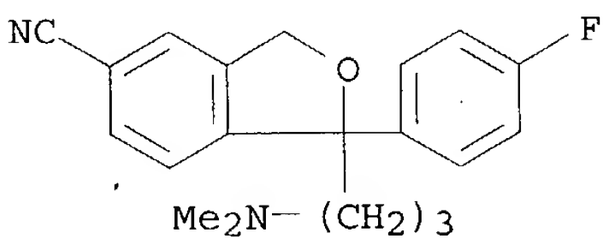
PRIORITY APPLN. INFO.:

US 2000-196939P	P	20000413	<--
WO 2001-NL299	W	20010412	<--
US 2001-833662	A3	20010413	<--

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modified-release **formulations** containing hypnotic agent)

RN 59729-33-8 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Hypnotic pharmaceutical compns. are made from pellets and exhibit a modified release. Zolpidem or a pharmaceutically acceptable salt thereof is a typical hypnotic. The pellets are preferably spherical and exhibit a dissoln. profile that includes 60% of the hypnotic agent being released from the pellet not earlier than 5 min from the start of a specified in vitro dissoln. test. Although the modified release profile can include 50 of the hypnotic agent being released not earlier than 15 min after the start of the dissoln. test, the pellet preferably does not contain a release rate controlling excipient or coating. Instead, microcryst. cellulose and the active constitute the majority of the pellet, e.g. 90 or more. Spherical pellets are also made by a convenient method that is applicable to any pharmaceutically active agent. Microcryst. cellulose 1703, zolpidem hydrochloride hydrate 189.2 g, and water 1892 mL were mixed and stirred for 15 min. Water was then removed and the resulted pellets were dried and fractionated by sieving.

IC ICM A61K031-4188
ICS A61K009-16

CC 63-6 (Pharmaceuticals)

IT Dissolution rate
Hypnotics and Sedatives
(modified-release **formulations** containing hypnotic agent)

IT **Drug delivery systems**
(**pellets**; modified-release **formulations** containing

hypnotic agent)
IT 50-35-1, Thalidomide 2809-21-4 4291-63-8, Cladribine 5630-53-5, Tibolone 5633-20-5, Oxybutynin 9004-34-6, Cellulose, biological studies 12794-10-4D, Benzodiazepine, derivs. 24584-09-6, Dexrazoxane 42399-41-7, Diltiazem 43200-80-2, Zopiclone 51803-78-2, Nimesulide 54024-22-5, Desogestrel 56180-94-0, Acarbose **59729-33-8**, Citalopram 61869-08-7, Paroxetine 68291-97-4, Zonisamide 68693-11-8, Modafinil 71620-89-8, Reboxetine 72956-09-3, Carvedilol 75330-75-5, Lovastatin 75706-12-6, Leflunomide 75887-54-6, Artemotil 76963-41-2, Nizatidine 79902-63-9, Simvastatin 80125-14-0, Remoxipride 82626-48-0, Zolpidem 85650-52-8, Mirtazapine 88150-42-9, Amlodipine 91374-21-9 93413-69-5, Venlafaxine 96829-58-2, Orlistat 99294-93-6, Zolpidem tartrate 103188-50-7 104632-26-0, Pramipexole 105816-04-4, Nateglinide 106133-20-4, Tamsulosin 106266-06-2, Risperidone 107868-30-4, Exemestane 111025-46-8, Pioglitazone 111974-69-7, Quetiapine 112809-51-5, Letrozole 113665-84-2, Clopidogrel 113806-05-6, Olopatadine 115256-11-6, Dofetilide 120014-06-4, Donepezil 122320-73-4, Rosiglitazone 124937-51-5, Tolterodine 130209-82-4, Latanoprost 132539-06-1, Olanzapine 133040-01-4, Eprosartan 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 139481-59-7, Candesartan 144034-80-0, Rizatriptan 144701-48-4, Telmisartan 146939-27-7, Ziprasidone 151319-34-5, Zaleplon 185243-69-0, Etanercept 299397-15-2 299397-16-3 299397-18-5 299397-19-6 299397-20-9 299397-23-2 299397-24-3 299397-25-4 369371-24-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modified-release **formulations** containing hypnotic agent)

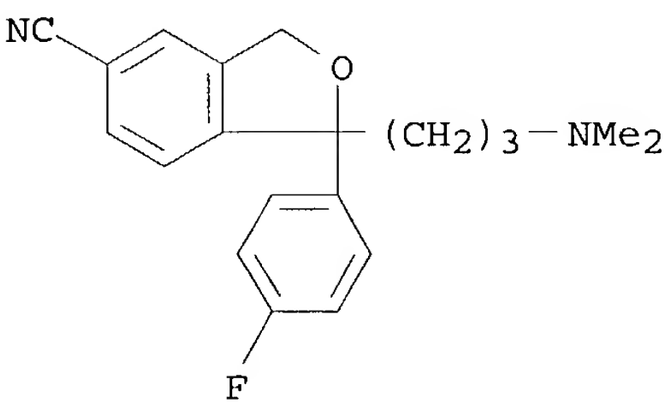
L85 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247159 HCAPLUS
DOCUMENT NUMBER: 134:271264
TITLE: Modified release dosage **form** preparation from melt granulated **compositions** containing cellulose ethers
INVENTOR(S): Elema, Michiel Onne; Holm, Per
PATENT ASSIGNEE(S): H. Lundbeck A/s, Den.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2001022941	A1	20010405	WO 2000-DK533	20000928	<--
W:	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1220658	A1	20020710	EP 2000-962256	20000928	<--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510266	T2	20030318	JP 2001-526153	20000928	<--

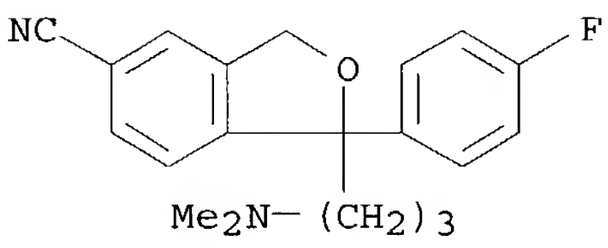
US 2002160050 A1 20021031 US 2002-106805 20020325 <--
PRIORITY APPLN. INFO.: DK 1999-1376 A 19990928 <--
WO 2000-DK533 W 20000928 <--

IT **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modified release dosage **form** preparation from melt granulated **compns.** containing cellulose ethers)

RN 59729-32-7 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)



RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Solid modified release dosage forms, prepared from melt granulated compns. comprising (A) 1 or more hydrophilic cellulose ether polymers (B) a hydrophilic melt binder and (C) a therapeutically active ingredient. Thus, a granulated composition contained citalopram-HBr 20, PEG-6000 20, Metolose 90SH-15000 40, lactose 19.5, and Mg stearate 0.5% by weight

IC ICM A61K009-16
ICS A61K009-22

CC 63-6 (Pharmaceuticals)

IT **Drug delivery systems**
(**granules**; modified release dosage **form** preparation from melt **granulated compns.** containing cellulose ethers)

IT Friability
Hardness (mechanical)
Lubricants
(modified release dosage **form** preparation from melt granulated **compns.** containing cellulose ethers)

IT Carbohydrates, biological studies
Collagens, biological studies
Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(modified release dosage **form** preparation from melt granulated **compns.** containing cellulose ethers)

IT **Drug delivery systems**
(**tablets, controlled-release**; modified release dosage **form** preparation from melt **granulated** **compns.** containing cellulose ethers)

IT 1343-88-0, Magnesium silicate 7631-86-9, Silica, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(colloidal; modified release dosage **form** preparation from melt granulated **compns.** containing cellulose ethers)

IT 63-42-3, Lactose 79-10-7D, Acrylic acid, esters, polymers 7778-18-9 7789-77-7 9000-01-5, Acacia gum 9000-69-5, Pectin 9002-18-0, Agar 9004-30-2, Carboxymethyl hydroxyethyl cellulose 9004-32-4, Carboxymethyl cellulose sodium salt 9004-34-6D, Cellulose, ethers, biological studies 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9004-67-5, Methyl cellulose 9005-25-8, Starch, biological studies 9005-32-7, Alginic acid 9005-38-3, Sodium alginate 9012-36-6, Agarose 9049-05-2, Calcium carrageenan 25322-68-3, Polyethylene glycol **59729-32-7**, Citalopram hydrobromide **59729-33-8**, Citalopram 64044-51-5, Lactose monohydrate 64603-91-4, Gaboxadol 85118-33-8, Gaboxadol hydrochloride 128196-01-0, EsCitalopram 219861-08-2, EsCitalopram oxalate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modified release dosage **form** preparation from melt granulated **compns.** containing cellulose ethers)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L85 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:137009 HCAPLUS
DOCUMENT NUMBER: 134:173051
TITLE: Methods and **compositions** for treating or preventing sleep disturbances using very low doses of cyclobenzaprine
INVENTOR(S): Iglehart, Iredell W., III
PATENT ASSIGNEE(S): Vela Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012175	A1	20010222	WO 2000-US22082	20000811 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013017	A	20020416	BR 2000-13017	20000811 <--
EP 1202722	A1	20020508	EP 2000-953996	20000811 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
GB 2368522	A1	20020508	GB 2002-2908	20000811 <--

US 6395788	B1	20020528	US 2000-637557		20000811 <--
JP 2003506484	T2	20030218	JP 2001-516521		20000811 <--
ES 2192156	A1	20030916	ES 2002-50016		20000811 <--
NZ 516749	A	20040326	NZ 2000-516749		20000811 <--
US 2001046988	A1	20011129	US 2001-893758		20010627 <--
US 6541523	B2	20030401			
ZA 2002000619	A	20030423	ZA 2002-619		20020123 <--
ZA 2002000852	A	20030430	ZA 2002-852		20020130 <--
US 2004029869	A1	20040212	US 2003-392366		20030317 <--

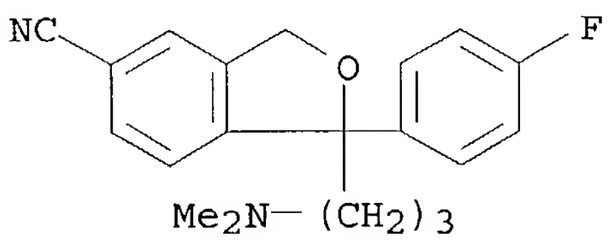
PRIORITY APPLN. INFO.:

US 1999-148881P	P	19990813 <--
US 2000-637557	A3	20000811 <--
WO 2000-US22082	W	20000811 <--
US 2001-893758	A3	20010627 <--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclobenzaprine in low dose for treating or preventing sleep disturbances, pain, fatigue, or fibromyalgia)

RN 59729-33-8 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Methods and compns. comprising a very low dose of cyclobenzaprine or metabolite thereof are provided for preventing and treating sleep disturbances and illnesses manifested with sleep dysfunction, including fibromyalgia syndrome, chronic fatigue syndrome, sleep disorders, psychogenic pain disorders or chronic pain syndromes or symptoms thereof. Also provided are methods and compns. for treating sleep disturbances, chronic pain or fatigue in humans suffering from fibromyalgia syndrome, chronic fatigue syndrome, sleep disorders, psychogenic pain disorders, chronic pain syndromes using a very low dose of cyclobenzaprine.

IC ICM A61K031-138
ICS A61P025-20

CC 1-11 (Pharmacology)
Section cross-reference(s): 63

IT Drug delivery systems
(**capsules**; cyclobenzaprine in low dose for treating or preventing sleep disturbances, pain, fatigue, or fibromyalgia)

IT **Drug delivery systems**
(**tablets**; cyclobenzaprine in low dose for treating or preventing sleep disturbances, pain, fatigue, or fibromyalgia)

IT 50-47-5, Desipramine 50-48-6, Amitriptyline 50-49-7, Imipramine 72-69-5, Nortriptyline 303-49-1, Clomipramine 303-53-7, Cyclobenzaprine 303-53-7D, Cyclobenzaprine, metabolites and prodrugs 438-60-8, Protriptyline 739-71-9, Trimipramine 1668-19-5, Doxepin 6202-23-9, Cyclobenzaprine hydrochloride 10262-69-8, Maprotiline 14028-44-5, Amoxapine 19794-93-5, Trazodone 34911-55-2, Bupropion 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine **59729-33-8**, Citalopram 61718-82-9, Fluvoxamine maleate 61869-08-7, Paroxetine 71620-89-8, Reboxetine 79617-96-2, Sertraline 83366-66-9, Nefazodone 93413-69-5, Venlafaxine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclobenzaprine in low dose for treating or preventing sleep disturbances, pain, fatigue, or fibromyalgia)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

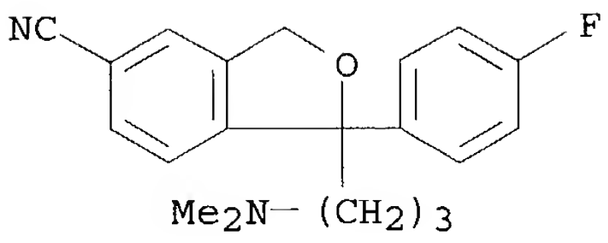
L85 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:137008 HCAPLUS
DOCUMENT NUMBER: 134:188218
TITLE: Cyclobenzaprine for treating generalized anxiety disorder, and **compositions** thereof
INVENTOR(S): Lederman, Seth; Iglehart, Iredell W., III
PATENT ASSIGNEE(S): Vela Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012174	A1	20010222	WO 2000-US22026	20000811 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6358944	B1	20020319	US 2000-638058	20000811 <--
BR 2000013122	A	20020430	BR 2000-13122	20000811 <--
GB 2368283	A1	20020501	GB 2002-3286	20000811 <--
EP 1202721	A1	20020508	EP 2000-953980	20000811 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506483	T2	20030218	JP 2001-516520	20000811 <--
US 2001046988	A1	20011129	US 2001-893758	20010627 <--
US 6541523	B2	20030401		
US 2004029869	A1	20040212	US 2003-392366	20030317 <--

PRIORITY APPLN. INFO.:

US 1999-148881P	P	19990813	<--
US 2000-211922P	P	20000616	<--
US 2000-637557	A3	20000811	<--
WO 2000-US22026	W	20000811	<--
US 2001-893758	A3	20010627	<--

IT **59729-33-8**, Citalopram
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclobenzaprine for treating generalized anxiety disorder, and use with other agents)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Methods and compns. are provided which comprise a very low dose of cyclobenzaprine, or metabolite thereof, for preventing and treating generalized anxiety disorder. Also provided are methods and compns. for treating and preventing symptoms associated with generalized anxiety disorder using a very low dose of cyclobenzaprine.

IC ICM A61K031-138
ICS A61P025-22

CC 1-11 (Pharmacology)
Section cross-reference(s): 63

IT Drug delivery systems
(**capsules**; cyclobenzaprine for treating generalized anxiety disorder)

IT **Drug delivery systems**
(**tablets**; cyclobenzaprine for treating generalized anxiety disorder)

IT 50-06-6, Phenobarbital, biological studies 50-47-5, Desipramine 50-48-6, Amitriptyline 50-49-7, Imipramine 50-52-2, Thioridazine 50-53-3, Chlorpromazine, biological studies 52-86-8, Haloperidol 57-43-2, Amobarbital 58-25-3, Chlordiazepoxide 58-33-3, Promethazine hydrochloride 58-38-8, Prochlorperazine 58-39-9, Perphenazine 59-33-6, Pyrilamine maleate 67-52-7D, Barbituric acid, derivs. 69-23-8, Fluphenazine 72-69-5, Nortriptyline 76-73-3, Secobarbital 76-74-4, Pentobarbital 76-76-6, Probarbital 113-59-7, Chlorprothixene 113-92-8, Chlorpheniramine maleate 115-38-8, Mephobarbital 115-44-6, Talbutal 117-89-5, Trifluoperazine 125-40-6, Butabarbital 132-18-3, Diphenylpyraline hydrochloride 146-54-3, Triflupromazine 147-24-0, Diphenhydramine hydrochloride 154-69-8, Tripelennamine hydrochloride 303-49-1, Clomipramine 438-60-8, Protriptyline 439-14-5, Diazepam 525-66-6, Propranolol 550-70-9, Triprolidine hydrochloride 569-59-5, Phenindamine tartrate 604-75-1, Oxazepam 739-71-9, Trimipramine 846-49-1, Lorazepam 846-50-4, Temazepam 969-33-5, Cyproheptadine hydrochloride 980-71-2, Brompheniramine maleate 1229-35-2, Methdilazine hydrochloride 1622-61-3, Clonazepam 1622-62-4, Flunitrazepam 1668-19-5, Doxepin 1977-10-2, Loxapine 1982-37-2, Methdilazine 2062-78-4, Pimozide 2192-20-3, Hydroxyzine hydrochloride 2438-32-6, Dexchlorpheniramine maleate 2751-68-0, Acetophenazine 2955-38-6, Prazepam 3313-26-6, Thiothixene 3505-38-2, Carbinoxamine maleate 3930-20-9, Sotalol 3978-86-7, Azatadine maleate 4330-99-8, Trimeprazine tartrate 5588-33-0, Mesoridazine 5786-21-0, Clozapine 6138-56-3, Tripelennamine citrate 7416-34-4, Molindone 10246-75-0, Hydroxyzine pamoate 12794-10-4D, Benzodiazepine, derivs. 14976-57-9, Clemastine fumarate 17617-23-1, Flurazepam 23092-17-3, Halazepam 23887-31-2, Clorazepate 26839-75-8, Timolol 28911-01-5, Triazolam 28981-97-7, Alprazolam 29122-68-7, Atenolol 36735-22-5, Quazepam 37517-30-9, Acebutolol 38363-40-5, Penbutolol 50679-08-8, Terfenadine 51384-51-1 51781-06-7, Carteolol 54910-89-3, Fluoxetine 59467-70-8, Midazolam **59729-33-8**, Citalopram 61718-82-9, Fluvoxamine maleate 61869-08-7, Paroxetine 63659-18-7, Betaxolol 66722-44-9, Bisoprolol 68844-77-9, Astemizole 79617-96-2, Sertraline 81147-92-4, Esmolol 83366-66-9, Nefazodone 87848-99-5, Acrivastine 106266-06-2, Risperidone 111974-69-7, Quetiapine 132539-06-1, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)
(cyclobenzaprine for treating generalized anxiety disorder, and use with other agents)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

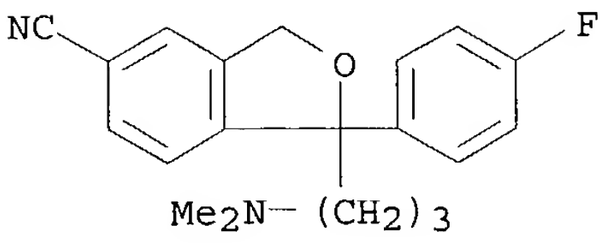
L85 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:861473 HCAPLUS
DOCUMENT NUMBER: 134:32972
TITLE: Porous drug matrixes containing polymers and sugars and methods of their manufacture
INVENTOR(S): Straub, Julie; Bernstein, Howard; Chickering, Donald E., III; Khatak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere, Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000072827	A2	20001207	WO 2000-US14578	20000525 <--
WO 2000072827	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6395300	B1	20020528	US 1999-433486	19991104 <--
EP 1180020	A2	20020220	EP 2000-939365	20000525 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010984	A	20020430	BR 2000-10984	20000525 <--
JP 2003500438	T2	20030107	JP 2000-620939	20000525 <--
NZ 516083	A	20030829	NZ 2000-516083	20000525 <--
AU 768022	B2	20031127	AU 2000-54459	20000525 <--
US 2002041896	A1	20020411	US 2001-798824	20010302 <--
US 6610317	B2	20030826		
NO 2001005753	A	20020128	NO 2001-5753	20011126 <--
ZA 2001010347	A	20030730	ZA 2001-10347	20011218 <--

PRIORITY APPLN. INFO.:			
US 1999-136323P	P	19990527	<--
US 1999-158659P	P	19991008	<--
US 1999-433486	A	19991104	<--
US 2000-186310P	P	20000302	<--
WO 2000-US14578	W	20000525	<--

IT **59729-33-8**, Citalopram
RL: **PEP (Physical, engineering or chemical process)**; THU (Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES (Uses)
(preparation of porous matrixes containing hydrophilic polymers and sugars for
enhancement of drug dissoln.)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-

fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form,
preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in
a volatile solvent to form a drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or second solns., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. The pore forming agent can be either a volatile liquid that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or **capsules** for oral administration. Paclitaxel or docetaxel can be provided in a porous matrix form, which allows the drug to be formulated without solubilizing agents and administered as a bolus. For example, a nifedipine-loaded organic solution was prepared by dissolving 9.09 g of PEG 3350, 2.27 g of nifedipine, and 0.009 g of lecithin in 182 mL of methylene chloride. An aqueous solution was
prepared by dissolving 3.27 g of NH4HCO3 and 0.91 g of PEG 3350 in 1.82 mL of water. The aqueous and organic solns. were homogenized and resulting emulsion
was spray dried. A suspension of the porous nifedipine drug matrix was prepared in 5% dextrose solution at a concentration of 2.5 mg/mL. A bolus injection
of the suspension was tolerated when administrated to dogs.

IC ICM A61K009-16
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
IT Drug delivery systems
(**capsules**; preparation of porous matrixes containing hydrophilic polymers and sugars for enhancement of drug dissoln.)
IT **Drug delivery systems**
(**microparticles**; preparation of porous matrixes containing hydrophilic polymers and sugars for enhancement of drug dissoln.)
IT Drug delivery systems
(**powders**; preparation of porous matrixes containing hydrophilic polymers and sugars for enhancement of drug dissoln.)
IT Dissolution rate
Emulsions
Evaporation
Freeze drying
Particle size
Solubilization

Surface area
Suspensions
Wetting agents
(preparation of porous matrixes containing hydrophilic polymers and sugars for
enhancement of drug dissoln.)

IT **Drug delivery systems**
(**tablets**; preparation of porous matrixes containing hydrophilic polymers and sugars for enhancement of drug dissoln.)

IT 50-28-2, Estradiol, biological studies 50-35-1, Thalidomide 50-99-7, Dextrose, biological studies 52-53-9, Verapamil 53-03-2, Prednisone 55-98-1, Busulfan 57-63-6, Ethinyl estradiol 58-61-7, Adenosine, biological studies 59-92-7, Levodopa, biological studies 67-78-7 67-97-0, Vitamin D3 67-97-0D, Vitamin D3, analogs 71-58-9, Medroxyprogesterone acetate 75-64-9, Erbumine, biological studies 77-36-1, Chlorthalidone 89-57-6, Mesalamine 126-07-8, Griseofulvin 128-13-2, Ursodiol 298-46-4, Carbamazepine 302-79-4, Tretinoin 321-64-2, Tacrine 363-24-6, Dinoprostone 437-38-7, Fentanyl 439-14-5, Diazepam 443-48-1, Metronidazole 518-28-5, Podofilox 745-65-3, Alprostadil 846-49-1, Lorazepam 1951-25-3, Amiodarone 3239-44-9, Dexfenfluramine 4759-48-2, Isotretinoin 5534-09-8, Beclomethasone dipropionate 5593-20-4, Betamethasone dipropionate 9002-68-0, Follitropin 9002-72-6, Growth hormone 9007-12-9, Calcitonin 9041-93-4, Bleomycin sulfate 10238-21-8, Glyburide 11096-26-7, Erythropoietin 12629-01-5, Somatropin 12633-72-6, Amphotericin 13311-84-7, Flutamide 15307-79-6, Diclofenac sodium 15307-86-5, Diclofenac 15687-27-1, Ibuprofen 18559-94-9, Albuterol 20830-75-5, Digoxin 21256-18-8, Oxaprozin 21829-25-4, Nifedipine 22204-53-1, Naproxen 27203-92-5, Tramadol 28860-95-9, Carbidopa 28981-97-7, Alprazolam 29094-61-9, Glipizide 30516-87-1, Zidovudine 32986-56-4, Tobramycin 33069-62-4, Paclitaxel 34911-55-2, Bupropion 36505-84-7, Buspirone 40391-99-9 41340-25-4, Etodolac 41575-94-4, Carboplatin 42399-41-7, Diltiazem 42924-53-8, Nabumetone 51022-70-9, Albuterol sulfate 51333-22-3, Budesonide 51773-92-3, Mefloquine hydrochloride 54143-55-4, Flecainide 54527-84-3, Nicardipine hydrochloride 54910-89-3, Fluoxetine 54965-21-8, Albendazole 54965-24-1, Tamoxifen citrate 55268-75-2, Cefuroxime 56124-62-0, Valrubicin 56180-94-0, Acarbose **59729-33-8**, Citalopram 60142-96-3, Gabapentin 60205-81-4, Ipratropium 63659-18-7, Betaxolol 65277-42-1, Ketoconazole 66085-59-4, Nimodipine 66376-36-1, Alendronate 66852-54-8, Halobetasol propionate 69655-05-6, Didanosine 70476-82-3, Mitoxantrone hydrochloride 72432-03-2, Miglitol 72509-76-3, Felodipine 72558-82-8, Ceftazidime 72956-09-3, Carvedilol 73384-59-5, Ceftriaxone 73590-58-6, Omeprazole 75330-75-5, Lovastatin 75695-93-1, Isradipine 75847-73-3, Enalapril 76095-16-4, Enalapril maleate 76547-98-3, Lisinopril 76824-35-6, Famotidine 76963-41-2, Nizatidine 77883-43-3, Doxazosin mesylate 78246-49-8, Paroxetine hydrochloride 78628-80-5, Terbinafine hydrochloride 78755-81-4, Flumazenil 79517-01-4, Octreotide acetate 79559-97-0, Sertraline hydrochloride 79794-75-5, Loratadine 79902-63-9, Simvastatin 80274-67-5, Metoprolol fumarate 81098-60-4, Cisapride 81103-11-9, Clarithromycin 82410-32-0, Ganciclovir 82752-99-6, Nefazodone hydrochloride 82834-16-0, Perindopril 83799-24-0, Fexofenadine 83905-01-5, Azithromycin 83919-23-7, Mometasone furoate 84625-61-6, Itraconazole 85721-33-1, Ciprofloxacin 86386-73-4, Fluconazole 86541-74-4, Benazepril hydrochloride 86541-75-5, Benazepril 87679-37-6, Trandolapril 89778-27-8, Toremifene citrate 91161-71-6, Terbinafine 91421-42-0, Rubitecan 93413-69-5, Venlafaxine 93957-54-1, Fluvastatin 95058-81-4, Gemcitabine 95233-18-4, Atovaquone 97048-13-0, Urofollitropin 97322-87-7, Troglitazone 98048-97-6, Fosinopril

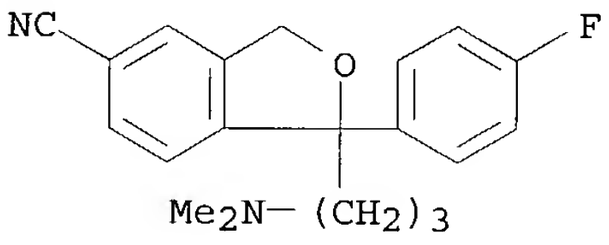
98079-52-8, Lomefloxacin hydrochloride 98319-26-7, Finasteride
99011-02-6, Imiquimod 99294-93-6, Zolpidem tartrate 100286-90-6,
Irinotecan hydrochloride 100986-85-4, Levofloxacin 103577-45-3,
Lansoprazole 103628-48-4, Sumatriptan succinate 103775-10-6, Moexipril
104227-87-4, Famciclovir 104632-25-9, Pramipexole dihydrochloride
106266-06-2, Risperidone 106463-17-6, Tamsulosin hydrochloride
106685-40-9, Adapalene 107753-78-6, Zafirlukast 109889-09-0,
Granisetron 110871-86-8, Sparfloxacin 111470-99-6, Amlodipine besylate
111974-72-2, Quetiapine fumarate 112809-51-5, Letrozole 113806-05-6,
Olopatadine 114798-26-4, Losartan 114977-28-5, Docetaxel
115956-12-2, Dolasetron 120014-06-4, Donepezil 124832-26-4,
Valacyclovir 127779-20-8, Saquinavir 131918-61-1, Paricalcitol
132539-06-1, Olanzapine 134308-13-7, Tolcapone 134678-17-4, Lamivudine
137862-53-4, Valsartan 140678-14-4, Mangafodipir trisodium
142373-60-2, Tirofiban hydrochloride 143011-72-7, Granulocyte
colony-stimulating factor 144701-48-4, Telmisartan 145040-37-5,
Candesartan cilexetil 147059-72-1, Trovafloxacin 147245-92-9,
Glatiramer acetate 150378-17-9, Indinavir 154248-97-2, Imiglucerase
154598-52-4, Efavirenz 155141-29-0, Rosiglitazone maleate 155213-67-5,
Ritonavir 158966-92-8, Montelukast 159989-65-8, Nelfinavir mesylate
161814-49-9, Amprenavir 162011-90-7, Rofecoxib 169590-42-5, Celecoxib
171599-83-0, Sildenafil citrate 679809-58-6, Enoxaparin sodium
RL: **PEP (Physical, engineering or chemical process)**; THU
(Therapeutic use); BIOL (Biological study); **PROC (Process)**; USES
(Uses)
(preparation of porous matrixes containing hydrophilic polymers and sugars
for
enhancement of drug dissoln.)

L85 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:841960 HCAPLUS
DOCUMENT NUMBER: 134:9374
TITLE: Multiparticulate **controlled release** selective serotonin reuptake inhibitor **formulations**
INVENTOR(S): Jeary, Theresa Ann; Morrissey, Catherine Ann; Stark, Paul
PATENT ASSIGNEE(S): Elan Corporation, PLC, Ire.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071099	A1	20001130	WO 2000-IE60	20000510 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1178780	A1	20020213	EP 2000-925548	20000510 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500348	T2	20030107	JP 2000-619406	20000510 <--

ZA 2001010401 A 20030303 ZA 2001-10401 20011219 <--
PRIORITY APPLN. INFO.: IE 1999-406 A 19990520 <--
US 1999-135028P P 19990520 <--
WO 2000-IE60 W 20000510 <--

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multiparticulate **controlled release** serotonin reuptake inhibitor **formulations**)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A multiparticulate controlled release selective serotonin reuptake inhibitor (SSRI) formulation for oral administration comprises particles of the SSRI or a salt coated with rate-controlling polymer which allows controlled release of the SSRI, over a period of ≥12 h following oral administration. The formulation, which contains, e.g., fluvoxamine or a salt is suitable for once or twice daily administration. The formulation can comprise a blend of 2 or more populations of particles, pellets or mini-tablets having different in vitro and/or in vivo release characteristics. Thus, controlled-release beads contained fluvoxamine maleate 12.450, talc 3.550, and Eudragit RS 1.618 kg. The dissoln. rate and the bioavailability of fluvoxamine from controlled-release beads were determined

IC A61K009-50; A61K031-137; A61P025-24
CC 63-6 (Pharmaceuticals)
ST **controlled release** serotonin reuptake inhibitor; acrylic polymer **controlled release** bead fluvoxamine
IT **Drug delivery systems**
(**capsules, controlled-release**; **multiparticulate controlled release** serotonin reuptake inhibitor **formulations**)
IT **Drug delivery systems**
(**controlled-release**, beads; **multiparticulate controlled release** serotonin reuptake inhibitor **formulations**)
IT Dissolution rate
Drug bioavailability
(multiparticulate **controlled release** serotonin reuptake inhibitor **formulations**)
IT Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multiparticulate **controlled release** serotonin reuptake inhibitor **formulations**)
IT 54739-18-3, Fluvoxamine 61718-82-9, Fluvoxamine maleate
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(multiparticulate **controlled release** serotonin reuptake inhibitor **formulations**)
IT 50-67-9, Serotonin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(multiparticulate **controlled release** serotonin reuptake inhibitor **formulations**)

IT 303-49-1, Clomipramine 19794-93-5, Trazodone 33434-24-1, Eudragit RS 54910-89-3, Fluoxetine 56775-88-3, Zimeldine **59729-33-8**, Citalopram 61869-08-7, Paroxetine 79617-96-2, Sertraline 93413-69-5, Venlafaxine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multiparticulate **controlled release** serotonin reuptake inhibitor **formulations**)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

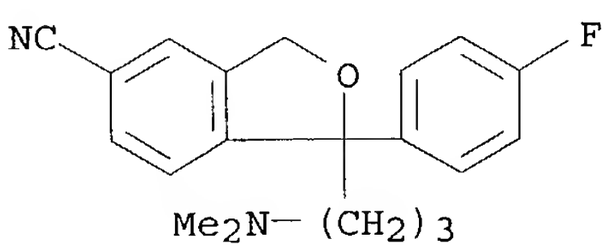
L85 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:725436 HCAPLUS
DOCUMENT NUMBER: 133:301171
TITLE: **Compositions** and methods for improved delivery of ionizable hydrophobic therapeutic agents
INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059475	A1	20001012	WO 2000-US7342	20000316 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6383471	B1	20020507	US 1999-287043	19990406 <--
EP 1165048	A1	20020102	EP 2000-916547	20000316 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:			
US 1999-287043	A	19990406 <--	
WO 2000-US7342	W	20000316 <--	

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

IC ICM A61K009-14
ICS A61K009-48; A61K009-64; A61K009-66; A01N025-00

CC 63-6 (Pharmaceuticals)

IT Diglycerides
Diglycerides
Diglycerides
Glycerides, biological studies
Glycerides, biological studies
Glycerides, biological studies
Monoglycerides
Monoglycerides
Monoglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C8-10 monoglycerides and diglycerides; pharmaceutical **compns**. containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C8-10, esters with propylene glycol; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Glycerides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C8-10, ethoxylated; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Glycerides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C8-10; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Hydroquinones
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Hydroquinosulfonic acid; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Monoglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acetates, with C6 to C20 fatty acid; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(aerosols; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Amines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aliphatic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Sulfonates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkanesulfonates; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Phenols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkyl, ethoxylated; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Glycosides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkyl, maltosides; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(almond, ethoxylated; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Sulfones
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amino; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Heterocyclic compounds
Heterocyclic compounds
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aromatic, hydroxy; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Amines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aromatic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(**capsules**; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(carriers; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Glycerides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(corn, ethoxylated, Crovol M 40 and Crovol M 70; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(essential; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Fatty acids, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters, with polyglycerol; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Amino acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Carbohydrates, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethers; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Castor oil
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethoxylated, Incrocas 35 and Incrocas 40; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Sterols
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethoxylated; Nikkol BPS-30, pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Corn oil
Fatty acids, biological studies
Glycerides, biological studies
Olive oil
Palm kernel oil
Peanut oil
Sterols
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethoxylated; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(gels; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Aromatic compounds
Aromatic compounds
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic, hydroxy; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Amines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Castor oil
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrogenated, ethoxylated, Cremophor RH 40; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Castor oil
Palm kernel oil
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrogenated, ethoxylated; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and

surfactants and triglycerides)
IT Surfactants
(hydrophilic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Surfactants
(hydrophobic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Minerals, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrotalcite-group; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inorg.; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Surfactants
(ionic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(lotions; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(mucosal; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-essential; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Surfactants
(nonionic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(ointments, creams; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(ointments; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(ophthalmic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(oral; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(organic; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and

triglycerides)
IT Glycerides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(palm kernel-oil, ethoxylated, Crovol PK 70; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(parenterals; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(pastes; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Surfactants
(pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Alcohols, biological studies
Amino acids, biological studies
Bile salts
Carboxylic acids, biological studies
Diglycerides
Phenols, biological studies
Phospholipids, biological studies
Soybean oil
Sulfonamides
Sulfonates
Sulfonic acids, biological studies
Sulfonylureas
Tannins
Thiols (organic), biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Sterols
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phyto; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyhydric, reaction products; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyhydric, solubilizer; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(pulmonary; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Drug delivery systems
(rectal; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)
IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(salts; pharmaceutical **compns.** containing hydrophobic therapeutic

agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(solns., oral; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Amides, biological studies
Esters, biological studies
Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solubilizer; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Sterols
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(soya, ethoxylated; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(sprays; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Carbohydrates, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sugar esters; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(suppositories; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(topical; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(transdermal; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Drug delivery systems
(vaginal; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, ethoxylated; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, hydrogenated, Sterotex NF; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT Glycerides, biological studies
Monoglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(with C6 to C20 fatty acid; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 53824-77-4, Propylene glycol dicaprate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Captex 100; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 9004-96-0, Polyethylene glycol monooleate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Crodet O 40, Kessco PEG 1000MO; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 79665-92-2, Hexaglycerol monooleate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Drewpol 6-10; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 9004-81-3, Kessco PEG 1000ML
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Kessco PEG 1000ML and Mapeg 200ML; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 9005-02-1, Polyethylene glycol dilaurate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Kessco PEG 1540DL; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 9005-07-6, Polyethylene glycol dioleate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Kessco PEG 1540DO; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 50-06-6, Phenobarbital, biological studies 50-21-5, biological studies 50-21-5D, Lactic acid, glycerides 50-44-2, Mercaptopurine 50-48-6, Amitriptyline 50-52-2, Thioridazine 50-53-3, Chlorpromazine, biological studies 50-55-5, Reserpine 50-78-2 50-81-7, Ascorbic acid, biological studies 51-48-9, Levothyroxine, biological studies 51-52-5, Propylthiouracil 51-55-8, Atropine, biological studies 51-64-9, Dexamphetamine 52-86-8, Haloperidol 53-86-1, Indomethacin 54-05-7, Chloroquine 54-11-5, Nicotine 54-31-9 56-54-2, Quinidine 57-10-3, Palmitic acid, biological studies 57-11-4, Stearic acid, biological studies 57-22-7, Vincristine 57-27-2, Morphine, biological studies 57-41-0, Phenytoin 57-43-2, Amylobarbital 57-44-3, Barbital 57-47-6, Physostigmine 57-66-9, Probenecid 57-88-5, Cholesterol, biological studies 58-14-0, Pyrimethamine 58-25-3, Chlordiazepoxide 58-32-2, Dipyridamole 58-38-8, Prochlorperazine 58-39-9, Perphenazine 58-54-8, Ethacrynic acid 58-73-1, Diphenhydramine 58-94-6, Chlorothiazide 59-05-2, Methotrexate 59-66-5, Acetazolamide 59-87-0, Nitrofurazone 59-96-1, Phenoxybenzamine 61-56-3, Sulthiame 61-68-7, Mefenamic acid 61-72-3, Cloxacillin 64-18-6, Formic acid, biological studies 64-19-7, Acetic acid, biological studies 64-77-7, Tolbutamide 65-85-0, Benzoic acid, biological studies 66-76-2, Dicumarol 66-79-5, Oxacillin 67-20-9, Nitrofurantoin 68-04-2, Sodium Citrate 68-11-1, Thioglycolic acid, biological studies 68-35-9, Sulfadiazine 69-23-8, Fluphenazine 69-72-7, biological studies 69-93-2, Uric acid, biological studies 72-44-6, Methaqualone 72-69-5, Nortriptyline 74-55-5, Ethambutol 75-75-2, Methanesulfonic acid 76-57-3, Codeine 76-74-4, Pentobarbital 76-99-3, Methadone 77-28-1, Butobarbital 77-36-1, Chlorthalidone 77-86-1, Tromethamine 77-92-9, biological studies 79-09-4, Propanoic acid, biological studies 79-10-7, Acrylic acid, biological studies 82-92-8, Cyclizine 83-68-1, Vitamin K6 83-69-2, Vitamin K7 83-70-5, Vitamin K5 83-89-6, Mepacrine 86-21-5, Pheniramine 86-22-6, Brompheniramine 86-35-1, Ethotoin 86-42-0,

Amodiaquine 87-69-4, biological studies 89-57-6, Mesalamine 89-65-6, Isoascorbic acid 90-82-4, Pseudoephedrine 90-84-6, Diethylpropion 94-20-2, Chlorpropamide 97-23-4, Dichlorophen 99-66-1, Valproic acid 101-31-5, Hyoscyamine 102-71-6, biological studies 104-15-4, p-Toluenesulfonic acid, biological studies 107-15-3, 1,2-Ethanediamine, biological studies 107-92-6, Butyric acid, biological studies 110-15-6, Butanedioic acid, biological studies 110-16-7, 2-Butenedioic acid (2Z)-, biological studies 110-17-8, Fumaric acid, biological studies 110-27-0, Isopropyl myristate 111-03-5, Glyceryl monooleate 111-62-6, Ethyl Oleate 111-90-0, Transcutol 112-80-1, Oleic acid, biological studies 113-15-5, Ergotamine 113-45-1, Methylphenidate 113-59-7, Chlorprothixene 113-92-8 114-07-8, Erythromycin 115-38-8, Methylphenobarbital 117-89-5, Trifluoperazine 121-44-8, biological studies 122-09-8, Phentermine 122-20-3, Triisopropanolamine 124-04-9, Hexanedioic acid, biological studies 125-28-0, Dihydrocodeine 125-53-1, Oxyphencyclimine 125-84-8, Aminoglutethimide 127-09-3, Sodium Acetate 127-33-3, Demeclocycline 127-69-5, Sulfafurazole 127-71-9, Sulfabenzamide 127-79-7, Sulfamerazine 128-13-2, Ursodeoxycholic acid 128-37-0, Butylated Hydroxytoluene, biological studies 129-03-3, Cyproheptadine 129-20-4, Oxyphenbutazone 130-95-0, Quinine 132-17-2, Benztropine 138-36-3, p-Bromophenylsulfonic acid 139-33-3, Edetate Disodium 141-43-5, biological studies 142-18-7, Glyceryl monolaurate 142-91-6, Isopropyl palmitate 143-07-7, Lauric acid, biological studies 144-11-6, Benzhexol 144-55-8, Sodium hydrogen carbonate, biological studies 144-62-7, Ethanedioic acid, biological studies 144-80-9, Sulfacetamide 144-83-2, Sulfapyridine 145-42-6, Taurocholic acid, sodium salt 146-22-5, Nitrazepam 146-54-3, Fluopromazine 148-79-8, Thiabendazole 151-21-3, Sodium Dodecyl Sulfate, biological studies 154-42-7, Thioguanine 190-39-6, Bisanthene 288-14-2, Isoxazole 298-57-7, Cinnarizine 299-42-3, Ephedrine 300-62-9, Amphetamine 302-79-4, Tretinoin 305-03-3, Chlorambucil 321-64-2, Tacrine 359-83-1, Pentazocine 361-37-5, Methysergide 364-62-5, Metoclopramide 389-08-2 396-01-0, Triamterene 404-86-4, Capsaicin 437-38-7, Fentanyl 439-14-5, Diazepam 442-52-4, Clemizole 443-48-1, Metronidazole 446-86-6, Azathioprine 458-24-2, Fenfluramine 463-79-6, Carbonic acid, biological studies 471-34-1, Calcium carbonate, biological studies 486-16-8, Carbinoxamine 500-92-5, Proguanil 511-12-6, Dihydroergotamine 514-65-8, Biperiden 519-23-3, Ellipticine 522-00-9, Ethopropazine 523-87-5, Dimenhydrinate 525-66-6 526-95-4, D-Gluconic acid 536-33-4, Ethionamide 537-21-3, Chlorproguanil 544-35-4, Ethyl linoleate 544-63-8, Myristic acid, biological studies 548-73-2, Droperidol 561-27-3, Diamorphine 564-25-0, Doxycycline 569-65-3, Meclozine 577-11-7, Docusate sodium 599-79-1, Sulfasalazine 603-50-9, Bisacodyl 604-75-1, Oxazepam 631-61-8, Ammonium Acetate 644-62-2, Meclofenamic acid 657-24-9, Metformin 668-94-0, 4,5-Diphenylimidazole 671-16-9, Procarbazine 723-46-6, Sulfamethoxazole 738-70-5, Trimethoprim 739-71-9, Trimipramine 745-65-3, Alprostadil 768-94-5, Amantadine 846-49-1, Lorazepam 846-50-4, Temazepam 848-75-9, Lormetazepam 865-21-4, Vinblastine 911-45-5, Clomiphene 915-30-0, Diphenoxylate 961-71-7, Phenbenzamine 968-81-0, Acetohexamide 1134-47-0, Baclofen 1156-19-0, Tolazamide 1309-42-8, Magnesium hydroxide 1310-58-3, Potassium Hydroxide, biological studies 1310-73-2, Sodium Hydroxide, biological studies 1327-43-1, Magnesium aluminum silicate 1330-80-9, Propylene glycol oleate 1333-28-4, Undecenoic acid 1335-30-4, Aluminum silicate 1336-21-6, Ammonium Hydroxide 1338-39-2, Sorbitan monolaurate 1338-41-6, Sorbitan monostearate 1338-43-8, Sorbitan monooleate 1400-61-9, Nystatin 1404-90-6, Vancomycin 1406-05-9, Penicillin 1508-75-4, Tropicamide 1553-60-2, Ibufenac 1622-61-3, Clonazepam 1622-62-4, Flunitrazepam 1812-30-2, Bromazepam 1951-25-3, Amiodarone

1972-08-3, Dronabinol 2022-85-7, Flucytosine 2030-63-9, Clofazimine
2062-78-4, Pimozide 2078-54-8, Propofol 2447-57-6, Sulfadoxine
2487-39-0, Vitamin K-S (II) 2515-61-9, 1,5-Diphenylpyrazoline
2609-46-3, Amiloride 2709-56-0, Flupentixol 2898-12-6, Medazepam
2998-57-4, Estramustine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 3056-17-5, Stavudine 3116-76-5, Dicloxacillin 3239-44-9, Dexfenfluramine 3737-09-5, Disopyramide 4117-33-3, Lysine Ethyl Ester 4342-03-4, Dacarbazine 4759-48-2, Isotretinoin 5002-47-1, Fluphenazine decanoate 5036-02-2, Tetramisole 5051-62-7, Guanabenz 5104-49-4, Flurbiprofen 5306-85-4, Dimethyl Isosorbide 5588-33-0, Mesoridazine 5633-20-5, Oxybutynin 5786-21-0, Clozapine 6452-71-7, Oxprenolol 6493-05-6, Pentoxifylline 6506-37-2, Nimorazole 7087-68-5, Diisopropylethylamine 7261-97-4, Dantrolene 7416-34-4, Molindone 7647-01-0, Hydrochloric Acid, biological studies 7664-38-2, Phosphoric acid, biological studies 7664-38-2D, Phosphoric acid, esters, biological studies 7664-93-9, Sulfuric acid, biological studies 7681-93-8, Natamycin 7689-03-4, Camptothecin 7697-37-2, Nitric acid, biological studies 7778-53-2, Potassium Phosphate 8007-43-0, Sorbitan sesquioleate 8045-34-9, Pentaerythritol stearate 9002-92-0, Polyoxyethylene lauryl ether 9002-93-1 9002-96-4, D-α-Tocopheryl polyethylene glycol succinate 9004-74-4, Methoxy polyethylene glycol 9004-95-9, Polyethylene glycol cetyl ether 9004-98-2, Polyoxyethylene oleyl ether 9004-99-3, Myrj 51 9005-00-9, Polyoxyethylene stearyl ether 9005-08-7, Polyethylene glycol distearate 9005-32-7, Alginic acid 9005-64-5, Polysorbate 20 9005-65-6, Polysorbate 80 9005-66-7, Tween 40 9005-67-8, Tween 60 9007-48-1, Polyglyceryl oleate 9011-21-6 9011-29-4 9014-67-9, Aloxiprin 9016-45-9 9062-73-1, Polyethylene glycol sorbitan laurate 9062-90-2, Polyethylene glycol sorbitan oleate 10034-85-2, Hydriodic acid 10035-10-6, Hydrobromic acid, biological studies 10043-35-3, Boric acid, biological studies 10238-21-8 10262-69-8, Maprotiline 10457-90-6, Bromperidol 10540-29-1, Tamoxifen 11140-04-8, Imwitor 988 12633-72-6, Amphotericin 12772-47-3, Pentaerythritol oleate 13292-46-1, Rifampin 13392-28-4, Rimantadine 13523-86-9 13655-52-2, Alprenolol 14028-44-5, Amoxapine 14611-51-9, Selegiline 14808-79-8, Sulfate, biological studies 15307-86-5, Diclofenac 15574-96-6, Pizotifen 15676-16-1, Sulpiride 15686-51-8, Clemastine 15686-71-2, Cephalexin 15686-83-6, Pyrantel 15687-27-1, Ibuprofen 16110-51-3, Cromoglicic acid 16773-42-5, Ornidazole 17560-51-9, Metolazone 17617-23-1, Flurazepam 18016-80-3, Lysuride 18507-89-6, Decoquinate 18559-94-9, Albuterol 19216-56-9, Prazosin 19387-91-8, Tinidazole 19794-93-5, Trazodone 20594-83-6, Nalbuphine 21187-98-4, Gliclazide 21256-18-8, Oxaprozin 21645-51-2, Aluminum hydroxide, biological studies 21738-42-1, Oxamniquine 21829-25-4, Nifedipine 22071-15-4, Ketoprofen 22131-79-9, Alclofenac 22204-53-1 22232-71-9, Mazindol 22494-42-4, Diflunisal 22882-95-7, Isopropyl linoleate 22916-47-8, Miconazole 22994-85-0, Benznidazole 23031-25-6, Terbutaline 23110-15-8, Fumagillin 23288-49-5, Probucol 23593-75-1, Clotrimazole 24219-97-4, Mianserin 25339-99-5, Sucrose monolaurate 25523-97-1, Dexchlorpheniramine 25614-03-3, Bromocriptine 25637-84-7, Glyceryl dioleate 25637-97-2, Sucrose dipalmitate 25812-30-0, Gemfibrozil 25953-19-9, Cefazolin 26097-80-3, Cambendazole 26171-23-3, Tolmetin 26266-57-9, Sorbitan monopalmitate 26266-58-0, Sorbitan trioleate 26402-22-2, Glyceryl monocaprate 26402-26-6, Glyceryl monocaprylate 26446-38-8, Sucrose monopalmitate 26658-19-5, Sorbitan tristearate 26839-75-8, Timolol 26912-41-4D, Polyethylene glycol caprate, glycerides 27195-16-0, Sucrose distearate 27203-92-5, Tramadol 27220-47-9, Econazole 27321-96-6, Polyethylene glycol

cholesterol 27638-00-2, Glyceryl dilaurate 28395-03-1, Bumetanide 28657-80-9, Cinoxacin 28911-01-5, Triazolam 28981-97-7, Alprazolam 29094-61-9, Glipizide 29122-68-7, Atenolol 29679-58-1, Fenoprofen 29767-20-2, Teniposide 30299-08-2, Clinofibrate 30909-51-4, Flupentixol decanoate 31431-39-7, Mebendazole 31692-85-0, Glycofurol 33419-42-0, Etoposide 33671-46-4, Clotiazepam 33940-98-6 34406-66-1, Nikkol Decaglyn 1L 34580-13-7, Ketotifen 34911-55-2, Bupropion 36322-90-4, Piroxicam 36330-85-5, Fenbufen 36354-80-0, Glyceryl dicaprylate 36531-26-7, Oxantel 36894-69-6, Labetalol 37148-27-9, Clenbuterol 37220-82-9, ARLACEL 186 37318-31-3, Crodesta F-160 37321-62-3, Lauroglycol FCC 37517-30-9, Acebutolol 38194-50-2, Sulindac 38304-91-5, Minoxidil 38821-53-3, Cephradine 39366-43-3, Magnesium aluminum hydroxide 41340-25-4, Etodolac 41859-67-0, Bezafibrate 42200-33-9, Nadolol 42399-41-7, Diltiazem 42766-91-6, Nikkol DHC 43200-80-2, Zopiclone 43210-67-9, Fenbendazole 50679-08-8, Terfenadine 51192-09-7, Nikkol TMGO 5 51264-14-3, Amsacrine 51322-75-9, Tizanidine 51384-51-1, Metoprolol 51481-61-9, Cimetidine 51803-78-2 51938-44-4, Sorbitan sesquistearate 52081-33-1, Mitomycins 52468-60-7, Flunarizine 52504-24-2, Softigen 767 52581-71-2, Volpo 3 52942-31-1, Etoperidone 53168-42-6, Myvacet 9-45 53179-11-6, Loperamide 53230-10-7, Mefloquine 53716-50-0, Oxfendazole 53988-07-1, Glyceryl dicaprate 54029-12-8, Ricobendazole 54143-55-4, Flecainide 54340-58-8, Meptazinol 54392-26-6, Sorbitan monoisostearate 54910-89-3, Fluoxetine 55142-85-3, Ticlopidine 55268-74-1, Praziquantel 55985-32-5, Nicardipine 57107-95-6 57307-93-4, Pentaerythritol caprylate 57801-81-7, Brotizolam 57808-66-9, Domperidone 58581-89-8, Azelastine 59467-70-8, Midazolam **59729-33-8**, Citalopram 60142-96-3, Gabapentin 60607-34-3, Oxatomide 60719-84-8, Amrinone 61318-90-9, Sulconazole 61379-65-5, Rifapentine 61869-08-7 62013-04-1, Dirithromycin 62571-86-2, Captopril 63590-64-7, Terazosin 63675-72-9, Nisoldipine 64211-45-6, Oxiconazole 64221-86-9, Imipenem 64840-90-0, Eperisone 64872-76-0, Butoconazole 65271-80-9, Mitoxantrone 65277-42-1, Ketoconazole 65899-73-2, Tioconazole 66085-59-4, Nimodipine 66357-35-5, Ranitidine 67227-56-9, Fenoldopam 67352-02-7 67915-31-5, Terconazole 68506-86-5, Vigabatrin 68844-77-9, Astemizole 68958-64-5, Polyethylene glycol glyceryl trioleate 68993-42-0D, Polyethylene glycol caprylate, glycerides 69070-98-0 69756-53-2, Halofantrine 70458-96-7, Norfloxacin 71125-38-7, Meloxicam 71486-22-1, Vinorelbine 72432-03-2, Miglitol 72509-76-3, Felodipine 72559-06-9, Rifabutin 72803-02-2, Darodipine 73590-58-6, Omeprazole 74011-58-8, Enoxacin 74103-06-3, Ketorolac 74191-85-8, Doxazosin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 74504-64-6, Polyglyceryl laurate 75330-75-5, Lovastatin 75695-93-1, Isradipine 75706-12-6, Leflunomide 75847-73-3, Enalapril 76009-37-5 76547-98-3, Lisinopril 76584-70-8 76824-35-6, Famotidine 76963-41-2, Nizatidine 77671-31-9, Enoximone 78273-80-0, Roxatidine 79617-96-2, Sertraline 79665-93-3, Nikkol Decaglyn 10 79665-94-4 79794-75-5, Loratadine 80214-83-1, Roxithromycin 81093-37-0, Pravastatin 81098-60-4, Cisapride 81103-11-9, Clarithromycin 82159-09-9, Epalrestat 82419-36-1, Ofloxacin 82626-48-0, Zolpidem 82664-20-8, Flurithromycin 83366-66-9, Nefazodone 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 83905-01-5, Azithromycin 84057-84-1, Lamotrigine 84449-90-1, Raloxifene 84625-61-6, Itraconazole 85441-61-8, Quinapril 85721-33-1, Ciprofloxacin 86386-73-4, Fluconazole 86541-75-5, Benazepril 87718-67-0, Spiramycins 87848-99-5, Acrivastine 88150-42-9, Amlodipine 89778-26-7, Toremifene 91161-71-6, Terbinafine 91374-21-9, Ropinirole 91714-94-2, Bromfenac

93106-60-6, Enrofloxacin 93390-81-9, Fosphenytoin 93413-69-5, Venlafaxine 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 94423-19-5 94555-53-0 95233-18-4, Atovaquone 97322-87-7, Troglitazone 97682-44-5, Irinotecan 98048-97-6, Fosinopril 98079-51-7 98913-68-9, Pentaerythritol isostearate 99614-02-5, Ondansetron 100986-85-4, Levofloxacin 101828-21-1, Butenafine 102051-00-3, Nikkol Decaglyn 30 103177-37-3, Pranlukast 103577-45-3, Lansoprazole 103628-46-2, Sumatriptan 104632-26-0, Pramipexole 105979-17-7, Benidipine 106133-20-4, Tamsulosin 106266-06-2, Risperidone 106392-12-5, Polyoxyethylene-polyoxypropylene block copolymer 106650-56-0, Sibutramine 107753-78-6, Zafirlukast 109889-09-0, Granisetron 110871-86-8, Sparfloxacin 111025-46-8, Pioglitazone 111974-69-7, Quetiapine 113665-84-2, Clopidogrel 114798-26-4, Losartan 115103-54-3, Tiagabine 115956-12-2, Dolasetron 117976-89-3, Rabeprazole 119914-60-2, Grepafloxacin 120014-06-4, Donepezil 121548-04-7, Gelucire 44/14 121548-05-8, Gelucire 50/13 121679-13-8, Naratriptan 122320-73-4, Rosiglitazone 123948-87-8, Topotecan 124937-51-5, Tolterodine 127779-20-8, Saquinavir 129497-78-5, Verteporfin 129618-40-2, Nevirapine 132539-06-1, Olanzapine 132875-61-7, Remifentanil 133040-01-4, Eprosartan 133248-87-0, Maisine 134308-13-7, Tolcapone 134523-00-5, Atorvastatin 134678-17-4, Lamivudine 135062-02-1, Repaglinide 136470-78-5, Abacavir 136817-59-9, Delavirdine 137862-53-4, Valsartan 138402-11-6 139264-17-8, Zolmitriptan 139481-59-7, Candesartan 139755-83-2, Sildenafil 144034-80-0, Rizatriptan 144494-65-5, Tirofiban 144701-48-4, Telmisartan 145599-86-6, Cerivastatin 146961-76-4, Alatrofloxacin 147059-72-1, Trovafloxacin 150372-93-3, Glycerox L 150378-17-9, Indinavir 151096-09-2, Moxifloxacin 154598-52-4, Efavirenz 155213-67-5, Ritonavir 156259-68-6, Capmul MCM 158747-02-5, Frovatriptan 158966-92-8, Montelukast 159989-64-7, Nelfinavir 161814-49-9, Amprenavir 169590-42-5, Celecoxib 185069-68-5, Polyglyceryl oleate stearate 301206-59-7 301524-91-4, Captex 810
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

IT 50-70-4, Sorbitol, biological studies 56-81-5, 1,2,3-Propanetriol, biological studies 57-55-6, 1,2-Propanediol, biological studies 64-17-5, Ethanol, biological studies 67-63-0, Isopropanol, biological studies 69-65-8, D-Mannitol 71-36-3, Butanol, biological studies 77-89-4, Acetyl triethylcitrate 77-90-7, Acetyl tributyl citrate 77-93-0, Triethylcitrate 77-94-1, Tributylcitrate 100-51-6, Benzenemethanol, biological studies 102-76-1, Triacetin 105-37-3, Ethyl propionate 105-54-4, Ethyl butyrate 105-60-2, biological studies 106-32-1, Ethyl caprylate 107-21-1, 1,2-Ethanediol, biological studies 115-77-5, biological studies 127-19-5, Dimethylacetamide 502-44-3, 2-Oxepanone 542-28-9, δ-Valerolactone 616-45-5, 2-Pyrrolidone 623-84-7, Propylene glycol diacetate 675-20-7, 2-Piperidone 872-50-4, N-Methylpyrrolidone, biological studies 1331-12-0, Propylene glycol monoacetate 2687-91-4, N-Ethylpyrrolidone 2687-94-7 2687-96-9 3068-88-0, β-Butyrolactone 3445-11-2 9002-89-5, Polyvinylalcohol 9003-39-8, Polyvinylpyrrolidone 9004-34-6D, Cellulose, derivs., biological studies 9004-65-3, Hydroxypropyl methylcellulose 9050-36-6, Maltodextrin 12619-70-4D, Cyclodextrin, derivs. 25265-75-2, Butanediol 25322-68-3 25322-69-4, Polypropylene glycol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solubilizer; pharmaceutical **compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L85 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:607941 HCAPLUS
DOCUMENT NUMBER: 133:213148
TITLE: **Crystalline** base of citalopram
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: Ger. Gebrauchsmusterschrift, 17 pp.
CODEN: GGXXFR
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 20007303	U1	20000831	DE 2000-20007303	20000420 <--
GB 2357762	A1	20010704	GB 2001-5982	20000413 <--
GB 2357762	B2	20020130		
NL 1016435	C1	20001106	NL 2000-1016435	20001018 <--
US 2001031784	A1	20011018	US 2000-730490	20001205 <--
DK 173903	B1	20020211	DK 2001-183	20010205 <--
NO 2001000619	A	20010914	NO 2001-619	20010206 <--
FI 2001000225	A	20010914	FI 2001-225	20010207 <--
GR 1003796	B2	20020208	GR 2001-100074	20010212 <--
DE 10108042	A1	20011018	DE 2001-10108042	20010220 <--
DE 20121240	U1	20020808	DE 2001-20121240	20010220 <--
NL 1017413	C1	20010913	NL 2001-1017413	20010221 <--
FR 2806086	A1	20010914	FR 2001-2340	20010221 <--
FR 2806086	B1	20030509		
CH 691477	A	20010731	CH 2001-321	20010222 <--
CH 691537	A	20010815	CH 2001-580	20010222 <--
CA 2360287	AA	20010920	CA 2001-2360287	20010228 <--
CA 2360287	C	20030909		
WO 2001068627	A1	20010920	WO 2001-DK137	20010228 <--
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BE 1013210	A3	20011002	BE 2001-136	20010228 <--
EP 1169314	A1	20020109	EP 2001-909568	20010228 <--
EP 1169314	B1	20020904		
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AU 746664	B2	20020502	AU 2001-37252	20010228 <--
AU 2001037252	A5	20010913		
EP 1227088	A1	20020731	EP 2002-9350	20010228 <--
EP 1227088	B1	20030917		
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AT 223396	E	20020915	AT 2001-909568	20010228 <--
PT 1169314	T	20021129	PT 2001-909568	20010228 <--
ES 2173054	T3	20021216	ES 2001-1909568	20010228 <--
TR 200202185	T2	20021223	TR 2002-200202185	20010228 <--

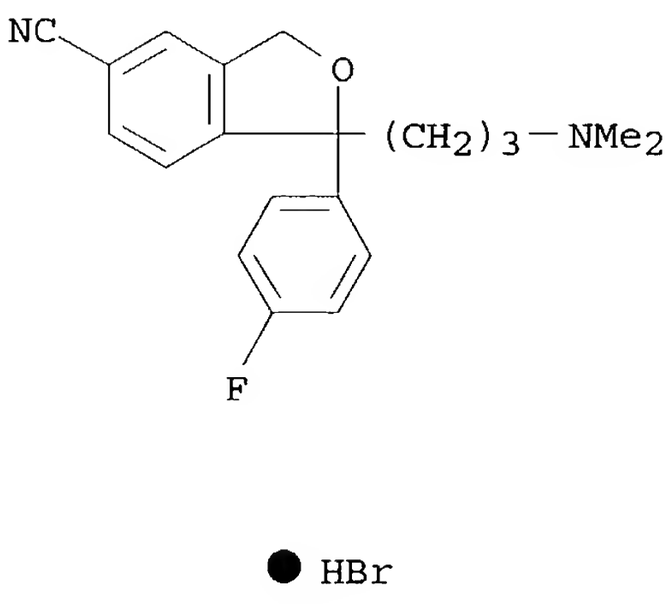
BR 2001009373	A	20021224	BR 2001-9373	20010228	<--
JP 2003527383	T2	20030916	JP 2001-567719	20010228	<--
AT 250050	E	20031015	AT 2002-9350	20010228	<--
PT 1227088	T	20031231	PT 2002-9350	20010228	<--
CZ 292077	B6	20030716	CZ 2001-808	20010305	<--
ES 2159491	A1	20011001	ES 2001-548	20010309	<--
ES 2159491	B1	20020501			
SE 2001003046	A	20011114	SE 2001-3046	20010914	<--
SE 517136	C2	20020416			
NO 2002000356	A	20010914	NO 2002-356	20020123	<--
SE 2002000730	A	20020829	SE 2002-730	20020312	<--
ZA 2002007148	A	20030423	ZA 2002-7148	20020905	<--
BG 107065	A	20030530	BG 2002-107065	20020905	<--
US 2003078442	A1	20030424	US 2002-245824	20020912	<--
US 2004132808	A1	20040708	US 2003-741553	20031219	<--

PRIORITY APPLN. INFO.:

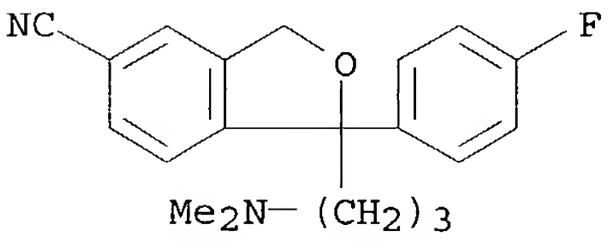
DK 2000-402	A	20000313	<--	
WO 2000-DK183	W	20000413	<--	
DE 2000-10019609	A1	20000420	<--	
DE 2001-10108042	IA	20010220	<--	
EP 2001-909568	A3	20010228	<--	
WO 2001-DK137	W	20010228	<--	
US 2002-245824	A1	20020912		

IT **59729-32-7P**, Citalopram hydrobromide **59729-33-8P**, Citalopram **85118-27-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**crystalline** base of citalopram)

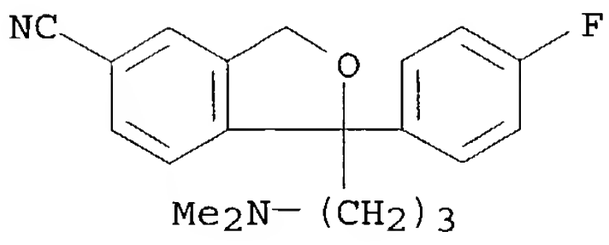
RN 59729-32-7 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)



RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 85118-27-0 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



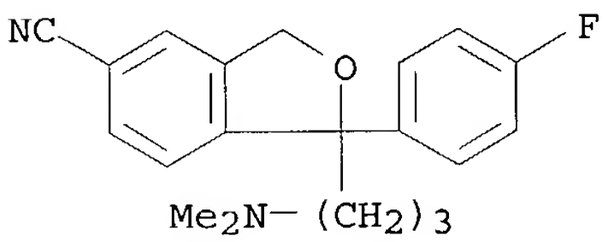
● HCl

AB Citalopram, a selective, centrally acting serotonin reuptake inhibitor useful as an antidepressant, is prepared in high purity from a crude salt or reaction mixture containing citalopram by dissolving the latter in a mixture of H2O and an organic solvent, adding a base, separating and evaporating the organic phase, and crystallization from an aprotic solvent. The free base may then be converted to a salt by reaction with the stoichiometric amount of an acid (e.g. HCl, HBr) in a water-miscible solvent (e.g. Me2CO, EtOH), concentration, and cooling, or by reaction with an excess of acid in Et2O, EtOAc, or CH2Cl2 for formulation as tablets, **capsules**, **powders**, syrups, or solns. for injection.
IC C07D307-87
CC 63-6 (Pharmaceuticals)
IT **Drug delivery systems**
(**granules**; **crystalline** base of citalopram)
IT **Drug delivery systems**
(**tablets**; **crystalline** base of citalopram)
IT **59729-32-7P**, Citalopram hydrobromide **59729-33-8P**, Citalopram **85118-27-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**crystalline** base of citalopram)

L85 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:624011 HCAPLUS
DOCUMENT NUMBER: 129:250223
TITLE: **Controlled release** dosage **forms** comprising separate portions of R- and S-enantiomers
INVENTOR(S): Gilbert, Julian Clive; Richards, Andrew John McGlashan; Bardsley, Hazel Judith
PATENT ASSIGNEE(S): Darwin Discovery Ltd., UK
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9840053	A1	19980917	WO 1998-GB726	19980311 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9865089	A1	19980929	AU 1998-65089	19980311 <--
AU 741821	B2	20011213		
EP 969818	A1	20000112	EP 1998-910863	19980311 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6056968	A	20000502	US 1998-38873	19980311 <--
BR 9808325	A	20000516	BR 1998-8325	19980311 <--
JP 2001514651	T2	20010911	JP 1998-539357	19980311 <--
NO 9904412	A	19991020	NO 1999-4412	19990910 <--
PRIORITY APPLN. INFO.:			GB 1997-4978 A	19970311 <--
			GB 1997-19261 A	19970910 <--
			WO 1998-GB726 W	19980311 <--

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**controlled release** dosage **forms**
comprising sep. portions of R- and S-enantiomers)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A pharmaceutical dosage form comprises, in one portion thereof, a substantially single (+)-enantiomer of a chiral drug other than verapamil and, in another sep. portion thereof, a substantially single (-)-enantiomer of the drug, wherein, in use, the different enantiomers are released at different rates from the dosage form. The dosage form is useful for administration of chiral drugs where both enantiomers have a valid pharmacol. input, and where a clin. benefit may be realized by controlling the release rates of those enantiomers. Examples of such drugs include, in particular, tramadol and warfarin. Controlled-release tablets were prepared from a **powder** mixture of 50.00 mg (+)- or (-)-tramadol hydrochloride, 119.15 mg hydroxypropyl Me cellulose and 0.85 mg magnesium stearate. After 6 h, the (-)-enantiomer was released slightly faster than the (+) enantiomer, achieving nearly 100% drug release at 12 h, whereas only 86% of the (+)-enantiomer was released after 12 h.

IC ICM A61K009-22
ICS A61K009-50; A61K009-70
CC 63-6 (Pharmaceuticals)
ST **controlled release** pharmaceutical tablet tramadol enantiomer
IT Enantiomers

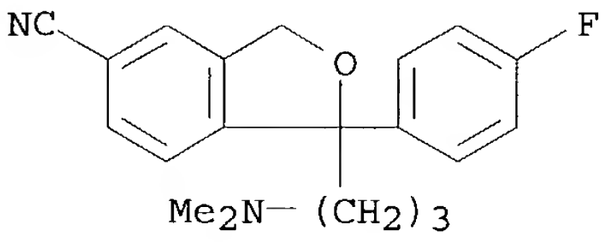
(**controlled release** dosage **forms** comprising sep. portions of R- and S-enantiomers)
IT **Drug delivery systems**
(**tablets**, **controlled-release**; **controlled release** dosage **forms** comprising sep. portions of R- and S-enantiomers)
IT **Drug delivery systems**
(**tablets**, immediate release; **controlled release** dosage **forms** comprising sep. portions of R- and S-enantiomers)
IT 76-75-5, Thiopental 81-81-2, Warfarin. 118-42-3, HYdroxychloroquine 125-84-8, Aminoglutethimide 1077-28-7, Thioctic acid 3737-09-5, Disopyramide 3778-73-2, Ifosfamide 17902-23-7, Tegafur 24219-97-4, Mianserin 27203-92-5, Tramadol 31828-71-4, Mexiletine 34368-04-2, Dobutamine 36894-69-6 54063-53-5, Propafenone 54143-55-4, Flecainide 56980-93-9, Celiprolol **59729-33-8**, Citalopram 63590-64-7, Terazosin 67227-56-9, Fenoldopam 72956-09-3, Carvedilol 81098-60-4, Cisapride 81403-80-7, Alfuzosin 90182-92-6, Zacopride 123134-25-8 123154-38-1 148229-78-1, (+)-Tramadol 148229-79-2, (-)-Tramadol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**controlled release** dosage **forms** comprising sep. portions of R- and S-enantiomers)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L85 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:400917 HCAPLUS
DOCUMENT NUMBER: 117:917
TITLE: Use of 1-(3-(dimethylamino)propyl)-1-phenylphthalans derivatives for the treatment of cerebrovascular disorders
INVENTOR(S): Tanaka, Yoshiaki; Kobayashi, Naomi; Kurimoto, Tadashi; Ikeda, Yugo
PATENT ASSIGNEE(S): Lundbeck, H., A/S, Den.
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 474580	A2	19920311	EP 1991-610063	19910816 <--
EP 474580	A3	19920603		
EP 474580	B1	19940928		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
IL 98968	A1	19960618	IL 1991-98968	19910725 <--
ZA 9106187	A	19920429	ZA 1991-6187	19910806 <--
CA 2049368	AA	19920307	CA 1991-2049368	19910816 <--
CA 2049368	C	20011023		
KR 9702246	B1	19970226	KR 1991-14255	19910819 <--
AU 9182594	A1	19920312	AU 1991-82594	19910820 <--
AU 644204	B2	19931202		
JP 04244024	A2	19920901	JP 1991-224192	19910904 <--
JP 08005787	B4	19960124		
US 5296507	A	19940322	US 1993-1571	19930106 <--

PRIORITY APPLN. INFO.: DK 1990-2132 A 19900906 <--
US 1991-742907 B1 19910809 <--
OTHER SOURCE(S): MARPAT 117:917

IT **59729-33-8**, Citalopram
RL: BIOL (Biological study)
(treatment of cerebrovascular disorders with pharmaceutical **composition** containing)
RN 59729-33-8 HCAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



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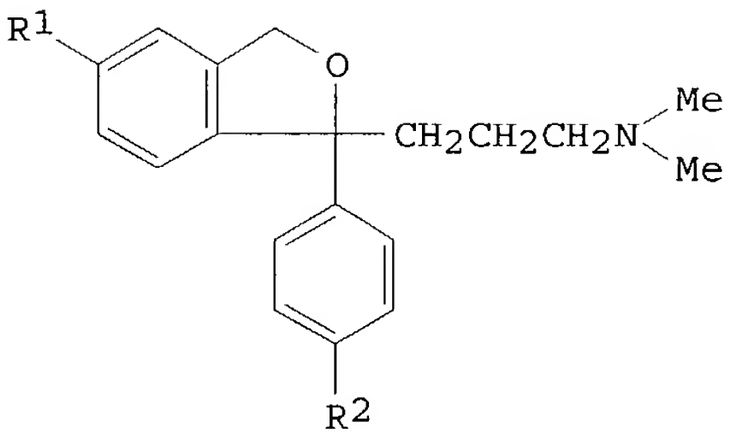

I

AB The title compds. [I; R1, R2 = halo, CF3, cyano, RCO (R = alkyl)] or acid addition salts thereof are useful in the treatment of dementia, cerebrovascular disorders, and for inhibiting platelet aggregation. Citalopram (II) (40mg/kg) was i.p. injected into gerbils 30 min before carotid occlusion (5 min); 7 days later the animals were killed and surviving neurons were counted. The number of surviving neurons was 95.8 as compared to 12.8/mm for controls. An injection solution contained II 10, sorbitol 42.9, acetic acid 0.63, NaOH 22 mg, and water 1mL.
IC ICM A61K031-34
CC 1-8 (Pharmacology)
Section cross-reference(s): 63
IT Amnesia
(associated with ischemia, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Arteriosclerosis
(cerebral, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Ischemia
(treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Mental disorder
(Alzheimer's disease, treatment of, with pharmaceutical **compns** . containing aminopropylphenylphthalan derivs.)
IT Mental disorder
(arteriosclerotic dementia, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Thrombosis
(cerebral, treatment of, with pharmaceutical **compns.** containing

aminopropylphenylphthalan derivs.)
IT Brain, disease
(circulatory, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Mental disorder
(dementia, multi-infarct, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Meninges
(diseases, subarachnoid hemorrhage, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Brain, disease
(embolism, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Brain, disease
(hemorrhage, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Brain, disease
(infarction, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT Pharmaceutical dosage **forms**
(injections, aminopropylphenylphthalan derivs. in, for treatment of cerebrovascular diseases)
IT Pharmaceutical dosage **forms**
(syrups, aminopropylphenylphthalan derivs. in, for treatment of cerebrovascular diseases)
IT **Pharmaceutical dosage forms**
(**tablets**, aminopropylphenylphthalan derivs. in, for treatment of cerebrovascular diseases)
IT Brain, disease
(thrombosis, treatment of, with pharmaceutical **compns.** containing aminopropylphenylphthalan derivs.)
IT **59729-33-8**, Citalopram
RL: BIOL (Biological study)
(treatment of cerebrovascular disorders with pharmaceutical **composition** containing)

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 15:02:19 ON 12 JUL 2004
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul 9, 2004 (20040709/UP).

=> => d que l76
L1 (1) SEA FILE=REGISTRY ABB=ON PLU=ON CITALOPRAM/CN
L2 (1) SEA FILE=REGISTRY ABB=ON PLU=ON 59729-33-8/RN
L3 (1) SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L1
L4 (12) SEA FILE=REGISTRY ABB=ON PLU=ON 59729-33-8/CRN
L5 13 SEA FILE=REGISTRY ABB=ON PLU=ON (L1 OR L2 OR L3 OR L4)
L72 2094 SEA FILE=BIOSIS ABB=ON PLU=ON L5 OR ?CITALOPRAM?
L73 20 SEA FILE=BIOSIS ABB=ON PLU=ON L72 (5A) (?TABLET? OR ?SOLID? OR ?GRAN? OR ?PARTIC? OR ?PILL? OR ?PELLET? OR ?POWDER? OR ?CAPSUL?)
L75 14 SEA FILE=BIOSIS ABB=ON PLU=ON L73 AND (TABLET OR TABLETS OR SOLID OR SOLIDS OR PILL OR PILLS OR ?GRAN? OR ?PARTIC?)
L76 1 SEA FILE=BIOSIS ABB=ON PLU=ON L75 AND TABLET/TI

=> d l76 ibib abs hit
YOU HAVE REQUESTED DATA FROM FILE 'BIOSIS' - CONTINUE? (Y)/N:y

L76 ANSWER 1 OF 1 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2001:122552 BIOSIS
DOCUMENT NUMBER: PREV200100122552
TITLE: Pharmacokinetic comparison of oral solution and **tablet** formulations of **citalopram**: A single-dose, randomized, crossover study.
AUTHOR(S): Gutierrez, Marcelo M. [Reprint author]; Abramowitz, Wattanaporn
CORPORATE SOURCE: Department of Pharmacokinetics, Forest Laboratories, Inc, 909 Third Avenue, New York, NY, 10022, USA
SOURCE: Clinical Therapeutics, (December, 2000) Vol. 22, No. 12, pp. 1525-1532. print.
CODEN: CLTHDG. ISSN: 0149-2918.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 7 Mar 2001
Last Updated on STN: 15 Feb 2002

AB Background: **Citalopram tablets** fulfill most dosing needs in the treatment of depression, but some patients may have difficulty swallowing **tablets** and thus may be less likely to comply with their medication regimen. A liquid formulation of citalopram could be beneficial for such patients. Objective: This study was undertaken to compare the pharmacokinetic profiles of oral solution and **tablet** formulations of **citalopram** in healthy volunteers. Methods: In this open-label, single-dose, randomized, crossover, bioequivalence study, healthy volunteers alternately received one 60-mg dose of citalopram as an oral solution (10 mg/5 mL) and one 60-mg dose as a **tablet.** Doses were separated by a 14-day interval. Results: Of 24 subjects enrolled (mean age 27 years), 24 (16 men and 8 women) received the citalopram oral solution and 23 (15 men and 8 women) received the **tablet**; 1 subject discontinued before receiving the **tablet.** Citalopram was rapidly absorbed, with peak plasma concentrations occurring at apprx4 hours with both formulations. The rate and extent of absorption were similar between the 2 formulations, and no statistically significant differences were observed in half-life or oral clearance between formulations. Similarly, the pharmacokinetic profile for demethylcitalopram (the major metabolite of citalopram) did not differ between the 2 formulations. Both formulations were well tolerated, with no serious adverse events reported. Conclusion: The oral solution and **tablet** formulations of **citalopram** 60 mg were determined to be bioequivalent in this population.

TI Pharmacokinetic comparison of oral solution and **tablet** formulations of **citalopram**: A single-dose, randomized, crossover study.

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IT Major Concepts
Psychiatry (Human Medicine, Medical Sciences); Pharmacology

IT Diseases
depression: behavioral and mental disorders
Depression (MeSH)

IT Chemicals & Biochemicals
citalopram: antidepressant-drug, absorption, oral solution, pharmacokinetics, **tablet** formulation, tolerance

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